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TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * *
                    Welcome to STN International
NEWS
     1
                Web Page URLs for STN Seminar Schedule - N. America
                "Ask CAS" for self-help around the clock
NEWS
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 MAY 10 CA/Caplus enhanced with 1900-1906 U.S. patent records
NEWS 5 MAY 11 KOREAPAT updates resume
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                USPATFULL/USPAT2
NEWS 8 MAY 30
                The F-Term thesaurus is now available in CA/CAplus
NEWS 9 JUN 02
               The first reclassification of IPC codes now complete in
                INPADOC
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
                and display fields
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 13 JUl 14 FSTA enhanced with Japanese patents
NEWS 14 JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
            . AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
```

For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

Welcome Banner and News Items

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X.25 communication option no longer available

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:36:47 ON 06 SEP 2006

Page 106/09/2006

NEWS IPC8

NEWS X25

=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 15:36:58 ON 06 SEP 2006
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STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4 DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10765267phenol.str

chain nodes :
8 9 10 11 21 22 24 25 26
ring nodes :
1 2 3 4 5 6 14 15 16 17 18 19
chain bonds :
5-8 8-9 9-10 9-11 10-14 15-21 16-22 17-24 18-25 19-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 8-9 9-10 9-11 10-14 15-21 16-22 17-24
18-25 19-26
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19

G1:C,O,N,P

G2:0,S,N

G3:0,S

G4:H,NO2,X

Match level :

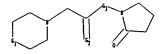
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 21:CLASS 22:CLASS 24:CLASS 25:CLASS 26:CLASS

Page 306/09/2006

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10765267succinimide.str



```
chain nodes :
8  9  10  11  19
ring nodes :
1  2  3  4  5  6  13  15  16  17  18
chain bonds :
5-8  8-9  9-10  9-11  10-13  18-19
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  13-15  13-18  15-16  16-17  17-18
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-8  8-9  9-10  9-11  10-13  13-15  13-18  15-16
16-17  17-18  18-19
```

G1:C,O,N,P

G2:0,S,N

G3:0,S

Match level :

Page 406/09/2006

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 13:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS

L2 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

STR L1

$$G3$$
 $G4$
 $G4$
 $G4$
 $G4$
 $G4$
 $G4$

G1 C, O, N, P

G2 O, S, N

G3 O, S

G4 H, NO2,X

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:37:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 4657 TO ITERATE

42.9% PROCESSED

2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

89048 TO 97232

PROJECTED ANSWERS:

1 TO 137

L3

1 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 15:37:49 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 93042 TO ITERATE

100.0% PROCESSED 93042 ITERATIONS

152 ANSWERS

1 ANSWERS

SEARCH TIME: 00.00.01

L4

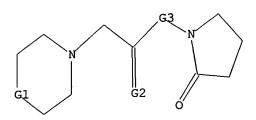
152 SEA SSS FUL L1

=> d 12

L2 HAS NO ANSWERS

L2

STR



G1 C, O, N, P

G2 O, S, N

G3 0, S

Structure attributes must be viewed using STN Express guery preparation.

=> s 12

SAMPLE SEARCH INITIATED 15:37:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 44 TO 476

PROJECTED ANSWERS: 2 TO 124

L5 2 SEA SSS SAM L2

=> s 12 full

FULL SEARCH INITIATED 15:38:00 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 281 TO ITERATE

100.0% PROCESSED 281 ITERATIONS 33 ANSWERS

SEARCH TIME: 00.00.01

L6 33 SEA SSS FUL L2

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 333.88 334.09

FILE 'CAPLUS' ENTERED AT 15:38:04 ON 06 SEP 2006

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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)
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=> d his

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FILE 'REGISTRY' ENTERED AT 15:36:58 ON 06 SEP 2006
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 1 S L1
L4 152 S L1 FULL
L5 2 S L2
L6 33 S L2 FULL
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FILE 'CAPLUS' ENTERED AT 15:38:04 ON 06 SEP 2006

=> d ed abs ibib hitstr 1-3

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

$$Y-N$$
 $X-N$
 $X+N$
 $X+N$

AB Isotopically enriched N-substituted piperazines (I) or salts thereof, comprising one or more heavy atom isotopes (Y = straight chain or branched CI-6 alkyl or CI-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each independently comprise linked hydrogen, deuterium or fluorine atoms; Z = independently comprise linked hydrogen, deuterium or fluorine atoms; Z = independently comprise linked hydrogen, an amino acid side chain, a straight chain or branched CI-6 alkyl group that may optionally contain a substituted or unsubstituted aryl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked Hor F atoms, a straight chain or branched CI-6 alkyl group contain a substituted or unsubstituted aryl group that may optionally contain a substituted aryl group that may optionally contain a substituted aryl group each independently comprise linked hydrogen or fluorine atoms; or a straight chain or branched CI-6 alkoxy group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms; wherein the N-methylpiperazine is isotopically enriched with either of 13C and/or 15N) are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine actic acid. The active esters of N-substituted piperazine acetic acid can be used as labeling reagents can be used to alkel analytes such as peptides, proteins, amino acids, oligonucleotides, DNA, RNA, lipids, carbohydrates, steroids, small mols. and the like (no data). Thus, to a stirring solution of 1.18 (11 g) is main acids, oligonucleotides, DNA, RNA, lipids, carbohydrates, steroids, small mols. and the like (no data). Thus, to a stirring solution of 1.18 (11 g) is main acids. In the network of the proteins, amino acids, oligonucleotides, DNA, RNA, lipids, carbohydrate

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

857503-00-5 CAPLUS 1-Piperazineacetic acid, 4-methyl-, pentachlorophenyl ester (9CI) (CA INDEX NAME)

857503-01-6 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

857503-03-8 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 3-mitrophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS On STN CODEN: USXXXCO

DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: 6 (Continued)

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | ATENT NO. | | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | Di | ATE | |
|-----|-----------|------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| US | 2005 | 1487 | 73 | | A1 | | 2005 | 0707 | 1 | US 2 | 004~ | 7513 | 88 | | 2 | 0040 | 105 |
| ΑU | 2005 | 2055 | 22 | | A1 | | 2005 | 0728 | | AU 2 | 005- | 2055 | 22 | | 2 | 0050 | 105 |
| WO | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | 1 | ⊌O 2 | 005- | us22 | 3 | | 2 | 0050 | 105 |
| | W: | AE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | ΚU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MV. | MX, | MZ, | NA, | NI, |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RY: | B₩, | GH, | GM, | ΚE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑŤ, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | ΗU, | IE, | IS, | IT, | LT, | LU, | HC, | NL, | PL, | PT, |
| | | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | CF, | œ, | CI, | CH, | GA, | GN, | GQ, | GW, | ML, |
| | | MR. | NR. | SN. | TD. | TG | | | | | | | | | | | |

MR, NE, SN, TD, TG PRIORITY APPLN. INFO.:

| US | 2004-751353 | Α | 20040105 |
|----|--------------|---|----------|
| υs | 2004-751354 | A | 20040105 |
| υs | 2004-751387 | A | 20040105 |
| US | 2004-751388 | A | 20040105 |
| US | 2004-822639 | A | 20040412 |
| US | 2004-852730 | A | 20040524 |
| WO | 2005-US223 - | w | 20050105 |

OTHER SOURCE(s): MARPAT 143:115574

IT 856187-95-6, 4-Methylpiperazine-1-acetic acid phenyl ester
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
RN 856187-95-6 CAPLUS
CN 1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

857027-10-2P 857503-00-5P 857503-01-6P
857503-03-8P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
857027-10-2 CAPLUS
1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

In some embodiments, this invention pertains to active esters of N-substituted piperazine scetic acid I (R = leaving group; X = O, S; Y = C1-C6 alkyl, C1-C6 alkyl ether; Z = H, ZH, F, (I), Br, iodide, amino acid side chain, C1-C6 alkyl, C1-C6 alkyl ether), including isotopically enriched versions thereof. In some embodiments, this invention pertains to methods for the preparation of active esters of N-substituted piperazine acetic acid, including isotopically enriched versions thereof. For example, the isotopically labeled N-methylpiperazine II (R1 = 180H) reacted with the trifluoroacetic acid ester of N-hydroxysuccinimide to give the succinate II (R1 = 0R2, R2 = succinimido). 2005:592129 CAPLWS

ACCESSION NUMBER: 2005:592129 CAPLUS

DOCUMENT NUMBER: 143:97398

143:97398
Preparation of active esters of N-substituted piperazine acetic acids, including isotopically enriched versions
Dey, Subhakar: Pappin, Darryl J. C.; Purkayastha, Subhasish; Pillai, Sasi; Coull, James M. Applera Corp., USA, U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English 6 ANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | PATENT NO. | | | | | D | DATE | | | APPL | | ION | | | | ATE | |
|----------|------------|------|------|-----|-----|-----|------|------|-----|-------------|-------|-------|-----|-----|------|------|-----|
| | 2005 | | | | A1 | - | 2005 | | | | | 7513 | | | | 0040 | |
| | | | | | | | | | | | | | | | | | |
| | 2005 | | | | | | 2005 | | | | | | | | | 0050 | |
| WO | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | 1 | JO 2 | 005-1 | US22: | 3 | | 21 | 0050 | 105 |
| | W: | AE, | AG. | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW. | BY, | BZ, | CA, | CH, |
| | | CN, | co. | CR, | CU, | CZ, | DE. | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD. |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | B₩, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | RO, | SE, | SI, | SX, | TR, | BF, | BJ, | CF, | Œ, | CI, | CM, | GA, | GN, | GQ. | GW. | ML. |
| | | MR, | NE. | SN, | TD, | TG | | | | | | | | | | | |
| PRIORITY | APP | LN. | INFO | .: | | | | | | US 2 | 004- | 7513 | 53 | | N 20 | 0040 | 105 |
| | | | | | | | | | | US 2 | 004- | 7513 | 54 | | 4 2 | 0040 | 105 |

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN US 2004-751387 US 2004-751388 US 2004-82539 US 2004-825239 US 2004-825230 VO 2005-US222 (Continued)

7 A 20040105

8 A 20040105

9 A 20040412

0 A 20040524

W 20050105 OTHER SOURCE(S): IT 856187-95-6 RL: RCT (Rea MARPAT 143:97398 RCT (Reactant); RACT (Reactant or reagent) (preparation of active esters of N-substituted piperazine acetic acids their labeled derive.)
91387-95-6 CAPMUS
1-Piperaxineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN SOURCE: U.S. Pat. Appl. Publ., 29 pp. CODEN: USXXCO
DOCUMENT TYPE: PATENT LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION: (Continued)

US 2005148774 A1 20050707 US 2004-751387 20040105
AU 2005205522 A1 20050728 AU 2005-205522 20050105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DX, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, KC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, MZ, CM, PG, PH, PL, PT, FO, RU, SC, SD, SE, SG, SK, SL, SL,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CT, CZ, DE,
RO, SE, SI, SX, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML,
RN, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-822730 WO 2005-US223 20040105 20040105 20040105 20040105 20040412 20040524 20050105

. CH2−C−OPh

ΙŤ

857027-10-2P 857503-00-5P 857503-01-6P 857503-03-8P RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of isotopically enriched N-substituted piperazine-1-acetic acids as isobaric labeling reagents) 857027-10-2 CAPLUS

1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

$$Y-N$$
 Z
 Z
 Z
 Z
 XH
 Z
 Z
 XH

Isotopically enriched N-substituted piperazine-1-acetic acids
(I) or salts thereof, comprising one or more heavy atom isotopes
[X = 0, 5; Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether
group wherein the carbon atoms of the alkyl group or alkyl ether group
each independently comprise linked hydrogen, deuterium or F atoms; Z =
independently H, deuterium, F, C1, Br, iodine, an amino acid side chain, a
straight chain or branched C1-6 alkyl group that may optionally contain a
substituted or unsubstituted aryl group (wherein the carbon atoms of the
alkyl and aryl groups each independently comprise linked H, deuterium or F
atoms), a straight chain or branched C1-6 alkyl ether group that may
optionally contain a substituted or unsubstituted aryl group wherein the
carbon atoms of the alkyl and aryl groups each independently comprise
linked H, deuterium or F atoms, or a straight chain or branched C1-6
alkyl group (wherein the carbon atoms of the alkyl and aryl groups each
independently comprise linked H, deuterium or F atoms) are prepared
N-substituted piperazines can be used as intermediates in the synthesis of
N-substituted piperazine acetic acids which in turn can be used as
intermediates in the synthesis of active esters of N-substituted
piperazine acetic acid. The active esters of N-substituted
acetic acid can be used as labeling reagents to prepare a set of isobaric
labeling reagents. The set of isobaric labeling reagents can be used to
label analytes such as peptides, proteins, amino acids, oligonucleotides,
DNA, RNA, lipids, carbohydrates, steroids, small mols, and the like.
Thus, to a stirring solution of 1.18 g (11.83 mmol) N-methylpiperazine in 15
alt tolumen at room temperature was added 1g (5.91 mmol) of Et
bromoacetate-1,2-13C dropwise, over a period of 15 min. The reaction
mixture was then heated in an oil bath at 90 for 4 h, cooled to room
temperature, filtered to remove the off-white solid to give, after workup
he
combined filtrate and washings, 1.10 g (quant.) of 4-methylpiperazine-1-

on the

combined filtrate and washings, 1.10 g (quant.) of 4-methylpiperazine-1acetic acid Et ester-1,2-13C (II) as an off-white oil. II (1.1 g) was
refluxed in water for 24 h to give 780 mg 4-methylpiperazine-1-acetic
acid-1,2-13C.
ACCESSION NUMBER:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
143:115568
TITLE:
Preparation of isotopically enriched
N-substituted piperazine-1-acetic acids

2005:588426 CAPLUS
143:115568
Preparation of isotopically enriched
N-substituted piperazine-1-acetic acids
Dey, Subhakarr Pappin, Darryl J. c.; Purkayastha,
Subhasish Fillai, Sasi; Coull, James M.
Applera Corp., USA INVENTOR(S):

PATENT ASSIGNEE(S):

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

$$\stackrel{\text{Me}}{\underset{\text{N-cH}_2-c-o}{\bigvee}} \stackrel{\text{o}}{\underset{\text{F}}{\bigvee}} \stackrel{\text{F}}{\underset{\text{F}}{\bigvee}} \stackrel{\text{F}}{\underset{\text{F}}{\bigvee}}$$

857503-00-5 CAPLUS 1-Piperazineacetic acid, 4-methyl-, pentachlorophenyl ester (9CI) (CA INDEX NAME)

857503-01-6 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

857503-03-8 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 3-nitrophenyl ester (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 15:36:47 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 15:36:58 ON 06 SEP 2006 STRUCTURE UPLOADED L1STRUCTURE UPLOADED L2 L3 1 S L1 152 S L1 FULL L4L52 S L2 L6 33 S L2 FULL FILE 'CAPLUS' ENTERED AT 15:38:04 ON 06 SEP 2006 5 S L6 AND (ISOTOPE OR ISOTOP?) L7 3 S L4 AND (ISOTOPE OR ISOTOP?) L8

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ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

Isotopically enriched N-substituted piperazines (I) or salts thereof, comprising one or more heavy atom isotopes (Y = straight chain or branched Cl-6 alkyl or Cl-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each independently comprise linked hydrogen, deuterius or fluorine atoms; Z = independently H. F. Cl. Br. Iodine, an amino acid side chain, a straight chain or branched Cl-6 alkyl group that may optionally contain a substituted or unsubstituted aryl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H or F atoms, a straight chain or branched Cl-6 alkyl ether group that may optionally contain a substituted or unsubstituted aryl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms), or a straight chain or branched Cl-6 alkowy group; wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms; wherein the N-methylpiperazine is isotopically enriched with either of ISC and/or ISN) are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of active esters of N-substituted piperazine acetic acids being reagents as a labeling reagents to prepare a set of isobaric labeling reagents. The set of isobaric labeling reagents can be used as labeling reagents can be used as most better of the active esters of N-substituted piperazine acetic acid and as labeling reagents to prepare a set of isobaric labeling reagents. The set of isobaric labeling reagents can be used to label analytes such as peptides, proteins, amino acids, oligonucleotides, DNA, RNA, lipids, carbohydrates, steroids, small mols, and the like (no data). Thus, to a stirring solution of 1.18 g(11.83 mmol) N-methylpiperazine-i-acet

ANSWER 1 OF 5 CAPILIS COPYRIGHT 2006 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
856188-16-4 CAPIUS
2,5-Pyrcolidinedione, 1-[[(4-methyl-1-piperaziny1)acetyl-13C2-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

856187-87-6P 856188-06-2P 857027-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
856187-87-6 CAPLUS
2,5-Pyrcolidinedione, 1-[[(4-methyl-1-piperaziny1)acetyl-180]oxy]- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS 2,5-Pyrcolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

857027-09-9 CAPLUS 2-Pyrrolidinone, 1-[[{4-methyl-1-piperazinyl}acetyl]owy}- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS ON STN CODEN: USXXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English (Continued)

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA' | TENT 1 | NO. | | | | | DATE | | | | LICAT | | | | | ATE | |
|-----------|--------------------|------|-----|-----|-----|-----|------|------|-----|-----|--------|-------------|-----|-----|-----|------|-----|
| | | | | | | | | | | | | | | | | | |
| | 2005 | | | | | | | | | | 2004- | | | | | 0040 | |
| | | | | | | | | | | | 2005- | | | | | | |
| WO | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | | WO | 2005- | US22 | 3 | | 2 | 0050 | 105 |
| | w: | AE, | AG, | AL. | AM. | AT. | AU. | AZ, | BA, | BB | , BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | | | | | | | | | | , EC, | | | | | | |
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TJ. TM. | | | | | | | | | | | | | | | | |
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| | RV: | | | | | | | | | | , SL, | | | | | | |
| | | | | | | | | | | | , BE, | | | | | | |
| | | EE, | ES, | FI. | FR, | GB, | GR, | HU, | IE, | IS | , IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | RO. | SE. | SI. | SK. | TR. | BF. | BJ. | CF. | CG | , CI, | CH, | GA, | GN, | GQ, | GW, | ML, |
| | | | NE. | | | | | | | | | | | | | | |
| PRIORIT | Y APP | | | | , | | | | | 211 | 2004 - | 7513 | 53 | ١. | A 2 | 0040 | 105 |
| · MIONI | | | | • • | | | | | | | 2004- | | | | | 0040 | |
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| | | | | | | | | | | WO. | 2005- | US22 | 3 | | w 2 | 0050 | 105 |
| OWNERS CO | OUD CE | 101. | | | MAD | 700 | 147. | 1166 | 74 | | | | | | | | |

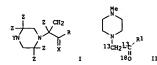
OTHER SOURCE(s): MARPAT 143:115574

IT 856188-20-0P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
RN 856188-20-0 CAPLUS
CN 2,5-Pytrolidinedione, 1-[[(4-methyl-1-piperaziny1-1-15N) acetyl-2-13C-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

856188-16-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005



AB In some embodiments, this invention pertains to active esters of N-substituted piperazine acetic acid I (R = leaving group: X = O, S; Y = C1-C6 alkyl, C1-C6 alkyl ether: Z = H, ZH, F, C1, Br, iodide, amino acid side chain, C1-C6 alkyl = C1-C6 alkyl ether), including isotopically enriched versions thereof. In some embodiments, this invention pertains to methods for the preparation of active esters of N-substituted piperazine acetic acid, including isotopically enriched versions thereof. For example, the isotopically labeled N-methylpiperazine II (R1 = 180H) reacted with the trifluoroacetic acid ester of N-hydroxysuccinimide) to give the succinate II (R1 = OR2, R2 = succinimide).

ACCESSION NUMBER: 2005:592129 CAPLUS

ACCUMENT NUMBER: 143:97398 2005:592129 CAPLUS
143:97398
Preparation of active esters of N-substituted piperazine actic acids, including isotopically enriched versions
Dey, Subhasini Pillai, Sasi; Coull, James M.
Applera Corp., USA
U.S. Pat. Appl. Publ., 33 pp.
CODDN: USXXCO
Patent
English
6

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

| PAT | ENT | NO. | | | KIN | D | DATE | | 4 | APPL | I CAT | ON | NO. | | D | ATE | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | | | |
| US | 2005 | 1487 | 71 | | A1 | | 2005 | 0707 | 1 | US 2 | 004- | 7513 | 54 | | | 0040 | |
| ΑU | 2005 | 2055 | 22 | | A1 | | 2005 | 0728 | | AU 2 | 005- | 2055 | 22 | | 2 | 0050 | 105 |
| WO | 2005 | 0684 | 16 | | A1 | | 2005 | 0728 | 1 | WO 2 | 005- | US22 | 3~ | | 2 | 0050 | 105 |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB. | BG, | BR. | BW, | BY, | BŻ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE. | GH. | GM. | HR. | HU. | ID. | IL. | IN. | IS. | JP. | KE. | KG. | KP. | KR. | KZ. | LC. |
| | | | | | | | LV, | | | | | | | | | | |
| | | NO. | NZ. | OM. | PG. | PH. | PL. | PT. | RO. | RU. | SC. | SD. | SE. | SG. | SX. | SL. | SY. |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW. | GH. | GM. | KE. | LS. | MW. | MZ. | NA. | SD. | SL. | SZ. | TZ. | UG. | ZM. | ZV. | AM. |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | cz, | DE, | DK. |
| | | EE, | ES, | FI, | FR, | GB, | GR, | ΗU, | IE, | 15, | IT, | LT, | LU, | MC, | NL, | PL, | PT, |
| | | | | | | | | | | | | | | | | | |

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

2 HC1

856188-20-0 CAPLUS 2,5-Pyrrolidinedione, 1-[((4-methyl-1-piperazinyl-1-15N)acetyl-2-13C-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

MR, NE, SN, TD, TG

RITY APPLN. INTO.:

US 2004-751353

A 20040105 US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751389 US 2004-822639 US 2004-852730 WO 2005-US223 PRIORITY APPLN. 20040105 20040105 20040105 20040105 20040412 20040524 20050105 OTHER SOURCE(S): MARPAT 143:97398
IT 856187-87-6P 856188-06-2P 856188-16-4P 856188-20-0P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of active esters of N-substituted piperazine acetic acids and their labeled derivs.)
856187-87-6 CAPUNS
2.5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy}- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS 2,5-Pytrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA NDDX NAME)

856188-16-4 CAPLUS 2,5-Pyrrolidinedions, 1-[[(4-methyl-1-piperazinyl)acetyl-13C2-180]oxy]-, dihydrochloride (9C1 (CA INDEX NAME)

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

$$\begin{array}{c|c} z & z \\ Y-N & & z \\ \hline & z & X \end{array}$$
 XH

Isotopically enriched N-substituted piperazine-1-acetic acids
[I) or salts thereof, comprising one or more heavy atom isotopes
[K = 0, S; Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether
group wherein the carbon atoms of the alkyl group or alkyl ether group
each independently comprise linked hydrogen, deuterium or F atoms; Z =
independently H, deuterium, F, C1, Br, iodine, an amino acid side chain, a
straight chain or branched C1-6 alkyl group that may optionally contain a
substituted or unsubstituted aryl group (wherein the carbon atoms of the
alkyl and aryl groups each independently comprise linked H, deuterium or F
atoms), a straight chain or branched C1-6 alkyl ether group that may
optionally contain a substituted aryl groups each independently comprise
linked H, deuterium or F atoms, or a straight chain or branched C1-6
alkoxy group that may optionally contain a substituted or unsubstituted
aryl group (wherein the carbon atoms of the alkyl and aryl groups each
independently comprise linked H, deuterium or F atoms) are prepared
N-substituted piperazines can be used as intermediates in the synthesis of
N-substituted piperazine acctic acids which in turn can be used as
intermediates in the synthesis of active esters of N-substituted
piperazine acctic acid. The active esters of N-substituted piperazine
acetic acid can be used as labeling reagents to prepare a set of isobaric
labeling reagents. The set of isobaric labeling reagents can be used to
label analytes such as peptides, proteins, amino acids, oligonucleotides,
NNA, NNA, NiA, lipids, carbohydrates, steroids, small mols, and the like.
Thus, to a stirring solution of 1.18 g (11.83 mmol) N-methylpiperazine in 15
mixture was then heated in an oil bath at 90° for 4 h, cooled to room
temperature, filtered to remove the off-white solid to give, after workup
the

combined filtrate and washings, 1.10 g (quant.) of 4-methylpiperazine-1-acetic acid Rt ester-1,2-13C (II) as an off-white oil. II (1.1 g) was refluxed in water for 24 h to give 780 mg 4-methylpiperazine-1-acetic acid-1,2-13C.

ACCESSION NUMBER: 2005:588426 CAPLUS

DOCUMENT NUMBER:

TITLE:

143:115568
Preparation of isotopically enriched
N-substituted piperazine-1-acetic acids
Dey, Subhakar; Pappin, Darryl J. c.; Purkayastha,
Subhasish; Pillai, Sasi; Coull, James M.
Applera Corp., USA
U.S. Pat. Appl. Publ., 29 pp.
CODEN: USENICO
Patent
English INVENTOR(S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE: LANGUAGE:

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN FAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION: (Continued)

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------|-----------------|---------------------|-----------------|
| | | | |
| US 2005148774 | A1 20050707 | US 2004-751387 | 20040105 |
| AU 2005205522 | A1 20050728 | AU 2005-205522 | 20050105 |
| WO 2005068446 | A1 20050728 | WO 2005-US223 | 20050105 |
| | | BA, BB, BG, BR, BW, | |
| | | DM. DZ. EC. EE. EG. | |
| | | IN, IS, JP, KE, KG, | |
| | | | |
| | | MD, MG, MK, MN, MW, | |
| | | RO, RU, SC, SD, SE, | |
| TJ, TM, TN, | TR, TT, TZ, UA, | UG, US, UZ, VC, VN, | YU, ZA, ZM, ZW |
| RW: BW, GH, GM, | KE, LS, MW, MZ, | NA, SD, SL, SZ, TZ, | UG, ZM, ZW, AM, |
| AZ, BY, KG, | KZ, MD, RU, TJ, | TM, AT, BE, BG, CH, | CY, CZ, DE, DK, |
| EE. ES. FI. | FR. GB. GR. HU. | IE, IS, IT, LT, LU, | MC. NL. PL. PT. |
| | | CF, CG, CI, CM, GA, | |
| MR, NE, SN, | | ., ., ., ., | ,, |
| PRIORITY APPLN. INFO.: | 10, 10 | US 2004-751353 | A 20040105 |
| PRIORITI AFFEN. INFO | | US 2004-751354 | A 20040105 |
| | | | |
| | | US 2004-751387 | A 20040105 |
| | | US 2004-751388 | A 20040105 |
| | | US 2004-822639 | |
| | | US 2004-852730 | A 20040524 |
| | | WO 2005-US223 | W 20050105 |
| OTHER SOURCE(S): | MARPAT 143:1155 | 68 | |
| TT 856188-20-0P | | | |

856188-20-0P
RL: ARG (Analytical reagent use); SFN (Synthetic preparation); ANST
(Analytical study); PREP (Preparation); USES (Uses)
(preparation of isotopically enriched N-substituted
piperazine-1-acetic acids as isobatic labeling reagents)
856188-20-0 CAPLUS
2,5-Pyrtolidinedione, 1-[[(4-methyl-1-piperazinyl-1-15N)acetyl-2-13C180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

IT 856188-16-4P socies-lo-4r
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of isotopically enriched N-substituted
piperazine-1-acetic acids as isobaric labeling reagents)

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
856188-16-4 CAPLUS
2,5-Pyrrolidinedione, 1-[{(4-methyl-1-piperazinyl)acetyl-13C2-180]oxy]-,
dihydrochloride (9C1) (CA INDEX NAME)

●2 HC1

856187-87-6P 856188-06-2P 857027-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of isotopically enriched N-substituted piperaxine-1-acetic acids as isobaric labeling reagents)
856187-87-6 CAPLUS
2,5-Pyrcolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS

2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

857027-09-9 CAPLUS 2-Pyrrolidinone, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 16 May 2005
Glycerophosphoethanolamine (GPEtn) and glycerophosphoserine (GPSer) lipids were reacted with a multiplexed set of differentially isotopically enriched N-methylpiperazine acetic acid N-hydroxysuccinimide ester cagents, which place isobsric mass labels at a primary amino group. The resulting derivitized aminophospholipids were isobsric and chromatog. Indistinguishable but yielded pos. reporter ions (m/z 114 or 117) after collisional activation that could be used to identify and quantify individual members of the multiplex set. The chromatog, and mass spectrometric response of N-methylpiperazine anide-tagged aminophospholipids was probed using glycerophosphoethanolamine and glycerophosphoserine lipid stds. The [HHH]+ of each tagged aminophospholipid shifted 140 Da, and during collision-induced dissociation the major fragmentation ion was either m/z 114 or 117. This mode of detecting aminophospholipids was useful for an unbiased anal. of plasmalogen GPEtn lipids. Mol. species information on the esterified fatty acyl substituents was obtained by collisional activation of the [H-H]-ions. The isotope-tagged reagents were used to assess changes in the distribution of GPEtn lipids after exposure of liposomes made from phospholipids extracted from RAV 264.7 cells to Cu2+/H2O2 to illustrate the ability of these reagents to aid in the mass spectrometric identification of aminophospholipid changes that occur during biol. stimuli.

stimuli.
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

14:186804
Analysis of cell membrane aminophospholipids as isotope-tagged derivatives
Zemski Berry, Karin A.; Murphy, Robert C.
Department of Pharmacology, University of Colorado Health Sciences Center, Aurora. CO, 80045, USA
JOURNAL Of Lipid Research (2005), 46(5), 1038-1046
CODEN: JLPRAW; ISSN: 0022-2275
American Society for Biochemistry and Molecular Biology, Inc.
JOurnal English AUTHOR(S): CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE: IT 856188-06-2 English

#S56188-06-2
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation and mass spectrometric anal. of cell membrane
aminophospholipids as isotope-tagged derivs.)
#S56188-06-2 CAPUS
2,5-Pyrc1didiedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA
INDEX NAME)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 17

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Oct 2004
AB Provided is a method for characterizing a mol. by mass spectrometry, which mol. comprises one or more free maino groups, which method comprises: (a) reacting one or more free maino groups in the mol. with a mass tag reagent comprising a reactive functionality capable of reacting with an amino group, and a tertiary amino group linked to the reactive functionality; and (b) characterizing the mol. by mass spectrometry.

ACCESSION NUMBER: 2004:824132 CAPLUS
DOCUMENT NUMBER: 141:310231
ITILE: Hass labels
INVENTOR(S): Hamon, Christian; Ruhn, Karsten; Thompson, Andrew; Reuschling, Dieter; Schaefer, Juergen
PATENT ASSIGNEE(S): Xzillion G.m.b.H. & Co. K.-G., Germany; Proteome Sciences PLC
COEN: PIXXD2

COUNTY TYPE: Patent
LANGUAGE: English
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004096050 A2 20041007 WO 2004-GB1167 20040318
WO 2004096050 A3 20041229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CH, CO, CR, CU, C2, DE, DK, DM, DZ, EC, EE, DG, ES, FI, GB, GD, GE, GH, GH, HR, HU, 10, 1L, HN, 15, JT, XE, KG, KF, RR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MC, MK, MN, MW, MX, MA, NI, MO, NZ, CM, PG, PH, PL, PT, NO, RU, SC, SU, SE, SC, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZM, ZW, RW, BW, GH, GM, KZ, HD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FF, FR, GB, GN, IT, LU, LV, MA, MC, MC, NL, PL, PT, RO, SE, ST, ST, RR, KZ, LC, LS, KT, RB, BF, BJ, CF, CC, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TD, TG
AU 2004226611 A1 20041007 AU 2004-223631 20040318
EN, 741683-76-1P 741683-79-4P 768385-34-8P
RL: RCT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant) SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant) Cragent)

RN 741683-76-1C CAPLUS

RN 2055-25-27 A2 2005121 PROPAGE ACCESSION CRACE

R1 2055-25-27 CA 2005121 PROPAGE ACCESSION CRACE

R1 2003-26-1C CAPLUS

RN 2005-26-1C CAPLUS

RN 2005-26-1C CAPLUS

RN 2005-26-1C CAPLUS

RN 2005-26-1C CAPLUS

RN 20

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued

RN 741683-79-4 CAPLUS CN 2,5-Pyrrolidinedione, 1-[{1-piperidinylacetyl]oxy]- (9CI) (CA INDEX NAME)

RN 768385-34-8 CAPLUS
CN 2.5-Pyrrolidinedione, 1-[[(2,6-dimethyl-1-piperidinyl)acetyl]oxy)- (9CI)
(CA INDEX NAME)

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
50.52 384.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE
TOTAL
ENTRY SESSION
-6.00 -6.00

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PASSWORD:

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NEWS 4 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
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NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                 USPATFULL/USPAT2
                 The F-Term thesaurus is now available in CA/CAplus
NEWS 8 MAY 30
NEWS 9 JUN 02
                The first reclassification of IPC codes now complete in
                 INPADOC
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
                 and display fields
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUl 11 CHEMSAFE reloaded and enhanced
NEWS 13 JUl 14 FSTA enhanced with Japanese patents
NEWS 14 JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
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              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
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              For general information regarding STN implementation of IPC 8
NEWS X25
              X.25 communication option no longer available
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:30:22 ON 06 SEP 2006

Page 106/09/2006

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:30:37 ON 06 SEP 2006
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STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4 DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10765267succinimide.str

chain nodes :
8 9 10 11 19
ring nodes :
1 2 3 4 5 6 13 15 16 17 18
chain bonds :
5-8 8-9 9-10 9-11 10-13 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-15 13-18 15-16 16-17 17-18
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 8-9 9-10 9-11 10-13 13-15 13-18 15-16
16-17 17-18 18-19

G1:C,O,N,P

G2:0,S,N

G3:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 13:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS

L1 STRUCTURE UPLOADED

Page 306/09/2006

=> d 11

L1 HAS NO ANSWERS

L1

STR

G1 C, O, N, P

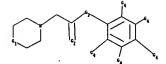
G2 O, S, N

G3 O, S

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10765267phenol.str



chain nodes :

8 9 10 11 21 22 24 25 26

ring nodes :

1 2 3 4 5 6 14 15 16 17 18 19

chain bonds :

5-8 8-9 9-10 9-11 10-14 15-21 16-22 17-24 18-25 19-26

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-8 8-9 9-10 9-11 10-14 15-21 16-22 17-24

18-25 19-26

normalized bonds :

14-15 14-19 15-16 16-17 17-18 18-19

G1:C,O,N,P

G2:0,S,N

G3:0,S

G4:H, NO2, X

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 21:CLASS 22:CLASS 24:CLASS 25:CLASS 26:CLASS

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR

$$G1$$
 $G2$
 $G4$
 $G4$
 $G4$
 $G4$

G1 C, O, N, P

G2 O, S, N

G3 0, S

G4 H, NO2,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:32:55 FILE 'REGISTRY'

Page 506/09/2006

SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 44 TO 476
PROJECTED ANSWERS: 2 TO 124

L3 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:33:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 281 TO ITERATE

100.0% PROCESSED 281 ITERATIONS 33 ANSWERS

SEARCH TIME: 00.00.01

L4 33 SEA SSS FUL L1

=> s 12

SAMPLE SEARCH INITIATED 15:33:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4657 TO ITERATE

42.9% PROCESSED 2000 ITERATIONS 1 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 89048 TO 97232 PROJECTED ANSWERS: 1 TO 137

L5 1 SEA SSS SAM L2

=> s 12 full

FULL SEARCH INITIATED 15:33:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 93042 TO ITERATE

100.0% PROCESSED 93042 ITERATIONS 152 ANSWERS

SEARCH TIME: 00.00.01

L6 152 SEA SSS FUL L2

=> fil caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
335.20
335.41

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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11 FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)
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http://www.cas.org/infopolicy.html

=> d his

(FILE 'HOME' ENTERED AT 15:30:22 ON 06 SEP 2006)

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FILE 'REGISTRY' ENTERED AT 15:30:37 ON 06 SEP 2006
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 2 S L1
L4 33 S L1 FULL
L5 1 S L2
L6 152 S L2 FULL
```

FILE 'CAPLUS' ENTERED AT 15:33:21 ON 06 SEP 2006

=> d ed abs ibib hitstr 1-15

```
19 ANSVER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 16 May 2005

AB Glycerophosphoethanolamine (GPEth) and glycerophosphoserine (GPSer) lipids were reacted with a multiplexed set of differentially isotopically enriched M-methylpiperazine acetic acid M-hydroxysuccinimide ester reagents, which place isobaric mass labels at a primary manno group. The resulting derivitized aminophospholipids were isobaric and chromatog. indistinguishable but yielded pos. reporter ions (m/z 110 or 117) after collisional activation that could be used to identify and quantify individual members of the multiplex set. The chromatog, and mass spectrometric response of N-methylpiperazine amide-tagged aminophospholipids was probed using glycerophosphosphonolamine and glycerophosphoserine lipid stds. The (M-H)+ of each tagged aminophospholipids was useful for an unbiased anal. of detecting aminophospholipid shifted 144 Da, and during collision-induced dissociation the major fragmentation ion was either m/z 114 or 117. This mode of detecting aminophospholipids was useful for an unbiased anal. of plasmalogen GPEth lipids. Mol. species information on the esterified fatty acyl substituents was obtained by collisional activation of the [M-H]-ions. The isotope-tagged reagents were used to assess changes in the distribution of GPEth lipids after exposure of liposomes made from phospholipids extracted from RAW 264.7 cells to CU2+/H2O2 to illustrate the ability of these reagents to aid in the mass spectrometric identification of aminophospholipid changes that occur during biol. stimuli.

ACCESSION NUMBER: 2005-412987 CAPLUS

DOCUMENT NUMBER: 2005-412987 CAPLUS

DOCUMENT NUMBER: 2005-412987 CAPLUS

SOURCE: January Carlos Carlos
```

N— CH2-C-0-N

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Definition of the composition of
```

(Continued) L9 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN 741683-79-4 CAPLUS 2,5-Pyrrolidinedione, 1-[(1-piperidinylacetyl)oxy)- (9CI) (CA INDEX NAME) 768385-34-8 CAPLUS 2,5-Pyrrolidinedione, 1-[[(2,6-dimethyl-1-piperidinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 20 Aug 2004 This invention pertains to methods, mixts., kits and/or compns. for the determination of analytes by mass anal. using unique labeling reagents or sets of

sets of
unique labeling reagents. The labeling reagents can be isomeric or
isobaric and can be used to produce mixts. suitable for multiplex anal. of
the labeled analytes.
ACCESSION NUMBER: 2004:681717 CAPLUS
DOCUMENT NUMBER: 141:202794

DOCUMENT NUMBER: TITLE:

141:202794
Methods, mixtures, kits and compositions pertaining to
analyte determination
Pappin. Darryl J. C., Bartlet-Jones, Michael
Appleca Corporation, USA
PCT Int. Appl., 105 pp.
CODEN: PIXXD2

INVENTOR (S):

PATENT ASSIGNEE (S): SOURCE:

| SOURCE: | PCT Int. Appl., 105 pp.
CODEN: PIXXD2 | |
|------------------------------|---|----------------------|
| DOCUMENT TYPE: | Patent | , |
| LANGUAGE: | English | ٠٠. |
| FAMILY ACC. NUM. COUNT: | | $\nabla \alpha_{ij}$ |
| PATENT INFORMATION: | | Fun |
| PATENT NO. | KIND DATE APPLICATION NO. | DATE |
| WO 2004070352 | A2 20040819 W0 2004-US2077 | 20040127 |
| W: AE, AG, AL, | AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, | BZ, CA, CH, |
| CN, CO, CR, | CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, | FI, GB, GD, |
| | HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, | |
| | LT, LU, LV, MA, MD, MG, MK, MN, MV, MX, | |
| | KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, | |
| | CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, | |
| MC, NL, PT, | RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, | CHEJGA, GN, |
| GQ, GW, ML,
AU 2004209401 | MR, NE, SN, TD, TG | 20040127 |
| | ለ1 20040819 AU 2004-209401 ኒ
AA 20040819 CA 2004-2488584አን | 20040127 |
| | | 20040127 |
| US 2004219003 | A1 20041104 US 2004-765264 | 20040127 |
| US 2004220412 | | 20040127 |
| EP 1588145 | A2 20051026 EP 2004-705571 | 20040127 |
| | DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, | |
| | LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, | |
| US 2006105416 | A1 20060518 US 2005-319685 | |
| PRIORITY APPLN. INFO.: | US 2003-443612P | |
| | US 2004-765267 | 1 20040127 |
| | WO 2004-US2077 1 | 20040127 |

741683-76-1P 741683-77-2P 741683-78-3P 741683-79-4P 741683-80-7P 741683-86-3P 741683-93-2P IT

741603-793-72P
RE: SPN (Synthetic preparation); PREP (Preparation)
[methods, mixts., kits and compns. pertaining to analyte determination)
741603-76-1 CAPLUS
2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl)oxy]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

741683-86-3 CAPLUS 2,5-Pyrrolidinedione, 1-[(1-piperidinylacetyl-1-13C)oxy]- (9CI) (CA INDEX NAME)

741683-93-2 CAPLUS 2.5-Pyrrolidinedione, 1-[{1-piperidinylacety1-2-13C)oxy}- (9CI) (CA INDEX NAME)

ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

741683-77-2 CAPLUS 2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl-1-13C)oxy]- (9CI) (CA INDEX NAME)

741683-78-3 CAPLUS 2,5-Pyrrolidinedione, 1-[{4-morpholinylacetyl-2-13C)oxy}- (9CI) (CA INDEX NAME)

741683-79-4 CAPLUS 2,5-Pyrrolidinedione, 1-{(1-piperidinylacetyl)oxy}- (9CI) (CA INDEX NAME)

741683-80-7 CAPLUS 2,5-Pyrrolidinedione, 1-[(1-piperazinylacetyl)oxy]- (9CI) (CA INDEX NAME)

L9 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 May 2004
AB The process comprises N-alkylating swainsonine with bromoscetic acid
N-succinimido ester in acetone under refluxing, coupling with bovine serum
albumin in vater at 0 °C, dialyzing, freeze drying, and emulsifying
with Freund's adjuvant.
ACKESTS Freund's adjuvant.
DOCUMENT NUMBER: 2004;399339 CAPLUS
DOCUMENT NUMBER: 141:254556
TITLE: Grassland's locoweed toxin vaccine
DOMN, Dewenr Cao, Guangrong, Zhao, Baoyur Ge, Pengbin
DATENT ASSIGNEE(S): Danong Biotechnology Co., Ltd., Yangling, Peop. Rep.
China

China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp. CODEN: CNXXEV

DOCUMENT TYPE: P2
LANGUAGE: C1
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: Patent Chinese

PATENT NO. KIND DATE APPLICATION NO.

CN 1395967 A 20030212 CN 2002-114592 20020524
PRIORITY APPLM. INFO.: CN 2002-114592 20020524
IT 754196-04-8P
RL: PR(Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(vaccine for Grassland's locoweed toxin)
RN 754196-04-8 CAPULS
CN Indolizinium, 4-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]octahydro1,2,8-trihydroxy-, bromide, (15,2R,8R,8aR)- (9CI) (CA INDEX NAME)

DATE

Absolute stereochemistry.

ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 28 Nov 2003

AB This invention relates to compds. of formula I [A1-A6 = C, N; R1 = H, alkyl, cycloalkyl, CH2-cycloalkyl, etc.; R2 = alkyl; R3-R12 = H, alkyl, CF3, alkowy, halo, OH, CN, etc.] that are efflux pump inhibitors and therefore are useful as potentiators of anti-fungal agents for the treatment of infections caused by fungi that employ an efflux pump resistance mechanism. Thus, II was prepared and showed a reduced MIC value against Candida albicans in the presence of fluconazole.

ACCESSION NUMBER: 2003-330975 CAPLUS

COCUMENT NUMBER: 139:395945

INVENTOR(S): 40 Accepted the presence of fluconazole are decivatives as fungal efflux pump inhibitors

Monica Markins, Will J.: Lemoine, Remy; Cho, Aesop; Palme, Monica

PATENT ASSIGNEE(S): USA

SOURCE: 40 Accepted the presence of the control of the presence of fluconazole.

ACCEDEN: USANCO Palme, COUEN: USANCO Patent

English

FAMILY ACC. NUM. COUNT: 3

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 14 Sep 2003

$$\begin{bmatrix} R^{4} & & & \\ & &$$

The title compds. [I; A = phenylene or heteroarylene; m = 0-2; n = 0-2; R1 = halo, NO2, CM, OH, CO2H, etc.; R2 = H, OH, CO2H; R3 = H, OH, aryl, heterocyclyl, etc.; R4 = H, halo, NO2, CN, etc.] which possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity such as diabete type II, were prepared Thus, amidation of 5-chloro-IH-indole-2-carboxylic acid with Me 2-(3-amino-2-oxo-3,4-dihydroquinolin-1-(2H)-yl)acetate (preparation given) in the presence of

HOBT,

DCM and EDCI afforded 594 II. The compost is showed IC50 values in the range 100 M to 1nM against against hr1 glycogen phosphorylase a.

Pharmaceutical composition comprising the compound I was claimed.

ACCESSION NUMBER: 2003:719471 CAPLUS

DCCUMENT NUMBER: 139:261174

TITLE: Preparation of N-heterocyclyl indole-2-carboxamides as glycogen phosphorylase inhibitors

Birch, Alan Martin Morley, Andrew David

Astraxence AB, Swed. Astrazeneca UK Limited

PCODEN: PIXMOZ

DCCUMENT TYPE: Patent

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PAT | PENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|-----|------|------|-----|-----|------|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| WO | 2003 | 0745 | 13 | | Y5 | | 2003 | 0912 | , | WO 2 | 003- | GB89 | 3 | | 2 | 0030 | 304 |
| WO | 2003 | 0745 | 13 | | A3 | | 2003 | 1231 | | | | | | | | | |
| | W: | AΕ, | AG, | AL, | AM, | AΤ, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | co, | CR, | CU, | CZ, | DE, | DX, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS. | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | w, | LV, | MA, | MD, | MG, | MK, | MN, | MV, | MX, | MZ, | NO, | NZ, | OM, | PH. |
| | | PI. | PT. | BO. | R11. | SC | SD. | SF | SG | SY | SI | TI | TW | TN | TD | TT | 77 |

Page 1006/09/2006

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ANSVER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS ON STN US 2003220338 A1 20031127 US 2002-243074 US 56596723 B1 20030722 US 2001-906864 US 2003229097 A1 20031211 US 2002-334755
                                                                                                                                                                                                                                                                                                                                                                           20010716
20021230
                                                                                                                                                                              20040210
20040325
                                                 2004024140 A1 20040325 W0 2003-US5184 20030221
W: AE, MG, AL, AH, AT, AU, AZ, BA, BS, BS, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DH, DZ, EC, EE, ES, FI, GB, GD, GE, GH, CH, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, KK, MZ, NO, NZ, OH, PB, FL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, VU, ZA, ZH, ZV
RW: GH, CH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DZ, DK, EE, ES, FI, FR, GB, GR, HU, LE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, CO03215343 A1 20040430 APPLN. INFO:

1003215343 A1 20040430 A1 2003-215343 US 2001-906864 A2 20010716 US 2002-243074 A2 20020912 UNCEE(S):

1003CE(S): MARPAT 139:395945
                                                                                                                                                                                                                                              WO 2003-US5184
                                                                                                                                                                                                                                                                                                                                                                           20030221
    BJ, CF, CO
AU 2003215343
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 139:395945

IT 626245-59-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazolinylmethyl urea derivs. as fungal efflux pump inhibitors)

RN 626245-59-8 CAPLUS

CN Urea, N-(2,4-dimethoxyphenyl)-N-[1-[3-[4-[2-(2,5-dioxo-1-pyrcolidinyl)oxy]-2-oxoethyl]-1-piperazinyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)
```

L9 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

UA, UG, US, UZ, VC, VN, YU, ZA, ZN, ZV

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, BU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, FT, RO, SZ, SI, SK, TR,
BF, BJ, CF, CG, CT, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003216991 A1 20030916 AU 2003-216991 20030304
EP 1465371 A2 20041215 EP 2003-7122313 20030304
FR AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005131016 A1 20050616 US 2003-506748 20030304
JP 2005525364 T2 20050825 JP 2003-512991 20030304
FRIORITY APPLN. INFO:: GB 2002-5162 A 20020306
OTHER SOURCE(S): MARPAT 139:261174

```
AMSYER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 01 Dec 1999

A simple and sensitive LC method that rapidly labels amino compds.

A simple and sensitive LC method that rapidly labels amino compds.

A simple and sensitive LC method that rapidly labels amino compds.

A simple and sensitive LC method that rapidly labels amino compds.

A simple and sensitive LC method that rapidly labels amino compds.

A simple amino action action
                                                                         rved
after heating in 50% acetonitrile at 40° for 24 h. Studies on the
derivatization conditions indicate that amines or amino acids react very
rapidly with ANHS under the proposed conditions. The method, in
conjunction with a multi-step gradient, offers baseline resolution of common
amine or amino acid derivs. on a reversed-phase C18 column. This method
is more convenient and more efficient than previous methods which require
prior conversion of carboxylic acids to acyl chlorides, which are unstable
to moisture. The LC separation of amino acid derivs. has good
reproducibility. The established method is also suitable for the
rmination of
   reproducation of other amine composition of other amine composition of the composition of
                                                                                                                                                                                                                                                                                                                                                                   132:148595
Characterization and application of acridine-9-N-acetyl-N-hydroxysuccinimide as a pre-column derivatization agent for fluorimetric detection of amino acids in liquid chromatography You, Jinmaol Lao, Wenjian; You, Jing Wang, Guojun Lanzhou Inst. Chem. Phys., Chinese Academy of Sciences, Lanchou, 730000, Peop. Rep. China Analyst (Cambridge, United Kingdom) (1999), 124(12), 1755-1760
CODEN: ANALAO, ISSN: 0003-2654
Royal Society of Chemistry
Journal
           DOCUMENT NUMBER:
TITLE:
           AUTHOR(S):
CORPORATE SOURCE:
           SOURCE:
           PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
IT 150321-96-3P
                                                                                                                                                                                                                                                                                                                                                                          English
                                                                         150321-96-3P RL: ARG (Analytical reagent use): SPN (Synthetic preparation); ANST (Analytical study): PREP (Preparation): USES (Uses) (characterization and application of acridine-9-N-acetyl-N-hydroxysuccinimide as a pre-column derivatization agent for fluorimetric detection of amino acids in liquid chromatog.) 150321-96-3 CAPUS 2.5-Pyrrolidinedione, 1-[[(9-oxo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)
```

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THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
REFERENCE COUNT:
                                22
L9 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
                                                                            (Continued)
                                                                           PAGE 1-A
                                                                           PAGE 2-A
      CM 2
```

ANSWER 7 OF 15 CAPILIS COPYRIGHT 2006 ACS on STN

(Continued)

ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 21 Mar 1995

AB The crystal structure of 18-membered cyclic pseudopeptide I, containing N,N'-ethylene-bridged-(5)-alanyl-(5)-alanine and glycine was determined by x-ray crystallog.

examined by 1H NMR Moreover, the structure of this pseudopeptide was examined by 1H NMR measurement in CD3CN, and by mol. mechanics calcus.

ACCESSION NUMBER: 1995:427460 CAPLUS
1021:83992
TITLE: Structure of cyclic hexa-pseudopeptide constructed from N,N'-ethylene-bridged-(5)-alanyl-(5)-alanine and glycine

AUTHOR(S): Kojima, Yoshitaner Yamashita, Tetsushir Miyake, Hiroyuki

CORPORATE SOURCE: Fac. Sci., Osaka City Univ., Osaka, 558, Japan
COMPORT TYPE: Nippon Kagakkai
JOURNAL SOURCE: Nippon Kagakkai
JOURNAL SOURCE: Source: Nippon Kagakkai
JOURNAL SOURCE: Nippon Kagakkai
JOURNAL SOURCE: English

PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: English

1 164857-03-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(structure of cyclic hexapseudopeptide constructed from
ethylene-bridged alanylalanine and glycine)

RN 164857-03-8 CAPUS

CN Piperazinone, 4-(aminoacetyl)-1-{2-((2,5-dioxo-1-pyrrolidinyl)oxyl-1methyl-2-oxoethyl]-3-methyl-, monohydrochloride, [5-(R*,R*)]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 23 Jul 1994

Fluorescent compds. useful in the determination of chloramphenicol acetyltransferase (CAT) enzyme activity are described. The compds. BASE-Ns-"X are fluorescent derivs. related in structure to chloramphenicol comprising a base (1), substituted at one to five aromatic ring positions by substituents, which may be the same or different, that are alkyl, hydroxy, alkoxy, aryl, halo, nitro, amino, alkylanddo, or arylamido, and 0 < n < 6, and a fluorescent moiety "X (nonreduced tricyclic difluoroboradizazindacene fluorophore) linked to the terminal CH2 of BASE through a linker Ns (e.g., NH*X, NHCOCH2*X). The substrate compds. are acylated in the presence of CAT to produce fluorescent mono- and diacylated products, which are then phys. separated from the reaction sure

mixture

and quantitated by means of their fluorescence and/or absorbance.
Fluorescent mols. conjugated to chloramphenicol include derivs. of
fluorescein, chodamine, coumacin, dimethylaminonaphthalenesulfonic acid
(dansyl), pyrene, anthracene, nitrobenzoxadiazole (NBD), acridine and
dipyrrometheneboron difluoride.

ACCESSION NUMBER: 1994:433864 CAPLUS
DOCUMENT NUMBER: 121:35864
Fluorescent chloramphenicol derivatives for
determination of chloramphenicol acetyltransferase
activity

INVENTOR(5):

PATENT ASSIGNEE(S):

determination of chloramphenicol acetyltransferase activity Haughland, Richard P.: Kang, Hee C.; Young, Steven L.; Melner, Michael H. Holecular Probes, Inc., USA U.S., 13 pp. Cont. of U.S. Ser. No. 321,494, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5262545 US 5364764 PRIORITY APPLN. INFO.: US 1991-722352 US 1992-994992 US 1989-321494 US 1991-722352 19931116 19910618 19921221 19941115 B1 19890309 A3 19910618

OTHER SOURCE(S): MARPAT 121:35864

IT 150321-96-3

RL: RCT (Reactant): RACT (Reactant or reagent)
(fluorescent chloramphenicol derivs. for determination of chloramphenicol
accetyltransferase activity)

RN 150321-96-3 CAPUS

CN 2,5-Pyrrolidinedione, 1-[[(9-oxo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA

Page 1206/09/2006

ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• HCl

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ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
Entered STN: 05 Mar 1994
A photoluminometric immunoassay comprises reacting 2 immunoreactants, 1
labeled with a photoluminescent energy transfer donor capable of
photoluminescence and the other labeled with a photoluminescent energy
transfer acceptor complementary to the donor: exciting the sample with
radiation; and calculating the apparent luminescence lifetime to determine
the presence of a reaction product. Studies were done using goal anti-mouse IgG labeled with the donor dichlorotriazinylaminofluorescein and mouse IgG labeled with the acceptor tetramethylrhodamine isothiocyanate.

ACCESSION NUMBER: 1994:101282 CAPUS
DOCUMENT NUMBER: 120:101282 CAPUS
ITILE: 120:101282 Pluorescent energy transfer immunoassay
INVENTOR(S): Lakowicz, Joseph; Malival, Badri; Thompson, Richard; Ozinskas, Alvydas
PATENT ASSIGNEE(S): University of Maryland, USA
Eur. Pat. Appl., 26 pp.
CODEN: EPXCMV

DOCUMENT TYPE: Patent
LANGUAGE: English
 DOCUMENT TYPE:
LANGUAGE:
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                   PATENT NO.
                                                                                             KIND DATE
                                                                                                                                                                   APPLICATION NO.
                                                                                                                                                                                                                                                         DATE
               A2
ar 552108
A3
R: DE, FR, GB, IT
CA 2087413
A3
JP 06066802
A2
JP 3325939
B2
US 5631169
UTT APPLN.
                                                                                                                      19930721
19930922
                                                                                                                                                                  EP 1993-400091
                                                                                                                                                                                                                                                         19930115
                                                                                                                    19930718
19940311
20020917
19970520
                                                                                                                                                                  CA 1993-2087413
JP 1993-6057
                                                                                                                                                                                                                                                         19930115
19930118
US 5631169 A 19970520 US 1994-183238 19940119
PRIORITY APPLN. INFO.:

IT 150321-96-3D, conjugates with immunoreactant
R1: ANST (Analytical study)
(in photoluminometric immunosasay)
RN 150321-96-3 CAPIUS
CN 2,5-Pyrolidinedione, 1-[[(9-oxo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA
                                                                                                                                                                  US 1994-183238
US 1992-822233
                                                                                                                                                                                                                                             19940119
A 19920117
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CRN 14797-73-0 CMF C1 04 L9 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (C

L9 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

(Continued)

Page 1306/09/2006

ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 05 Oct 1991

The title compds. [I; R = ON:CRSR6; R1 = 1-4 substituents which may be the same or different selected from H, halo, cyano, (halo)alkyl, etc.; RS = H, cyano, (halv), alkenyl, etc.; RS = H, cyano, (halo)alkyl, alkowy, etc.; X = (un) substituted alkylene; Y, Z = O, S] were prepared as safeners for $2-\{(hetero)aryloxyphenoxylacetate and -propionate or alkowizintomethylenecycylohexenome herbicides. Thus, I <math>\{R1 = H, X = CH2, Y = Z = O\}$ (II); R = CH) (preparation given) was condensed with Me2C:NOH to

give

II (R = ON:CHe2). II [R = ON:CR5R6; R5R6 = (CH2)3CH:C(OEt)] reduced damage to wheat of 0.03 kg/ha of the herbicide EtsCHMEM221C(:NOEt)Pr (ZI = hydroxycyclohexenonylene group Q) from 70 to 10% (with 95% control of annual ryegrass) at 0.125 kg/ha.

ACCESSION NUMBER: 1991:S35937 CAPLUS
DOCUMENT NUMBER: 11951:S35937

DOCUMENT NUMBER: TITLE:

Preparation of N-[[(alkylideneimino)oxycarbonyl]alkyl]-1,8-naphthalenedicarboximides and analogs as herbicide safeners

safeners
Saupe, Thomas; Neyer, Norbert; Plath, Peter; Schirmer,
Ulrich; Wuerzer, Bruno; Westphalen, Karl Otto; Patsch,
Manfred; Pfister, Juergen
BASF A.-G., Germany
Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
Patent INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------|-----------------|-------------------|----------|
| | | | |
| EP 430004 | A2 19910605 | EP 1990-122030 | 19901117 |
| EP 430004 | A3 19911218 | | |
| R: AT, CH, DE, | ES, FR, GB, IT, | LI, NL, SE | |
| DE 3939379 | A1 19910606 | DE 1989-3939379 | 19891129 |
| DE 4021654 | A1 19920109 | DE 1990-4021654 | 19900707 |
| CA 2030129 | AA 19910530 | CA 1990-2030129 | 19901116 |
| US 5076831 | A 19911231 | US 1990-615865 | 19901120 |
| JP 03190861 | A2 19910820 | JP 1990-323392 | 19901128 |
| PRIORITY APPLN. INFO.: | | DE 1989-3939379 A | 19891129 |
| | | DE 1990-4021654 A | 19900707 |

ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 06 Jan 1990

AB RRICHCONHCH (CO2R2) (CH2) 2COR3 [I; R = H, lower alkyl, PhCH2; R1 = (NH) s(CH2) nW, Q; R2 = H, lower alkyl; R3 = Q1, Q2, Q3, NR4CHR2CO2R2; W = H, CO2H, NH2, OH; Y = H, lower alkyl; R3 = Q1, Q2, Q3, NR4CHR2CO2R2; W = H, CO2H, NH2, OH; Y = H, lower alkyl; R3 = Q1, Q2, Q3, NR4CHR2CO2R2; W = H, CO2H, NH2, OH; Y = H, lower alkyl; Ph, PhCH2; R4 = C4-8 cycloalkyl, halo, alkoxy, (OH-substituted) Ph; m = Q, I; n = Q-4] and their salts are prepared Refluxing 28 g 2 (5)-bromopropionic acid with 42 g PhCI2OH in PhMe gave 17.0 g benzyl 2-(5)-bromopropionate, 2.2 g of which was stirred with 1.6 g 1-benzylpiperazing in MeCN, then hydrolyged with aqueous NAOH to give 1.0 g 2-(R1)-(4-benzylpiperazinyl)propionic acid (II). Then, 24.5 g t (25, 3a5, 7a5)-octahydro-IH-indole-2-carboxylate-HCl in CH2Cl2, then reduced, and then hydrolyged with aqueous NAOH to give 15, O1 g (25, 3a5, 7a5)-1-(Y-D-glutanyl)octahydro-IH-indole-2-carboxylate acid (III). Then, 0.8 g II was treated with 0.4 g N-hydroxysuccinimide in CHCl3 to give 2-(R)-(4-benzylpiperazinyl)propionalc acid N-hydroxysuccinimide ester, which was treated with 1.0 g III in THF to give 0.8 g (25, 3a5, 7a5)-1-(N-(QH)-benzylpiperazinyl)propionalcy-D-glutamylloctahydro-IH-indole-2-carboxyllc acid, 0.4 g of which was refluxed with HOOZH in Heoff in the presence of Pd black for 4 h to give 0.2 g (25, 3a5, 7a5)-1-(N-(2R)-piperazinylpropionyl)-y-D-glutamylloctahydro-IH-indole-2-carboxyllc acid, which showed an ICSO of 2.1 + 10-7 H against angiotensin converting enzyme.

ACCESSION NUMBER: 1990:737 CAPLUS

INVENTOR(S): Sawyana, Tadahiror Nishimura, Kazuyar Deguchi, Takashi

PATENT ASSIGNEE(S): Janippon Pharmaceutical Co., Ltd., Japan Jon. Kokai Tokkyo Koho, 10 pp.

COCCHENT TYPE: LANGUAGE: JOXAF

PAHENT ASSIGNEE(S): Japanese

FAMILIY ACC. NUM. COUNT:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE A2 19890517 JP 01125357 JP 1907-281073 19871106

Page 1406/09/2006

L9 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (CorOTHER SOURCE(5): MARPAT 115:135937
IT 13590-49-3P
R1: SPN (Synthetic preparation): PREP (Preparation): (preparation of, as herbicide safener)
RN 135980-49-3 CAPLUS
CN IN-Benz(dejisoquinoline-1,3(ZH)-dione, 2-{2-{(1,2,5-dioxo1-pyrrolidinyl)oxy]-2-oxoethyl]- (9CI) (CA INDEX NAME) (Continued)

L9 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) PRIORITY APPLM. INFO.: JP 1987-281873 19871106 OTHER SOURCE(S): MARPAT 112:7937 IT 124078-64-4P

124078-64-4P
AL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation of, with (glutamyl)indolecarboxylic acid)
124078-64-4 CAPLUS
2,5-Pyrcolidinedione, 1-[1-oxo-2-[4-(phenylmethyl)-1-piperazinyl]propoxy](R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 22 Jul 1988

AB Synthetic routes to cyclic peptides cyclo(Sar-EAA)4 (EAA = residue of title acid 1) and cyclo(Sar-Sar-Sar-EAA)2 are described. Interaction of these cyclic peptides with p-toluenesulfonic acid salt of sodium, benzylamine, and 4-phenylbutylamine were studied by IH NMR.

ACCESSION NUMBER: 109:23356 CAPLUS
DOCLMENT NUMBER: 109:23356

TITLE: 109:23356

TITLE: 109:23356

TITLE: 109:23356

TITLE: 109:23356

TITLE: 109:23356

TITLE: 109:23356

TOCHERNT NUMBER: 109:23356

TOCHERNT SOURCE: 109:23356

CORPORATE SOURCE: Pac. Sci., 0saka City Univ., 0saka, 558, Japan Polymer Journal (Tokyo, Japan) (1987), 19(10), 1221-3 COEM: POLYMER; DOLUMENT TYPE: Journal LANGUAGE: English

TITLE: 114967-10-1P

SOURCE: Polymer Journal (Tokyo, Japan) (1987), 19(10), 1221-3
CODEN: Polymer, Polymer, Science, Scienc

CRN 114967-09-8 CMF C48 H73 N13 015

L9 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

PAGE 1-A

PAGE 1-B

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(FILE 'HOME' ENTERED AT 15:30:22 ON 06 SEP 2006)

| | FILE | 'REGISTRY' ENTERED AT 15:30:37 ON 06 SEP 2006 |
|----|------|---|
| L1 | | STRUCTURE UPLOADED |
| L2 | | STRUCTURE UPLOADED |
| L3 | | 2 S L1 |
| L4 | | 33 S L1 FULL |
| L5 | | 1 S L2 |
| L6 | | 152 S L2 FULL |
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| | FILE | 'CAPLUS' ENTERED AT 15:33:21 ON 06 SEP 2006 |
| L7 | | 21 S L4 |
| r8 | | 52 S L6 |
| L9 | | 15 S L4 NOT L6 |

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ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

AB Isotopically enriched N-substituted piperazines (I) or salts thereof, comprising one or more heavy atom isotopes (Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each independently comprise linked hydrogen, deuterium or fluorine atoms; Z = independently H, F, Cl. Br, iodine, an anino acid side chain, a straight chain or branched C1-6 alkyl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H or F atoms, a straight chain or branched C1-6 alkyl ether group (wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms), or a straight chain or branched C1-6 alkoxy group that may optionally contain a substituted or unsubstituted aryl group seach independently comprise linked hydrogen or fluorine atoms; or a straight chain or branched C1-6 alkoxy group that may optionally contain a substituted or unsubstituted aryl groups wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms; wherein the N-methylpiperazine is isotopically enriched with either of 13C and/or 15N) are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine is intermediates in the synthesis of active esters of N-substituted piperazine acetic acid. The active esters of N-substituted piperazine acetic acid can be used as labeling reagents can be used to label analytes such as peptides, proteins, amino acids, oligonucleotides, DNA, NNA, lipids, carbohydrates, steroids, small mols, and the like (no data). Thus, to a stirring solution of 1.18 g (11.83 mmol) N-methylpiperazine in 15 mL toluene at room temperature was added 1 g (5.91 mmol) of £t bromoacetate-1,2-13C dropwise, over a period of 15 min. The reaction mixture was then heated in an oil bath at 90' for 4 h, cooled to room temperature, filter

DOCUMENT NUMBER: TITLE:

INVENTOR (S): PATENT ASSIGNEE (S): SOURCE:

143:115574
Preparation of isotopically enriched N-substituted piperazines
Pappin, Darryl J. C.; Pillai, Sasi; Coull, James M. Applera Corp., USA
U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXXCO

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(Continued)
(Reactant or reagent)
(preph. of isotopically enriched N-substituted piperazines as isobaric labeling reagents)
856188-16-4 CAPLUS 2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-13C2-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

856187-87-6P 856188-06-2P 857027-09-9P RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of isotopically enriched N-substituted piperazines as

acto
Labeling reagents)
856187-87-6 CAPUS
2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS 2.5-Pyrrolldinedione, 1-{[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

857027-09-9 CAPLUS 2-Pyrrolidinone, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

Page 1706/09/2006

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN DOCUMENT TYPE: P
LANGUAGE: B
FAMILY ACC. NUM. COUNT: 6

| PAT | ENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
|-----|------|-------|-----|-----|-----|-----|------|------|-----|------|------|--------------|-----|-----|------|------|-----|
| | | | | | | - | | | | | | | | | _ | | |
| US | 200 | 51487 | 73 | | A1 | | 2005 | 0707 | | US 2 | 004- | 7513 | 88 | | 2 | 0040 | 105 |
| AU | 200 | 52055 | 22 | | A1 | | 2005 | 0728 | | AU 2 | 005- | 2055 | 22 | | 2 | 0050 | 105 |
| WO | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | | WO 2 | 005- | υ 522 | 3 | | 2 | 0050 | 105 |
| | ¥: | ΑE, | λG, | AL, | AM, | AT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG. | ES. | FI. | GB, | GD, |
| | | | | | | | ID, | | | | | | | | | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK. | MN. | MW. | MX. | MZ. | NA. | NI. |
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| | | | | | | | TZ, | | | | | | | | | | |
| | RV: | BW, | GH, | GM, | KE, | LS, | MV. | MZ. | NA. | SD. | SL. | SZ. | TZ. | UG. | ZM. | ZW. | AM. |
| | | | | | | | RU, | | | | | | | | | | |
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US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 20040105 20040105 20040105 20040105 20040412 20040524 20050105 PRIORITY APPLN. INFO.:

WO 2005-US223

OTHER SOURCE(s): MARPAT 143:115574

IT 856188-20-0P

RI: ARG (Analytical reagent use): SPN (Synthetic preparation); ANST (Analytical study): PREP (Preparation): USES (Uses)

(preparation of isotopically enriched N-substituted piperazines as

atic labeling reagents) 856188-20-0 CAPLUS 2,5-Pyrrolidinedione, 1-[((4-methyl-1-piperazinyl-1-15N)acetyl-2-13C-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

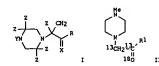
●2 HC1

856188-16-4P

(Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005



AB In some embodiments, this invention pertains to active esters of N-substituted piperazine acetic acid I (R = leaving group: X = O, S: Y = Cl-C6 alkyl, Cl-C6 alkyl ether; Z = H, ZH, F, Cl, Br. iodide, amino acid side chain, Cl-C6 alkyl, Cl-C6 alkyl ether), including isotopically enriched versions thereof. In some embodiments, this invention pertains to methods for the preparation of active esters of N-substituted piperazine acetic acid, including isotopically enriched versions thereof. For example, the isotopically labeled N-methylpiperazine II (Rl = BOH) reacted with the trifluoroacetic acid ester of N-hydroxysuccinimide to give the succinate II (Rl = OR2, R2 = succinimido).

ACCESSION NUMBER: 2005:592129 CAPUS

DOCUMENT NUMBER: 143:97398

Preparation of active esters of N-substituted

DOCUMENT NUMBER: TITLE:

Preparation of active esters of N-substituted piperazine acetic acids, including isotopically enriched versions

enriched versions
Dey, Subhakar: Pappin, Darryl J. C.: Purkayastha,
Subhasiah: Pillai, Sasi; Coull, James M.
Applera Corp., USA
U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| - | | | | | **** | _ | ~~~ | | | | | | | | _ | | | . C |
|-----|------|------|-----|-----|------|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-------|--------|
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| US | 2005 | 1487 | 71 | | A1 | | 2005 | 0707 | - 1 | US 2 | 004- | 7513. | 54 | | 2 | 0040 | 105 🕶 | - |
| ΑU | 2005 | 2055 | 22 | | A1 | | 2005 | 0728 | - 1 | AU 2 | 005- | 2055 | 22 | | 2 | 0050 | 105 | |
| WO | 2005 | 0684 | 46 | | Al | | 2005 | 0728 | 1 | ¥O 2 | 005- | US22 | 3 | | 2 | 0050 | 105 | |
| | ٧: | AE, | AG, | AL. | AM. | AT. | AU. | AZ. | BA. | BB. | BG, | BR. | BW. | BY. | BZ. | CA. | CH. | |
| | | | | | | | | | | | EC, | | | | | | | |
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| | HW: | | | | | | | | | | SL, | | | | | | | |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY. | CZ. | DE. | DK. | |
| | | EE. | ES. | FI. | FR. | GB. | GR. | HU. | IE. | IS. | IT. | LT. | LU. | MC. | NL. | PL. | PT. | |
| | | | | | | | | | | | CI, | | | | | | | |

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●2 HC1

856188-20-0 CAPLUS 2,5-Pytrolidinations, 1-[[(4-methyl-1-piperazinyl-1-15N)acetyl-2-13C-18Oloxyj-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L7 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN MR, NE, SN, TD, TG (Continued) US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 20040105 20040105 20040105 20040105 20040412 20040524 20050105 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 143:97398

IT 835187-87-69 856188-06-2P 856188-16-4P
885188-20-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (preparation of active esters of N-substituted piperazine acetic acids their labeled derivs.)
856187-87-6 CAPUS
2,5-Pyrrolidinedione, 1-[{(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS 2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

856189-16-4 CAPLUS
2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-13C2-180]oxy]-,
dihydrochloride (9CI) (CA INDEX NAME)

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L7 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Jul 2005
B This invention pertains to mixts. of isobarically labeled analytes and
fragment ions thereof.
CCCESSION NUMBER: 2005:592027 CAPLUS
                                                                                                   2005:592027 CAPLUS
143:93642
Mixtures of isobarically labeled analytes and
fragments ions derived therefrom
Pappin, Darryl J. C., Purkayastha, Subhasish; Coull,
James M.
Applera Corp., USA
U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S.
Ser. No. 751,353.
CODEN: USXXCO
 DOCUMENT NUMBER:
 TITLE:
 INVENTOR(5):
 PATENT ASSIGNEE(S):
 DOCUMENT TYPE:
                                                                                                      English
6
 FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                    PATENT NO.
                                                                                                       KIND
                                                                                                                               DATE
                                                                                                                                                                                     APPLICATION NO.
                                                                                                                                                                                                                                                                                  DATE
                                                                                 A1 20050707 US 2004-022639 Q 2004012
A1 20050707 US 2004-751353 Q 2004012
A1 20050707 US 2004-751353 Q 2004012
A1 20050708 WO 2004-8527307 Q 20040524
A1 20050728 WO 2005-US223 Q 20050105
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, BK, SL, CA, CH, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SL, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VW, YU, ZA, ZM, ZW, GM, KZ, LS, MV, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, MK, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NI, PL, PT, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, SN, TD, TG
                                                                                                                                 20050707
20050707
20050707
20050728
20050728
                                                                                                                                                                                  US 2004-B22639

US 2004-F31353

US 2004-B52730

AU 2005-205522

WO 2005-US223
                   US 2005147985
US 2005147982
US 2005148087
                      WO 2005068446
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2005068446
W: AE, AG,
CN, CO,
GE, GH,
LX, LR,
NO, NZ,
TJ, TM,
RW: BW, GH,
AZ, BY,
EE, ES,
RO, SE,
MR, NE,
APPLN, INFO. US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 WO 2005-US223 A2 20040105 A 20040105 A 20040105 A 20040105 A2 20040412 PRIORITY APPLN. INFO .:

OTHER SOURCE(S): MARPAT 143:93642
IT 856188-06-2P 857027-09-9P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (aixts. of isobarically labeled analytes and fragments ions derived therefoco) 856188-06-2 CAPLUS 2,5-Pyrrolidinedione, 1-{{(4-methyl-1-piperazinyl)acetyl}oxy}- (9CI) (CA INDEX INMEX)

. L7 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

857027-09-9 CAPLUS 2-Pyrrolidinone, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

856187-87-6P 856188-16-4P 856188-20-DP
RL: SPN (Synthetic preparation), PREP (Preparation)
(mixts. of isobarically labeled analytes and fragments ions derived therefrom)
856187-87-6 CAPUS
2,5-Pyrrolidinedione, 1-{{(4-methyl-1-piperazinyl)acetyl-180]oxy}- (9CI)
(CA INDEX NAME)

856188-16-4 CAPLUS
2.5-Pyrrolldinedione, 1-[[(4-methyl-1-piperaziny1)acetyl-13C2-180]oxy]-,
dihydrochloride (9C1 (CA INDEX NAME)

ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

Isotopically enriched N-substituted piperazine-1-acetic acids (I) or salts thereof. comprising one or more heavy atom isotopes [X = 0, 5; Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each 1. The carbon atoms of the alkyl group or alkyl ether group each 2. Independently comprise linked hydrogen, deuterium or F atoms 2. Independently comprise linked hydrogen, deuterium or F atoms 2. Independently the deuterium of E1 alkyl group (wherein the carbon atoms of the substituted aryl group (wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H, deuterium or F atoms), a straight chain or branched C1-6 alkyl ether group that may optionally contain a substituted or unsubstituted aryl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H, deuterium or F atoms) are prepared independently comprise linked H, deuterium or F atoms) are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of active esters of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of active esters of N-substituted piperazine acetic acids shich in turn can be used as intermediates in the synthesis of active esters of N-substituted piperazine acetic acids. The active esters of N-substituted piperazine acetic acids and be used as labeling respents can be used to labeling reagents. The set of isobaric labeling respents can be used to label analytes such as peptides, proteins, amino acids, oligonucleotides, DNA, RNA, lipids, carbohydrates, steroids, small mois, and the like. Thus, to a stirring solution of 1.18 g (11.13 smol) N-methylpiperazine in 15 al toluene at room temperature was added 1 g (5.91 mmol) of Etheromacetate-1,2-10 droppies, over a period of 15 min. The reaction mixture was then heated in an oil bath at

on the

combined filtrate and washings, 1.10 g (quant.) of (-methylpiperazine-1acetic acid Et ester-1,2-13C [II] as an off-white oil. II (1.1 g) was
refluxed in water for 24 h to give 780 mg 4-methylpiperazine-1-acetic
acid-1,2-13C.

ACCESSION MUMBER: 2005:588426 CAPLUS

2005:588426 CAPLUS 143:115568

DOCUMENT NUMBER: TITLE:

143:115569
Preparation of isotopically enriched N-substituted piperazine-l-acetic acids
Dey, Subhakar: Pappin, Darryl J. c.; Purkayastha, Subhasish; Pillai, Sasi; Coull, James M. Applera Corp., USA
U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXOCO

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

Patent

Page 1906/09/2006

ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

●2 HC1

856188-20-0 CAPLUS 2,5-Pyrrolidinedione, 1-[{(4-methyl-1-piperazinyl-1-15N)acetyl-2-13C-180]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L7 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN FAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION:

| PATENT NO. | | | | | | D | DATE | | | APPLICATION | | | NO. | | DATE | | | | |
|------------------------|---------------|-----|-----|-----|-----|-------------|------|-----|----------------|----------------|------|----------|-----|-----|----------|----------|-----|--|--|
| | | | | | | - | | | | | | | | | | | | | |
| US | US 2005148774 | | | | | A1 20050707 | | | US 2004-751387 | | | | | | 20040105 | | | | |
| AU | AU 2005205522 | | | | | A1 20050728 | | | | AU 2 | 005- | 20050105 | | | | | | | |
| WO | WO 2005068446 | | | | | A1 20050728 | | | WO 2005-US223 | | | | | | 20050105 | | | | |
| | w: | ΑE, | ΆG, | AL, | AM, | AT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | B₩, | BY, | BZ, | CA, | CH, | | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK. | MN, | MW, | MX, | M2, | NA, | NI, | | |
| | | NO, | NZ, | OH, | PG, | PH, | PL, | PT, | RO, | RU, | sc, | SD, | SE, | SG, | SK, | SL, | SY, | | |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZH, | ZW. | AM, | | |
| | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG. | CH, | CY, | CZ, | DE, | DK. | | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL. | PT, | | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | | |
| | | MR, | NE, | SN, | ŤD, | TG | | | | | | | | | | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | | | US 2004-751353 | | | | | | 20040105 | | | |
| | | | | | | | | | | US 2 | 004- | 7513 | 54 | | A 2 | 0040 | 105 | | |

US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 20040105 20040105 20040105 20040412 20040524

OTHER SOURCE(S): IT 856188-20-0P MARPAT 143:115568

856188-20-0P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST
(Analytical study); PREF (Preparation); USES (Uses)
 (preparation of isotopically enriched N-substituted piperazine-1-acetic acids as isobaric labeling reagents)
856188-20-0 CAPLUS
2,5-Pyrcolidinedione, 1-[[(4-methyl-1-piperazinyl-1-15N)acetyl-2-13C-18O]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-13C2-18O]oxy}-, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 4 OF 21 CAPILIS COPYRIGHT 2006 ACS on STN (Continued)

●2 HC1

856187-87-6P 856188-06-2P 857027-09-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isotopically enriched N-substituted piperazine-1-acetic acids as isobaric labeling reagents)
856187-87-6 CAPLUS
2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI)
(CA INDEX NAME)

856188-06-2 CAPLUS 2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

857027-09-9 CAPLUS
2-Pyrrolidinone, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- [9CI] (CA INDEX NAME)

L7 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Jul 2005
8 This invention pertains to isobarically labeled analytes and fragment ions
thereof.
ACCESSION NUMBER: 2005:588349 CAPLUS 2005:588349 CAPLUS
130:12150
130:barically labeled analytes and fragment ions
derived therefrom
Pappin, Darryl J. C.; Purkayastha, Subhasish; Coull,
James M. DOCUMENT NUMBER TITLE: Mush Mining or de rocomercia INVENTOR (S): James H. Applier Corporation, USA U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S. 1648 Ser. No. 822,639. PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO.

XIND DATE APPLICATION NO. DATE

A1 20050707 US 2004-852730 W 20040524
A1 20050707 US 2004-852730 W 20040524
A1 20050707 US 2004-82639 W X 20040105
A1 20050708 W 2004-82639 W X 20050105
A1 20050708 W 02005-US223 20050105
AL, AM, AT, AU, AZ, BA, BB, BB, BW, BY, BZ, CA, CH, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FT, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, MA, NT, CM, FG, FM, FL, FT, RO, RU, SC, SD, SE, SG, SK, SL, SL, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, KF, FR, RB, GR, HU, IE, IS, IT, IT, LU, MC, NL, PL, PT, ST, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, SN, TD, TG US 2005148087 068446
AE, AG,
CN, CO,
GE, GH,
LK, LR,
NO, NZ,
TJ, TM,
BW, GH,
AZ, BY,
EE, ES,
RO, SE, US 2004-751353 US 2004-822639 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-852730 WO 2005-US223 20040105 20040412 20040105 20040105 20040105 20040524 20050105 PRIORITY APPLN. INFO.: A2 A A A A A

MARPAT 143:112150 OTHER SOURCE(S): IT 857027-09-9P

RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (isobarically labeled analytes and fragment ions derived therefrom) 857027-09-9 CAPLUS

03/02:-U3-9 CAPLUS 2-Pyrrolidinone, 1-{[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

(Continued) L7 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

IT

741683-79-4P 856187-87-6P 856188-06-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(1sobarically labeled analytes and fragment ions derived therefrom)
741683-79-4 CAPLUS
2,5-Pyrrolidinedione, 1-[(1-piperidinylacetyl)oxy]- (9CI) (CA INDEX NAME)

856187-87-6 CAPLUS 2.5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI)(CA INDEX NAME)

856188-06-2 CAPLUS 2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

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*:7 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Jul 2005
AB This invention pertains to mixts, of isobarically labeled analytes and fragment ions thereof.

ACCESSION NUMBER: 2005:598336 CAPLUS
DOCUMENT NUMBER: 141:93635
TITLE: Histures of isobarically labeled analytes and fragments ions derived therefrom Pappin, Darryl J. C., Purkayastha, Subhasish; Coull, James M.

PATENT ASSIGNEE(S): Applers Corporation, USA
U.S. Fat. Appl. Publ., 29 pp.
COOMENT TYPE: Patent
LANGUAGE: ESCHOOL
ENGISER STATEMENT OF THE PATENT INFORMATION: 6
                                                                                                                                       KIND
                                                                                                                                                                            DATE
                                                                                                                                                                                                                                            APPLICATION NO.
                                                                                                                                                                                                                                                                                                                                                                        DATE
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US 2005147992
US 2005147985
US 200514985
US 200514986
US 2005205522
WO 2005068446

W: AE, AG, AI
CN, CO, CR
GE, GH, GH
LK, LR, LS
NO, NZ, OD
TJ, TH, TN
RW: BW, GH, GR
AZ, BY, KC
EE, ES, FI
RO, SE, SI
MR, NE, SN
PRIORITY APPLN. INFO.: A2 20040105 A 20040105 A 20040105 A 20040105 A2 20040412 A 20040524 W 20050105

US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 WO 2005-US223

856188-06-2P 857027-09-9P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Reactant or reagent)
(mixts. of isobarically labeled analytes and fragments ions derived therefrom)
856188-06-2 CAPLUS
2,5-Pyrrolidinedione, 1-{[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 16 May 2005

AB Glycerophosphoethanolamine (GPEth) and glycerophosphoserine (GPSer) lipids were reacted with a multiplexed set of differentially isotopically enriched N-methylipierazine acetic acid N-hydroxysuccinimide ester reagents, which place isobaric mass labels at a primary amino group. The resulting derivitized aminophospholipids were isobaric and chromatog, indistinguishable but yielded pos. reporter ions (m/z 114 or 117) after collisional activation that could be used to identify and quantify individual members of the multiplex set. The chromatog, and mass spectrometric response of N-methylipierazine amide-tagged aminophospholipids was probed using glycerophosphosethanolamine and glycerophosphoserine lipid stds. The [MHH]+ of each tagged aminophospholipid shifted 144 Ds, and during collision-induced dissociation the major fragmentation in was either m/z 114 or 117. This mode of detecting aminophospholipids was useful for an unbiased anal. of plasmalogen GPEth lipids. Mol. species information on the esterified facty acyl substituents was obtained by collisional activation of the [M-H]- ions. The isotope-tagged teagents were used to assess changes in the distribution of GPEth lipids after exposure of liposomes made from phospholipids extracted from RAV 264.7 cells to Cu2+/H2O2 to illustrate the ability of these reagents to aid in the mass spectrometric identification of aminophospholipid changes that occur during biol. stimuli.

ACCESSION NUMBER: 2005:412987 CAPIUS
DOCUMENT NUMBER: 144:18604

TITLE: Analysis of cell membrane aminophospholipids as isotope-tagged derivatives

DOCUMENT NUMBER: TITLE: 144:186804
Analysis of cell membrane aminophospholipids as isotope-tagged derivatives
Zemski Berry, Karin A., Murphy, Robert C.
Department of Pharmacology, University of Colorado Health Sciences Center, Aurora, CO, 80045, USA Journal of Lipid Research (2005), 46(5), 1038-1046 CODEN: JUPRAW; ISSN: 0022-2275
American Society for Biochemistry and Molecular Biology, Inc.
Journal AUTHOR (S) CORPORATE SOURCE: SOURCE: PUBLISHER: DOCUMENT TYPE:

English 856188-06-2

Stoles-One RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and mass spectrometric anal. of cell membrane aminophospholipids as isotope-tagged derivs.) 856188-06-2 CAPLUS

2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

857027-09-9 CAPLUS
2-Pyrrolidinone, 1-[[{4-methyl-1-piperazinyl}acetyl]oxy]- (9CI) (CA INDEX NAME)

836187-87-6P RE: SPN (Synthetic preparation); PREP (Preparation) (mixts. of isobarically labeled analytes and fragments ions derived therefrom) 856187-87-6 CAPLUS 2,5-Pyrrolidinedione, 1-[[(4-methyl-1-piperazinyl)acetyl-180]oxy]- (9CI) (CA INDEX NAME)

ED Entered STN: 08 Oct 2004

AB Provided is a method for characterizing a mol. by mass spectrometry, which mol. comprises one or more free amino groups, which method comprises: (a) reacting one or more free amino groups in the mol. with a mass tag reagent comprising a reactive functionality capable of reacting with an amino group, and a tettiary amino group linked to the reactive functionality; and (b) characterizing the mol. by mass spectrometry.

ACCESSION NUMBER: 2004:824132 CAPLUS

DOCUMENT NUMBER: 141:310231

TITLE: Hass labels

INVENTOR(S): Hamon, Christian; Kuhn, Karsten; Thompson, Andrew; Reuschillen. Neter: Scharfer.

Harsi labels
Hamon, Christian; Kuhn, Karsten; Thompson, Andrew;
Reuschling, Dieter; Schaefer, Juergen
Xzillion G.m.b.H. & Co. X.-G., Germany; Proteome
Sciences PLC
PCT Int. Appl., 63 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE (S):

SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PATENT NO. | | | | | | | | | | | ICAT | DATE | | | | | | |
|------|--------------------------------|-------|-------|------|------|-------------|------|-------|----------------|----------------|------|----------|------|-----|-----|-----|------|-----|--|
| | WO 2004086050
WO 2004086050 | | | | | A2 20041007 | | | | | 004- | 20040318 | | | | | | | |
| | | ¥: | AE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | CN, | co, | CR, | cu, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | KZ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | ₩, | ΜX, | MZ, | NA, | NI, | |
| | | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SÉ, | SG, | SK, | SL, | SY, | |
| | | | TJ, | TH, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | ٧C, | ٧N, | ΥU, | ZA, | ZM, | ZW | |
| | | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | TZ, | υG, | ZM, | ZW, | AM, | λ2, | |
| | | | | | | | | ŦJ, | | | | | | | | | | | |
| | | | | | | | | ΗU, | | | | | | | | | | | |
| | | | | | BF, | ΒJ, | CF, | ÇG, | CI, | CH, | GA, | GN, | GQ, | G₩, | ML, | MR, | NE, | SN, | |
| | | | TD, | | | | | | | | | | | | | | | | |
| | | | | | | | | | | AU 2004-223631 | | | | | | | | | |
| | | 2520 | | | | λA | | 2004 | 1007 | | CA 2 | 004- | 2520 | 297 | | 2 | 0040 | 318 | |
| | EP 1606623 | | | | | | | | EP 2004-721565 | | | | | | | | | | |
| | | R: | | | | | | ES, | | | | | | | | | | | |
| | | | | | | | | RO, | | | | | | | | | | | |
| | | 2005 | | | | λ | | 2005 | 1012 | | | | | | | | | | |
| PRIC | RIT | Y APP | LN. | Info | • • | | | | | | | 003- | | | | | | | |
| | _ | | | | | | | | | | WO 2 | 004- | GB11 | 67 | ' | ¥ 2 | 0040 | 318 | |
| ΙT | 741 | 1683- | 76-1: | P 74 | 1683 | -79- | 4P 7 | 68 38 | 5-34 | -8 P | | | | | | | | | |

741633-76-1P 741683-79-4P 768385-34-8P
REL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (mass labels)
741683-76-1 CAPLUS
2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl)oxy]- (9CI) (CA INDEX NAME)

ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

741683-79-4 CAPLUS
2,5-Pyrrolidinedione, 1-[(1-piperidinylacetyl)oxy]- (9CI) (CA INDEX NAME)

768385-34-8 CAPLUS 2,5-Pyercalidined, 1-[[(2,6-dimethyl-1-piperidinyl)acetyl]oxy]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

741683-77-2 CAPLUS 2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl-1-13C)oxy]- (9CI) (CA INDEX NAME)

741683-78-3 CAPLUS 2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl-2-13C)oxy]- (9CI) (CA INDEX NAME)

741683-79-4 CAPLUS
2.5-Pyrrolidinedione, 1-[(1-piperidinylacetyl)oxy]- (9CI) (CA INDEX NAME)

741683-80-7 CAPLUS 2,5-Pyrrolidinedione, 1-{(1-piperazinylacetyl)oxy}- (9CI) (CA INDEX NAME)

ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 20 Aug 2004
This invention pertains to methods, mixts., kits and/or compns. for the determination of analytes by mass anal. using unique labeling reagents or

sets of
unique labeling reagents. The labeling reagents can be isomeric or
isobaric and can be used to produce mixts, suitable for multiplex anal. of
the labeled analytes.

ACCESSION NUMBER: 2004:681717 CAPLUS
DOCUMENT NUMBER: 141:202794
TITLE: Methods, mixtures, kits and compositions pertaining to

iai:ZUZ/94
Methods, mixtures, kits and compositions pertaining to analyte determination
Pappin, Darryl J. C.; Bartlet-Jones, Michael
Applera Corporation, USA
PCT Int. Appl., 105 pp.
CODEN: PIXXD2
PAPPER.

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PAT | ENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | | |
|------|-----|-------|------|------|-----|-----|-----|------|------|-----|------|------|------|-----|-----|------|------|-----|---|
| | | | | | | | - | | | | | | | | | - | | | |
| | WO | 2004 | 0703 | 52 | | A2 | | 2004 | 0819 | 1 | ¥0 2 | 004- | US20 | 77 | | 2 | 0040 | 127 | |
| | | ¥: | AE, | AG. | AL. | AH. | AT. | AU, | AZ. | BA. | BB. | BG. | BR. | B¥. | BY. | BZ. | CA, | CH. | |
| | | | | | | | | DE, | | | | | | | | | | | |
| | | | | | | | | ID, | | | | | | | | | | | |
| | | | | | | | | LV, | | | | | | | | | | | |
| | | RW: | BW, | | | | | | | | | | | | | | | | |
| | | | | | | | | DK, | | | | | | | | | | | |
| | | | | | | | | SI, | | | | | | | | | | | |
| | | | | | | | | SN, | | | | | | | | | | | |
| | ΑU | 2004 | | | | | | | | | AU 2 | 004- | 2094 | 01 | | 2 | 0040 | 127 | |
| | CA | 2488 | 584 | | | AA | | 2004 | 0819 | | CA 2 | 004- | 2488 | 584 | | 2 | 0040 | 127 | |
| | US | 2004 | 2196 | 85 | | A1 | | 2004 | 1104 | | US 2 | 004- | 7652 | 64 | | 2 | 0040 | 127 | |
| | US | 2004 | 2204 | 12 | | A1 | | 2004 | 1104 | | US 2 | 004- | 7652 | 67 | | 2 | 0040 | 127 | |
| | US | 2004 | 2196 | 86 | | A1 | | 2004 | 1104 | | US 2 | 004- | 7654 | 58 | | 2 | 0040 | 127 | |
| | EP | 1588 | 145 | | | A2 | | 2005 | 1026 | | EP 2 | 004- | 7055 | 71 | | 2 | 0040 | 127 | • |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | IE, | SI, | LŤ, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | ΗU, | SK | | |
| | บร | 2006 | 1054 | 16 | | A1 | | 2006 | 0518 | | | | | | | | | | |
| PRIO | RIT | ' APP | LN. | INFO | .: | | | | | - (| US 2 | 003- | 4436 | 12P | 1 | P 2 | 0030 | 130 | |
| | | | | | | | | | | - (| US 2 | 004- | 7652 | 67 | - 1 | A1 2 | 0040 | 127 | |
| | | | | | | | | | | 1 | WO 2 | 004- | US20 | 77 | 1 | 2 | 0040 | 127 | |

WO 2004-US2077 W 20040127
741683-76-1P 741683-77-2P 741683-78-3P
741683-99-4P 741683-86-3P
741683-93-2P
RL: SPN (Synthetic preparation), PREP (Preparation)
[methods, mixts., kits and compns. pertaining to analyte determination)
741683-76-1 CAPLUS
2,5-Pyrrolidinedione, 1-[(4-morpholinylacetyl)oxy]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

741683-86-3 CAPLUS 2,5-Pytrolidinedione, 1-{(1-piperidinylacetyl-1-13C)oxy}- (9CI) (CA INDEX NAME)

741683-93-2 CAPLUS 2,5-Pyrrolidinedione, 1-[(1-piperidinylacetyl-2-13C)oxy]- (9CI) (CA INDEX NAME)

**IT ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 17 May 2004

AB The process comprises N-alkylating swainsonine with bromoacetic acid
N-succinimido ester in acetone under refluxing, coupling with bowine serum
albumin in water at 0 °C, dialyzing, freeze drying, and emulsifying
with Freund's adjuvant.

ACCESSION NUMBER: 2004:399339 CAPLUS
DOCUMENT NUMBER: 141:254556
TITLE: Grassland's locoweed toxin vaccine
INVENTOR(5): Dong, Deven: Cao, Guangrong, Zhao, Baoyu: Ge, Pengbin
Danong Biotechnology Co., Ltd., Yangling, Peop. Rep.
China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.

Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.
CODEN: CHOXEV

SOURCE:

Patent Chinese 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE CN 1395967 A 20030212 CN 2002-114592 20020524

FRIORITY APPLM. INFO.: CN 2002-114592 20020524

IT 754196-04-8P RL: PRR (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (vaccine for Grassland's locoweed toxin)

RN 754196-04-8 CAPLUS

CN Indolizinium, 4-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]octahydro-1,2,8-trihydroxy-, bromide, (15,2R,8R,8aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
US 2003220338 A1 20031127 US 2002-243074 20020912
US 6596723 B1 20030722 US 2001-906664 20010716
US 2003229097 A1 20031211 US 2002-334755 20021230
US 6699782 B2 20040210
W1 2040402410 A1 20040325 W0 2003-US5184 20030221
W1 20, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, CM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MM, MK, MZ, ND, NZ, OM, PL, UA, UG, UZ, VC, VW, YU, ZA, ZW, ZW
RW: GR, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, GR, GD, GE, GH, CY, CZ, DE, CK, EE, FI, FR, GB, GR, HU, IE, IT, LU, NC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GG, GW, ML, MR, NB, MS, MS, MD, DM, CR, OM, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NB, NB, TD, TG
AU 2003215343 A1 20040430 AU 2003-215343 20030221
PRIORITY APPLN. INFO: MARPAT 139:395945

ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 28 Nov 2003

AB This invention relates to compds. of formula I [Al-A6 = C, N; Rl = H, alkyl, cycloalkyl, CH2-cycloalkyl, etc.; R2 = alkyl, R3-R12 = H, alkyl, CT3, alkowy, halo, OH, CN, etc.; that are efflux pump inhibitors and therefore are useful as potentiators of anti-fungal agents for the treatment of infections caused by fungi that employ an efflux pump resistance mechanism. Thus, II was prepared and showed a reduced MIC value against Candida albicans in the presence of fluconazole.

ACCESSION NUMBER: 2003:930975 CAPLUS
DOCUMENT NUMBER: 139:35945

TITLE: Preparation of quinazolinylmethyl urea derivatives as fungal efflux pump inhibitors.

139:393949
Preparation of quinazolinylmethyl urea derivatives as fungal efflux pump inhibitors
Watkins, Will J., Lemoine, Remy, Cho, Aesop, Palme,

Watkins, Will J., Lemoine, Remy: Cho, Aesop: Palme, Monica USA U.S. Pat. Appl. Publ., 109 pp., Cont.-in-part of U.S. Ser. No. 906,864. CODEN: USXXCO Patent English 3 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR (S):

APPLICATION NO. PATENT NO. KIND DATE DATE

ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 14 Sep 2003

The title compds. [I; A = phenylene or heteroarylene; m = 0-2; n = 0-2; R1 = halo, NO2, CN, OH, CO2H, etc.; R2 = H, OH, CO2H; R3 = H, OH, aryl, heterocyclyl, etc.; R4 = H, halo, NO2, CN, etc.] which possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase states associated with increased glycogen phosphorylese activity such as diabetes type II, were prepared Thus, amidation of 5-chloro-IH-indole-2-carboxylid acid with Me 2-(3-amino-2-oxo-3,4-dihydroquinolin-1-(2H)-yl) acetate (preparation given) in the presence of

HOBT,

DCM and EDCI afforded 594 II. The compds. I showed IC50 values in the range 100µM to 1nM against against hrl glycogen phosphorylase a. Pharmaceutical composition comprising the compound I was claimed.

ACCESSION NUMBER: 2003:719471 CAPLUS

DOCUMENT NUMBER: 139:261174

ITILE: 97:261174

INVENTOR(S): 91:261174

INVENTOR(S): 91:261174

INVENTOR(S): 91:261174

INVENTOR(S): 91:261174

INVENTOR(S): 91:261174

INVENTOR(S): 91:261174

ASTERIA ASSIGNEE(S): 80:261. Alan Hartin, Morley, Andrew David Astracence AB, Swed., Astracence UK Limited PCT Int. Appl., 86 pp.

CODEN: IXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | TENT | N | ٥. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|----|------|----|-----|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| | | | | | | | • | | | | | | | | | - | | |
| WC | 200 | 30 | 745 | 13 | | A2 | | 2003 | 0912 | | WO 2 | 003- | GB89 | 3 | | 2 | 0030 | 304 |
| WC | 200 | 30 | 745 | 13 | | A3 | | 2003 | 1231 | | | | | | | | | |
| | V: | | ΑE, | AG, | AL, | AM, | AΤ, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | | co, | CR, | Cυ, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | | GH, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | ĸP, | ĸĸ, | ΚZ, | LC, | LK, | LR, |
| | | | | | | | | MD, | | | | | | | | | | |
| | | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, |

**ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

UA, UG, US, UZ, VC, VN, YU, 2A, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, OE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GM, ML, MR, NS, TD, TD, GAU 2003-216991

A1 2003216991

A2 20041215 EP 2003-712313

A2 20041215 EP 2003-712313

A3 20041215 EP 2003-712313

A4 2014 EP 1465371

A5 20041216 CP 200450516 CP 2003-506748

A6 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NI, SE, MC, PT, US 2005131016

A1 20050516 US 2003-506748

A1 20030304

PRIORITY APPLN. INFO::

GB 2002-5162

GB 2002-5162

A 20020306

OTHER SOURCE(S):

MARPAT 139:261174 OTHER SOURCE(s): MARPAT 139:261174

IT 599193-13-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-heterocyclyl indole-2-carboxamides as glycogen phosphorylase inhibitors)

RN 599193-13-2 CAPUUS

CN 1H-1ndole-2-carboxamide, 5-chloro-N-[1-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSVER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
Entered STN: 01 Dec 1999
A simple and sensitive LC method that rapidly labels amino compds.
including amino acids, using acridine-9-N-acetyl-N-hydroxysuccinimide
(AAHS) which was synthesized by the reaction of acridine-9-N-acetic acid
with benzenedisulfonyl-N-hydroxysuccinimide, was developed. A mixture of
amines is treated with AAHS in the presence of triethylamine in non-aqueous
acetonitrile or in 0.2 mol 1-1 borate buffer at pH 8.0-9.0 in 401
volume/volume acetonitrile solution to give quant. yields of amides. The
emission maximum for the defivatized amines is 435 mm (Axe = 404 nm).
The labeled derivs. are very stable; no significant decomposition is
rved The labeled derivs. are very stable; no significant decomposition is observed observed after heating in 50% acetonitrile at 40° for 24 h. Studies on the derivatization conditions indicate that amines or amino acids react very rapidly with AAHS under the proposed conditions. The method, in conjunction with a multi-step gradient, offers baseline resolution of commo amine or amino acid derivs. on a reversed-phase CIB column. This method is more convenient and more efficient than previous methods which require prior conversion of carboxylic acids to acyl chlorides, which are unstable to moisture. The LC separation of amine or amino acid derivs. has good reproducibility. The established method is also suitable for the determination of other amine compds. in various biol. fluids. other amine compds. in various biol. fluids.
ACCESSION NUMBER: 1999:759500 CAPLUS
DOCUMENT NUMBER: 132:148595 DOCUMENT NUMBER: TITLE: 132:148595
Characterization and application of acridine-9-N-acetyl-N-hydroxysuccinimide as a pre-column derivatization agent for fluorimetric detection of amino acids in liquid chromatography You, Jinmaor Lao, Wenjiam You, Jingy Wang, Guojun Lanzhou Inst. Chem. Phys., Chinese Academy of Sciences, Lanchou, 730000, Peop. Rep. China Analyst (Cambridge, United Kingdom) (1999), 124(12), 1755-1760
CODEN: ANALAO, ISSN: 0003-2654
Royal Society of Chemistry
Journal AUTHOR(S): CORPORATE SOURCE: SOURCE: PUBLI SHER: DOCUMENT TYPE: DOCUMENT TYPE: Journal
LANGUAGE: English

IT 150321-96-3P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST
(Analytical study); PREP (Preparation); USES (Uses)
(characterization and application of acridine-9-N-acetyl-N-hydroxysuccinimide as a pre-column derivatization agent for
fluorimetric detection of amino acids in liquid chromatog.)

RN 150321-96-3 CAPLUS

CN 2,5-Pyrcolidinedione, 1-[[(9-oxo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA
INDEX NAME)

L7 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
E0 Entered STN: 26 Mar 1996
AB The synthesis of 10,10'-substituted-9,9'-bisacridine mols. and their derivs. is disclosed. These mols. catalyze the production of light by chemiluminescence in the presence of a signal solution having at a pH from about 10.0 to about 14.0, at a concentration effective for producing a chemiluminescent signal, a chelating agent, a sulfoxide, a reducing sugar, and oxidant or combination of oxidants, an alc. and aqueous sodium tetraborate. These 10,10'-substituted-9,9'-biarcidines are used alone or attached to haptens or macromols. and are utilized as labels in the preparation of chemiluminescent, homogeneous or heterogeneous assays. They are also used in conjunction with other chemiluminescent label mols. to produce multiple analyte chemiluminescent assays. An assay demonstrating the linearity of the signal with increasing dilns. of an anti-TSH-10,10'-para-toluo-9,9'-bisacridine conjugate is described.

ACCESSION NUMEER: 1996:171871 CAPLUS
DOCUMENT NUMBER: 124:225820
INVENTOR(S): PREPARATION: VSA
SOURCE: USA
PCT Int. Appl., 50 pp.
COEDN: PIXXO2
PATENT INFORMATION: 1
PAMENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE WO 9600392 A1 19960104 WO 1995-US/966

W: CN, JP, KR
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
EP 766825 A1 19970409 EP 1995-924671 19950622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
CN 1155931 A 19970730 CN 1995-194681 19950622
JP 10502346 T2 1998030 JP 1995-503340 19950622
US 5866335 A 19990202 US 1996-767288 19961216
HKK 1001416 A1 20050826 HK 1999-100291 19980114
RITY APPLN. INFO: US 1994-265481 A 19940624
WO 1995-US7966 W 19950622 WO 9600392 A1 19960104 WO 1995-US7966 19950622 PRIORITY APPLN. INFO.: 174569-85-8
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Usee) (preparation of bisacridine luminescent derivs. and signal solns.)
174569-85-8 CAPLUS
9,9'-Biacridinium, 10.10-bis[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-, dinitrate (9CI) (CA INDEX NAME)

CH 1

CRN 174569-84-7 CMF C38 H28 N4 O8

*L7 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

PAGE 1-A

PAGE 2-A

CRN 14797-55-8 CMF N O3

ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 21 Mar 1995

AB The crystal structure of 18-membered cyclic pseudopeptide I, containing N,N'-ethylane-bridged-(S)-alanyl-(S)-alanine and glycine was determined by x-ray crystallog. Moreover, the structure of this pseudopeptide was examined by 18-Moreover, the structure of this pseudopeptide was examined by 18-Moreover, the structure of this pseudopeptide was examined by 18-Moreover, the structure of this pseudopeptide was examined by 18-Moreover, the structure of this pseudopeptide was examined by 18-Moreover, which was been supported by 195:427460 CAPUNS
DOCUMENT NUMBER: 195:427460 CAPUNS
195:

DOCUMENT TYPE: LANGUAGE: English

164857-03-8

Absolute stereochemistry.

ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 23 Jul 1994

Fluorescent compds. useful in the determination of chloramphenicol acetyltransferase (CAT) enzyme activity are described. The compds. BASE-Ns-YM are fluorescent derivs. related in structure to chloramphenicol comprising a base (I), substituted at one to five aromatic ring positions by substituents, which may be the same or different, that are alkyl, hydroxy, alkowy, aryl, halo, nitro, maino, alkylamido, or arylamido, and 0 < n < 6; and a fluorescent moiety 'X (nonreduced tricyclic difluoroboradizazindacene fluorophore) linked to the terminal CH2 of BASE through a linker Ns (e.g., NHYX, NHCOCH2'X). The substrate compds. are acylated in the presence of CAT to produce fluorescent mono- and diacylated products, which are then phys. separated from the reaction use

acylated in the presence of the property of th

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--------|-----------|------------------|------------|
| | | | | |
| US 5262545 | A | 19931116 | US 1991-722352 | 19910618 |
| US 5364764 | A | 19941115 | US 1992-994992 | 19921221 |
| RIORITY APPLN. INFO.: | | | US 1989-321494 B | 1 19890309 |
| | | | US 1991-722352 A | 3 19910618 |
| THER SOURCE(S): | MARPAT | 121:35864 | | |
| T 150321-96-3 | | | | |

OTHER SOURCE(s): MARPAT 121:35864

IT 150321-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorescent chloramphenicol derivs. for determination of chloramphenicol acetyltransferase activity)
RN 150321-96-3
CRUS
CN 2,5-Pyrrolidinedione, 1-[[(9-oxo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA

ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN INDEX NAME) (Continued)

ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
Entered STN: 05 Mar 1994
A photoluminometric immunoassay comprises reacting 2 immunoreactants, 1
labeled with a photoluminescent energy transfer donor capable of
photoluminescence and the other labeled with a photoluminescent energy
transfer acceptor complementary to the donor; exciting the sample with
radiation; and calculating the apparent luminescence lifetime to determine presence of a reaction product. Studies were done using goat anti-mouse 1gG labeled with the donor dichlorotriazinylaminofluorescein and mouse 1gG labeled with the acceptor tetramethylrhodamine isothiocyanate.

ACCESSION NUMBER: 1994:101282 CAPLUS
DOCUMENT NUMBER: 120:101282 Fluorescent energy transfer immunoassay
INVENTOR(S): Lakowicz, Joseph Haliwal, Badri; Thompson, Richard; Ozinskas, Alvydas
PATENT ASSIGNEE(S): University of Maryland, USA
EU: Pat. Appl., 26 pp.
COODE: EPXCOW
DOCUMENT TYPE: Patent
LANGUAGE: English DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: EP 552108 A2 19930721 EP 1993-400091 19930115
EP 552108 A3 19930922
R: DE, FR, GB, IT
CA 2087413 AA 19930718 CA 1993-2087413 19930115
JP 06006802 A2 19940311 JP 1993-6057 19930118
JP 3325939 E2 20020917
US 5631169 A 19970520 US 1994-183238 19940119
PRIORITY APPLN. INFO.: A 19970520 US 1992-822233 A 1992017
IT 150321-96-30, conjugates with immunoreactant
RL: ANST (Analytical study)
(in photoluminometric immunoassay)
RN 150321-96-3 CAPLUS
CN 2.5-Pytrolidinedione, 1-[[(9-0xo-10(9H)-acridinyl)acetyl]oxy]- (9CI) (CA PATENT NO. KIND DATE APPLICATION NO. DATE

L7 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Nov 1992
AB Metmyoglobin covalently linked with viologen was prepared and reduced by dithionite ions faster than the native metmyoglobin, suggesting that the reduction by dithionite of the attached viologen was followed by a rapid intramol. electron transfer from the viologen radical cation to the heme iron center.
ACCESSION NUMBER: 1992:566123 CAPLUS
ETHOUSE 117:166123
TITLE: Effect of the chemical modification by viologen on transfer from the viologen and transfer from the viologen on transfer from the viologen and the viologen of transfer from the viologen on the viologen of transfer from the viologen on the viologen of the chemical modification by viologen on the viologen of transfer from the viologen and viologen on the viologen of the viologen viologen on the viologen of the viologen viologen of the viologen viologen of viologen viologen on the viologen vi

1992:566123 CAPLUS
117:166123
Effect of the chemical modification by viologen on the reduction of metmyoglobin
Tsukahara, Keiichi, Todorobaru, Hiromi
Fac. Sci., Nara Women's Univ., Nara, 630, Japan
Chemistry Letters (1992), (7), 1181-4
CODEN: CMLTAG, ISSN: 0366-7022
JOURNAL

AUTHOR(S): CORPORATE SOURCE: SOURCE:

CODEN: CALTAG, ISSN: 0366-7022

DOCUMENT TYPE: Journal
LANGUAGE: English
IT 143674-76-4P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT
(Reactant or reagent)
(preparation and coupling of, with metmyoglobin)
RN 143674-76-4 CAPLUS
CN 4,4**BipyridiAthum, 1-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-1'methyl-, diperchlorate (9CI) (CA INDEX NAME)

CH 1

CRN 143674-75-3 CMF C17 H17 N3 O4

CH 2

CRN 14797-73-0 CMF C1 04

Page 2606/09/2006

*L7 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Cot OTHER SOURCE(5): MARPAT 115:135937

IT 135980-49-3P
RL: SFN (Synthetic preparation); PREP (Preparation) (preparation of, as herbicide safener)
RN 135980-49-3 CAPLUS
CN 1H-Benz[de]isoquinoline-1,3(2H)-dione, 2-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]- (9CI) (CA INDEX NAME) (Continued)

ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 05 Oct 1991

The title compds. [I; R = ON:CRSR6; Rl = 1-4 substituents which may be the same or different selected from H, halo, cyano, (halo)alkyl, etc.; R5 = H, cyano, (halo)alkyl, alkenyl, etc.; R = 1.0 (un)substituted alkylener Y, Z = O, S] were prepared as safeners for 2-[(hetero)aryloxyphenoxylacetate and -propionate or alkoximinomethylenecycylohexenone herbicides. Thus, I (Rl = H, X = CH2, Y = Z = O) (II); R = Cl) (preparation given) was condensed with Me2C:NOH to

give

II (R = ON:CMe2). II [R = ON:CR5R6r R5R6 = (CH2)3CH:C(OEt)] reduced
damage to wheat of 0.03 kg/ha of the herbicide EtSCHMEHZZIC(:NOEt)Pr (Z1 =
hydroxycyclohexenonylene group Q) from 70 to 10% (with 95% control of
annual ryegrass) at 0.125 kg/ha.
ACCESSION NUMBER: 1991:35937 CAPLUS
DOCUMENT NUMBER: 115:135937

Preparation of N-[[(alkylideneimino)oxycarbonyl]alkyl]-1,8-naphthalenedicarboximides and analogs as herbicide safeners TITLE:

safeners
Saupe, Thomas; Meyer, Norbert; Plath, Peter; Schirmer,
Ulrich; Wuerzer, Bruno; Westphalen, Karl Otto; Patsch,
Manfred; Pfister, Jueegen
BASF A.-G., Germany
Eur. Pat. Appl., 45 pp.
CODEN: EPXXOW INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|-------------------|----------|
| | | | | |
| EP 430004 | A2 | 19910605 | EP 1990-122030 | 19901117 |
| EP 430004 | A3 | 19911218 | | |
| R: AT, CH, DE, | ES, FR | , GB, IT, | LI, NL, SE | |
| DE 3939379 | A1 | 19910606 | DE 1989-3939379 | 19891129 |
| DE 4021654 | A1 | 19920109 | DE 1990-4021654 | 19900707 |
| CA 2030129 | AA | 19910530 | CA 1990-2030129 | 19901116 |
| US 5076831 | A | 19911231 | US 1990-615865 | 19901120 |
| JP 03190861 | A2 | 19910820 | JP 1990-323392 | 19901128 |
| PRIORITY APPLN. INFO.: | | | DE 1989-3939379 A | 19891129 |
| | | | DE 1990-4021654 A | 19906707 |

ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 06 Jan 1990

AB RRICHCONHCH(CO2R2) (CH2) 2COR3 [I] R = H, lower alkyl, PhCH2; R1 = (NH) m(CH2) N, Q; R2 = H, lower alkyl, R3 = Q1, Q2, Q3, NRACHRCOCR2; W = H, CO2H, NH2, OH; Y = H, lower alkyl, R3 = Q1, Q2, Q3, NRACHRCOCR2; W = H, CO2H, NH2, OH; Y = H, lower alkyl, Ph, PhCH2; R4 = C4-8 cycloalkyl, halo, alkoxy, (OH-substituted) Ph; m = 0, I; n = 0-4] and their salts are prepared Refluxing 28 g 2-(5)-bromopropionic acid with 42 g PhCH2OH in PhMe gave 17.0 g benzyl 2-(5)-bromopropionic acid with 42 g PhCH2OH in PhMe gave 17.0 g benzyl 2-(5)-bromopropionic acid with 42 g PhCH2OH in PhMe gave 17.0 g 0-2; R1-(4-benzylpiperazing) propionic acid (II). Then, 24.5 g N-benzyloxycarbonyl-01-ethyl-0-glutamic acid was stirred with 17.5 g Et (25, 3a5, 7a5)-1-(Y-0-9lutamyl) octahydro-1H-indole-2-carboxylate-RC1 in CHC12; then reduced, and then hydrolyzed with aqueous NaOH to give 15.01 g (28, 3a5, 7a5)-1-(Y-0-9lutamyl) octahydro-1H-indole-2-carboxylic acid (III). Then, 0.8 g II was treated with 0.4 g N-hydroxysuccinimide in CHC13 to give 2-(R)-(4-benzylpiperazinyl) propionic acid N-hydroxysuccinimide ester, which was treated with 1.0 g III in THF to give 0.8 g (25, 3a5, 7a5)-1-(N-2(R)-(4-benzylpiperazinyl)) propionyl y-y-D-glutamyl) octahydro-1H-indole-2-carboxylic acid, 0.4 g of which was refluxed with HoC2H in MeOH in the presence of Pd black for 4 h to give 0.2 g (28, 3a5, 7a5)-1-(N-(2R)-piperazinylpropionyl)-y-D-glutamyl) octahydro-1H-indole-2-carboxylic acid, which showed an IC50 of 2.1 + 10-7 M against angiotensin converting enzyme.

ACCESSION NUMBER: 1990:7937 CAPLUS

DOCUMENT NUMBER: 1990:7937 CAPLUS

DOCUMENT NUMBER: 1990:7937 CAPLUS

DOCUMENT TYPE: Sawayama, Tadahiror Nishimura, Kazuyar Deguchi, Takashi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan Jpnc Nokai Tokkyo Koho, 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Family ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 01125357 19890517 JP 1987-281873 19871106

LT ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
HARPAT 112:7937

T1 124078-64-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation of, with (glutamyl)indolecarboxylic acid)
RN 124078-64-4 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[1-oxo-2-[4-(phenylmethyl)-1-piperazinyl]propoxy], (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

CM 2 CRN 76-05-1 CMF C2 H F3 02

ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 22 Jul 1988

AB Synthetic routes to cyclic peptides cyclo(Sar-EAA)4 (EAA = residue of title acid 1) and cyclo(Sar-Sar-Sar-EAA)2 are described. Interaction of these cyclic peptides with p-toluenesulfonic acid salt of sodium, benzylamine, and 4-phenylbutylamine were studied by 1H NMCR.

ACCESSION NUMBER: 109:23356 CAPLUS

DOCLMENT NUMBER: 109:23356 Interactions of organic substrates with 30- and 36-membered ring peptides containing (2S, 3'5)-2-(2'-0x0-3'-methylpiperazin-1'-y1) propanoic acid and sarcosine

AUTHOR(S): Rojima, Yoshitane; Yamashita, Tetsushi; Shibata, Kozor Ohsuka, Akio

CORPORATE SOURCE: Pac. Sci., Osaka City Univ., Osaka, 558, Japan Polymer Journal (Tokyo, Japan) (1987), 19(10), 1221-3 COEM: Pollyme; Pollyme; Sournal LANGUAGE: English

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CRN 114967-09-8 CMF C48 H73 N13 O15

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(FILE 'HOME' ENTERED AT 15:30:22 ON 06 SEP 2006)

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| L9 | | 15 S L4 NOT L6 |

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ANSWER 1 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

AB Isotopically enriched N-substituted piperazines (I) or salts thereof, comprising one or more heavy atom isotopes (Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each independently comprise linked hydrogen, deuterium or fluorine atoms; Z = independently H, F, C1, Br. iodine, an amino acid side chain, a straight chain or branched C1-6 alkyl group that may optionally contain a substituted or unsubstituted axyl group wherein the carbon atoms of the alkyl and axyl groups each independently comprise linked H or F atoms, a straight chain or branched C1-6 alkyl ether group (wherein the carbon atoms of the alkyl and axyl groups each independently comprise linked hydrogen or fluorine atoms), or a straight chain or branched C1-6 alkoxy group that may optionally contain a substituted or unsubstituted axyl group; wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked hydrogen or fluorine atoms; wherein the N-methylpiperazine is isotopically enriched with either of 13C and/or 15N) are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of N-substituted piperazine acetic acid. The active esters of N-substituted piperazine acetic acid can be used as labeling reagents to prepare a set of isobaric labeling reagents. The set of isobaric labeling reagents can be used to label analytes such as peptides, proteins, amino acids, oligonucleotides, DNA, NNA, lipids, carbohydrates, steroids, small mols. and the like (no data). Thus, to a stirring solution of 1.18 g (11.83 mmol) N-methylpiperazine in 15 mL toluene at room temperature was added 1 g (5.91 mmol) of Et bromoacetate-1,2-13C dropwise, over a period of 15 min. The reaction mixture was then heated in an oil bath at 90' for 4 h, cooled to room temperature, filtered to remove the off-white solid to give, after vorkup on the combined

ANSWER 1 OF 52 CAPLUS COPYRIGHT 2006 ACS ON STN INDEX NAME)

857503-00-5 CAPLUS

1-Piperazineacetic acid, 4-methyl-, pentachlorophenyl ester (9CI) (CA INDEX NAME)

857503-01-6 CAPLUS

1-Piperazineacetic acid, 4-methyl-, 4-mitrophenyl ester (9CI) (CA INDEX NAME)

857503-03-8 CAPLUS

1-Piperazineacetic acid, 4-methyl-, 3-mitrophenyl ester (9CI) (CA INDEX

L8 ANSWER 1 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN DOCUMENT TYPE: Patent LANGUAGE: Paglish PAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION: (Continued)

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| US | 2005 | 1487 | 73 | | A1 | | 2005 | 0707 | | US 2 | 004- | 7513 | 88 | | 2 | 0040 | 105 |
| ΑU | 2005 | 2055 | 22 | | A1 | | 2005 | 0728 | | AU 2 | 005- | 2055 | 22 | | 2 | 0050 | 105 |
| ¥0 | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | | WO 2 | 005- | US22 | 3 | | 2 | 0050 | 105 |
| | W: | ΑE, | AG, | AL, | AΗ, | AT, | AU, | AZ, | BA, | BB. | BG, | BR. | BW. | BY. | BZ. | CA, | CH, |
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PRIORITY APPLN. INFO.:

US 2004-751353 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-822639 US 2004-852730 WO 2005-US223 20040105 20040105 20040105 20040105 20040412

OTHER SOURCE(S): MARPAT 143:115574

If 856187-95-6, 4-Methylpiperazine-1-acetic acid phenyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of isotopically enriched M-substituted piperazines as

aric labeling reagents) 856187-95-6 CAPLUS 1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

857027-10-2P 857503-00-5P 857503-01-6P 857503-03-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of isotopically enriched N-substituted piperazines as (preparation of isotropically entities a substitute of processing processing

ANSWER 2 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

AB In some embodiments, this invention pertains to active esters of N-substituted piperazine acetic acid I (R - leaving group: X = 0, S; Y = C1-C6 alkyl, C1-C6 alkyl ether; Z = H, ZH, F, C1, Br, iodide, amino acid side chain, C1-C6 alkyl, C1-C6 alkyl ether), including isotopically enriched versions thereof. In some embodiments, this invention pertains to methods for the preparation of active esters of N-substituted piperazine acetic acid, including isotopically enriched versions thereof. For example, the isotopically labeled N-methylpiperazine II (R1 = 180H) reacted with the trifluoroacetic acid ester of N-hydroxysuccinimide to give the succinate II (R1 = 0R2, R2 = succinimido).

ACCESSION NUMBER: 103:97398

DOCUMENT NUMBER: 143:97398

Preparation of active esters of N-substituted piperazine acetic acids, including isotopically enriched versions

INVENTOR(S): Dey, Subhakar: Pappin, Darryl J. C.: Purkayastha, Subhasish Pillai, Sasi; Coull, James M.

Applera Corp., USA

COUNTY TYPE: Patent

LNGUAGE: English

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | TENT | NO. | | | | | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
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| | WO 2005068446 W: AE, AG, CN, CO, GE, GH, LK, LR, NO, NZ, TJ, TM, | | AL, | AΗ, | ΑŤ, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
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*L8 ANSVER 2 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
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US 2004-822639 A 2004012
US 2004-8227639 A 20040412
US 2004-825730 A 20040522
WO 2005-US223 V 20050105 OTHER SOURCE(5): MARPAT 143:97398
IT 856187-95-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of active esters of N-substituted piperazine acetic acids

their labeled derivs.)
856187-95-6 CAPLUS
1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 3 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

857027-10-2P

857027-10-2P
RE: SPN (Synthetic preparation); PREP (Preparation)
(mixts. of isobarically labeled analytes and fragments ions derived therefrom)
857027-10-2 CAPLUS
1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 3 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Jul 2005
AB This invention pertains to mixts. of isobarically labeled analytes and fragment ions thereof.

ACCESSION NUMBER: 2005:592027 CAPLUS

DOCUMENT NUMBER: 141:93642

INVENTOR(S): 143:93642

INVENTOR(S): Pappin, Darryl J. C.; Purkayastha, Subhasish; Coull, James M.

Applera Corp., USA

U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 751, 353.

CODEN: USXXXXX

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 6

| PATENT | 11 | VFOR | MATI | ON: | | | | | | | | | | | | | | |
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| OTHER | | | | | | MAR | PAT | 143: | 9364 | 2 | | | | | | | | |
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ANSWER 4 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 08 Jul 2005

Isotopically enriched N-substituted piperazine-1-acetic acids (I) or salts thereof, comprising one or more heavy atom isotopes (X = 0, 5; Y = straight chain or branched C1-6 alkyl or C1-6 alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group wherein the carbon atoms of the alkyl group or alkyl ether group each independently comprise linked Hydrogen, deuterium or F atoms; Z = independently H, deuterium, F, C1, Br, iodine, an amino acid side chain, a straight chain or branched C1-6 alkyl group that may optionally contain a substituted or unsubstituted aryl group (wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H, deuterium or F atoms), a straight chain or branched C1-6 alkyl ether group that may optionally contain a substituted or unsubstituted aryl group wherein the carbon atoms of the alkyl and aryl groups each independently comprise linked H, deuterium or F atoms), are prepared N-substituted piperazines can be used as intermediates in the synthesis of N-substituted piperazine acetic acids which in turn can be used as intermediates in the synthesis of N-substituted piperazine acetic acids. The active esters of N-substituted piperazine acetic acids the active esters of N-substituted piperazine acetic acids. The active esters of N-substituted piperazine acetic acid. The active esters of N-substituted piperaz

temperature, filtered to remove the out-white solar temperature, filtered to remove the out-white solar temperature.

on the
combined filtrate and washings, 1.10 g (quant.) of 4-methylpiperazine-1acetic acid Et ester-1,2-13C (II) as an off-white oil. II (1.1 g) was
refluxed in water for 24 h to give 780 mg 4-methylpiperazine-1-acetic
acid-1,2-13C.

ACCESSION NUMBER:
2005:588426 CAPLUS
143:115568
TITLE:
Preparation of isotopically enriched N-substituted
piperazine-1-acetic acids

2005:598426 CAPLUS
143:115568
Preparation of isotopically enriched N-substituted
piperazina-1-acetic acids
Dey, Subhakar: Pappin, Darryl J. c., Purkayastha,
Subhasish: Pillai. Sasi; Coull, James M.
Applera Corp., USA
U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXXXCO
Patent
English

INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

L8 ANSWER 4 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN FAMILY ACC. NUM. COUNT: 6 PATENT INFORMATION: (Continued)

| | ENT | | | | | D | OATE | | | APPL | ICAT | ION | NO. | | . 0 | ATE | |
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| RO | 2005 | 0684 | 46 | | A1 | | 2005 | 0728 | | WO 2 | 005~ | US22 | 3 | | 2 | 0050 | 105 |
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| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK |
| | | EE, | ES, | FI, | FR, | GB, | GR, | ΗU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT |
| | | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | CF, | Œ, | CI, | CH, | GA, | GN. | GQ. | GW, | MI, |
| | | MR, | NE. | SN, | TD, | TG | | | | | | | | | | | |
| ORITY | APP | LN. | INFO | .: | | | | | | US 2 | 004- | 7513 | 53 | | A 2 | 0040 | 105 |
| | | | | | | | | | | US 2 | 004- | 7513 | 54 | | A 2 | 0040 | 105 |
| | | | | | | | | | | US 2 | 004- | 7513 | 87 | | A 2 | 0040 | 105 |
| | | | | | | | | | | US 2 | 004- | 7513 | 88 | | A 2 | 0040 | 105 |
| | | | | | | | | | | US 2 | 004- | 8226 | 39 | | A Z | 0040 | 412 |
| | | | | | | | | | | | 004- | | | | | | |
| | | | | | | | | | | | | | | | | | |

OTHER SOURCE(5): MARPAT 143:115568

IT 856187-95-6, 4-Methylpiperazine-1-acetic acid phenyl ester
RL: RCT (Reactant), RACT (Reactant or reagent)
(preparation of isotopically enriched N-substituted piperazine-1-acetic acids as isobaric labeling reagents)

RN 856187-95-6 CAPLUS
CN 1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

WO 2005-US223

W 20050105

PRI

857027-10-2P 857503-00-5P 857503-01-6P 857503-03-8P IT

857503-03-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of isotopically enriched N-substituted piperazine-1-acetic acids as isobaric labeling reagents) 857027-10-2 CAPLUS

03/02:-10-2 CAPBUS 1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Jul 2005
AB This invention pertains to isobarically labeled analytes and fragment ions thereof.
ACCESSION NUMBER: 2005:588499 CAPLUS
DOCUMENT NUMBER: 143:112150
TITLE: Isobarically labeled analytes and fragment ions

2005:588349 CAPLUS
143:112150
Isobarically labeled analytes and fragment ions
derived therefrom
Pappin, Darryl J. C.; Purkayastha, Subhasish; Coull,
James M.
Applera Corporation, USA
U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S.
Ser. No. 822,639.
CODEN: USXXCO
Patent INVENTOR (S):

PATENT ASSIGNEE(S):

Patent English 6

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

20040105 20040412 20040105 20040105 20040105 20040524 20050105

US 2004-751353 US 2004-822639 US 2004-751354 US 2004-751387 US 2004-751388 US 2004-852730 WO 2005-U5223

OTHER SOURCE(S): MARPAT 143:112150

IT 856187-95-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(1sobarically labeled analytes and fragment ions derived therefrom)

RN 856187-95-6 CAPLUS

CN 1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

Page 3206/09/2006

ANSWER 4 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

857503-00-5 CAPLUS 1-Piperazineacetic acid, 4-methyl-, pentachlorophenyl ester (9CI) (CA INDEX NAME)

857503-01-6 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

857503-03-8 CAPLUS 1-Piperazineacetic acid, 4-methyl-, 3-nitrophenyl ester (9CI) (CA INDEX NAME)

ANSWER 5 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

857027-10-2P
RL: SPN (Synthetic preparation), PREP (Preparation)
 (isobarically labeled analytes and fragment ions derived therefrom)
857027-10-2 CAPLUS
1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA
INDEX NAME)

$$\stackrel{\text{Me}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{CH}_2-C-0}{\longrightarrow} \stackrel{\text{F}}{\longrightarrow} \stackrel$$

LS ANSWER 6 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Jul 2005
AB This invention pertains to mixts. of isobarically labeled analytes and
fragment ions thereof.
ACCESSION NUMBER: 2005:588336 CAPLUS
DOCUMENT NUMBER: 143:93635
TITLE: Hixtures of isobarically labeled analytes and
fragments ions derived therefrom
Pappin, Darryl J. C.; Purkayastha, Subhasish; Coull,
James M.
PATENT ASSIGNEE(S): Applera Corporation, USA
U.S. Pat. Appl. Publ., 29 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent English 6

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION.

| PATENT INFORMATION: | | | |
|------------------------|-----------------|-----------------------|-----------------|
| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
| | | | |
| US 2005147982 | A1 20050707 | | 20040105 |
| US 2005147985 | A1 20050707 | | |
| US 2005148087 | | US 2004-852730 | |
| AU 2005205522 | | AU 2005-205522 | |
| WO 2005068446 | | WO 2005-US223 | |
| W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BW, I | BY, BZ, CA, CH, |
| CN, CO, CR, | CU, CZ, DE, DK, | DM, DZ, EC, EE, EG, 1 | ES, FI, GB, GD, |
| GE, GH, GM, | HR, HU, ID, IL, | IN, IS, JP, KE, KG, I | KP, KR, KZ, LC, |
| LK, LR, LS, | LT, LU, LV, MA, | MD, MG, MK, MN, MW, I | MX, MZ, NA, NI, |
| NO, NZ, OM, | PG, PH, PL, PT, | RO, RU, SC, SD, SE, | SG, SK, SL, SY, |
| TJ, TM, TN, | TR, TT, TZ, UA, | UG, US, UZ, VC, VN, | YU, ZA, ZM, ZW |
| RW: BW, GH, GM, | KE, LS, MW, MZ, | NA, SD, SL, SZ, TZ, | UG, ZM, ZW, AM, |
| AZ, BY, KG, | KZ, MD, RU, TJ, | TM, AT, BE, BG, CH, | CY, CZ, DE, DK, |
| EE, ES, FI, | FR. GB. GR. HU. | IE, IS, IT, LT, LU, I | MC. NL. PL. PT. |
| RO, SE, SI, | SK. TR. BF. BJ. | CF, CG, CI, CM, GA, (| GN. GO. GW. ML. |
| MR. NE. SN. | | | |
| PRIORITY APPLN. INFO.: | | US 2004-751353 | A2 20040105 |
| | | US 2004-751354 | A 20040105 |
| | | US 2004-751387 | A 20040105 |
| | | US 2004-751388 | A 20040105 |
| | | US 2004-822639 | |
| | | US 2004-852730 | A 20040524 |
| | | WO 2005-US223 | W 20050105 |
| | | -0 2000 03223 | - 20000100 |

836187-95-6

Ki RCT (Reactant): RACT (Reactant or reagent)

(mixts. of isobarically labeled analytes and fragments ions derived therefrom)

856187-95-6 CAPLUS

1-Piperazineacetic acid, 4-methyl-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 7 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 01 Apr 2005

The title compds. (I) [wherein the fused pyrrolidine ring optionally contains a single carbon carbon double bond or a single carbon ring member adjacent to the nitrogen is optionally: 00 substituted n = 1, 2; m = 0,1, 2; Y1 = each CO-5 alkylene, alkenylene, alkynylene, or acylene —CH(CONRRg).—CH(CONRRg).—CH(COZCI-4 alkyl): (where Rf, Rg = H or CI-4 alkyl): Y2 = H, Ph, C4-8 cycloalkyl, or C4-8 cycloalkenyl, wherein each ring optionally substituted Y3 = -CH2-, carbonyl or sulfone; Y4 = (un)substituted C2-7 alkyl, C2-7 alkenyl, C2-7 alkynyl or C3-7 cycloalkyl; Y5 = each (un)substituted Ph (rurnyl, thiophenyl, pyrrolyl, pyrrollyl, pyrrollidinyl, pyracolyl, pyracolyl,

mg

(85%) trans-N-(l-acetyl-2,3-dihydro-lH-indol-6-yl)-N-(l-benzylpiperidin-4-yl)-3-phenylacrylamide (II). II and trans-N-(l-acetyl-2,3-dihydro-lH-indol-6-yl)-3-(3-cyanophenyl)-N-[l-(2-cyclopentylethyl)piperidin-4-yl]acrylamide in vitro inhibited the binding of (1251)FYY to KAN-Ts endogenously expressing Y2 receptor with IC50 4.0 and 0.1 µM, resp.

ACCESSION NUMBER: 102:355173

DOCUMENT NUMBER: 142:355173

Preparation of 6-aminoindole and 7-amino-1,2,3, tetrahydroquinoline derivatives as non-peptidic neuropeptide Y (NPY) Y2 receptor inhibitors

Page 3306/09/2006

ANSWER 6 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

857027-10-2P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) (mixts. of isobarically labeled analytes and fragments ions derived therefrom) 857027-10-2 CAPLUS

1-Piperazineacetic acid, 4-methyl-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 7 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INVENTOR(S):

Carruthers, Nicholas I.; Chai, Wenying; Dax, Scott L.;
Jablonovski, Jill A.; Li, Xiaobing; Lovenberg, Timothy
W.; Murray, William V.; Rudolph, Dale A.; Seierstad,
Hack; Youngman, Mark A.

PATENT ASSIGNEE(S):

USA

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 34 pp. CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE

Double bond geometry as shown.

ANSWER 8 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 11 Mar 2005

$$R^4 n \xrightarrow{R^2} R^2$$

AB Title compds. represented by the formula I [wherein R1 = acyl; R2 = H, (Un) substituted alkyl, heterocyclic ring; R3, R4 = independently (Un) substituted alkyl, heterocyclic ring; n = 0-4; X = 0, S, or (Un) substituted N; and pharmaceutically acceptable salts thereof] were prepared as G protein-coupled receptors TGR23 ligand antagonists. For example, II, I (R1 = Boc, R2 = R3 = Ph, R4 = H, X = 0), was given in a multi-step synthesis starting from Me 2-piperazinecarboxylate dihydrochloride. Selected I showed inhibition of human TGR23-2 ligand with IC50 values of less than 100 mm, and inhibition of human rectal cancer cell L5 174T. Thus, I and their pharmaceutical compns. are useful as TGR23 antagonists for the prevention and treatment of cancers, Alzheimer's disease, dementia, and etc..

ACCESSION NUMBER: 102129798 CAPLUS

DOCUMENT NUMBER: 102129798 CAPLUS

INVENTOR(S): Function of oxazolo[3,4-a]pyrazine derivatives as TGR23 ligand antagonists

FUNCESSION SARVANDA, YULAKAI TARUI, NAOKI, Mori, Masaaki, Natsumoto, Hiroskazu, Xurasawa, Osamu, Banno, Hiroskazu, Kohji, Nakayama, Yutakai Tarui, Naoki, Mori, Masaaki, Natsumoto, Hiroskazu, Xurasawa, Osamu, Banno, Hiroskazu, Turasawa, Osamu, Banno, Hiroski Componential Company Limited, Japan PCT Int. Appl., 281 pp.

CODEN: PIXXD2

FAMENT INFORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|---------------|-----------------|-------------------------|-------------|
| WO 2005021555 | A1 20050310 | WO 2004-JP12683 | 20040826 |
| | | BA, BB, BG, BR, BW, BY, | BZ, CA, CH, |
| CN, CO, CR | CU, CZ, DE, DK, | DM, DZ, EC, EE, EG, ES, | FI, GB, GD, |
| GE, GH, GM | HR, HU, ID, IL, | IN, IS, JP, KE, KG, KP, | KR, KZ, LC, |
| | | MD, MG, MK, MN, MW, MX, | |
| NO, NZ, OM, | PG, PH, PL, PT, | RO, RU, SC, SD, SE, SG, | SK, SL, SY, |
| TJ, TM, TN, | TR, TT, TZ, UA, | UG, US, UZ, VC, VN, YU, | ZA, ZM, ZW |
| | | NA, SD, SL, SZ, TZ, UG, | |
| AZ, BY, KG | KZ, MD, RU, TJ, | TM, AT, BE, BG, CH, CY, | C2, DE, DK, |

ANSWER 9 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 12 Nov 2004

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| | TENT | | | | | | | | | | | | | | | ATE | |
|----|------|------|-----|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| ¥O | 2004 | 0968 | 00 | | A2 | | 2004 | 1111 | | WO 2 | 004- | EP46 | 05 | | 2 | 0040 | 430 |
| VO | 2004 | 0968 | 00 | | A3 | | 2005 | 0106 | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW. | BY. | BZ. | CA. | CH. |
| | | | | | | | DE, | | | | | | | | | | |
| | | | | | | | ID, | | | | | | | | | | |
| | | | | | | | LV, | | | | | | | | | | |
| | | | | | | | PL, | | | | | | | | | | |
| | | | | | | | TZ, | | | | | | | | | | |
| | RW: | | | | | | MW, | | | | | | | | | | |
| | | | | | | | RU, | | | | | | | | | | |
| | | | | | | | GR, | | | | | | | | | | |
| | | | | | | | CF, | | | | | | | | | | |
| | | | TD, | | | | | | | | | | | | , | | |
| ΑU | 2004 | 2340 | 69 | | A1 | | 2004 | 1111 | | AU 2 | 004 - | 2340 | 69 | | 2 | 0040 | 430 |
| | 2523 | | | | | | | | | | | | | | | | |
| EP | 1631 | 569 | | | A2 | | 2006 | 0308 | | EP 2 | 004- | 7305 | 19 | | 2 | 0040 | 430 |
| | | | | | | | ES, | | | | | | | | | | |
| | | | | | | | RO. | | | | | | | | | | |
| BR | 2004 | | | | | | | | | | | | | | | | 430 |
| | 1784 | | | | | | | | | | | | | | | | |
| NO | 2005 | 0056 | 88 | | Ä | | 2006 | 0109 | | NO 2 | 005- | 5688 | | | 2 | 0051 | 201 |
| | | | | | | | | | | | | | | | | | |

Page 3406/09/2006

ANSWER 8 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CT, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG
JF 2005306839 A2 20051104 JP 2004-247166 20040826
EP 1661989 A1 20060531 EP 2004-772659 20040826 SN, TD, TG

JP 2005306639 A2 20051104 JP 2004-247166 20040826

EP 1661898 A1 20060531 EP 2004-772639 20040826

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, C2, EE, HI, PL, SK

PRIORITY APPLN. INFO:: JP 2003-306054 A 20030829

THE SOURCE (S). MARRAY 143-28036 W0 2004-JP12683 W 20040826 OTHER SOURCE(S): MARPAT 142:298136

IT 847556-46-1P
RL: RCT (Rectant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolo[3,4-a]pyrazine derive. as TGR23 ligand antagonisto

ANTAGONIST

ANTAG

REFERENCE COUNT:

98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 52 CAPLUS COPYRIGHT 2006 ACS ON STN PRIORITY APPLN. INFO.: GB 2003-10232 GB 2003-24887 VO 2004-EP4605 (Continued) OTHER SOURCE(S): MARPAT 141:395704

IT 787626-47-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1-aza-bicyclo[2.2.2]oct-3-yl esters for the treatment of
 conditions mediated by the muscarinic M3 receptor)
787626-47-5 CAPUS
1-Azoniabicyclo[2.2.2]octane, 3-[(fluorodiphenylacetyl)oxy]-1-(2-oxo-2phenoxyethyl)-, bromide, (3R)- (9CI) (CA INDEX NAME)

ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 21 Nov 2003

Title compds. I (R1, R2 = H, alkyl, cycloalkyl, heterocyclyl, etc; X1, X2, Y1, Y2 = H, halo, etc.) are prepared When employed alone, such a compound

useful as an agent against Helicobacter. When employed alone, such a compo drug, it can remarkably lessen side effects occurring in treating digestive ulcer, etc. These compds. or compns. can specifically injure and remove Helicobacter to thereby effectively treat digestive diseases (for example, gastric ulcer, duodenal ulcer, gastritis and gastric cancer).

ACCESSION NUMBER: 2003:913165 CAPLUS DOCUMENT NUMBER: 139:381472
TITLE: Prena----2003:913165 CAPLES
2003:913165 CAPLES
39:381472
Preparation of naphthaldimide derivatives as anti-Relicobacter agents
Sugimori, Giichir Masui, Moriyasur Nishida, Kuniyoshir Hasegava, Yasushir Kobayashir Naotake Shionogi & Co., Ltd., Japan
PCT Int. Appl., 157 pp.
CODEN: PIXXO2
Patent
Japanese
1

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | ENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D | ATE | |
|-----|------|------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| WO | 2003 | 0954 | 53 | | A1 | | 2003 | 1120 | 1 | WO 2 | 003- | JP57 | 95 | | 2 | 0030 | 508 |
| | ٧: | AE, | AG, | AL, | AM, | ΑŤ, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | co, | CR, | cu, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | ıs, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MV, | MX, | MZ, | NI, | NO, | NZ, | OM, |
| | | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM, | TN. | TR, | TT, |
| | | ŤΖ, | UA, | UG, | US, | υz, | VC, | VN, | YU, | ZA, | ZM, | ZV | | | | | |
| | RW: | GH, | GM, | ΚE, | LS, | MV, | MZ, | SD, | SL, | SZ, | TZ, | υG, | ZM, | ΖV, | AM, | AZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DX, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | ΗU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ΒJ, | CF, | œ, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |

ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

625085-56-5 CAPLUS
Benzo[lmo][3,8]phenanthroline-2(1H)-acetic acid, 3,6,7,8-tetrahydro-1,3,6,8-tetraoxo-7-(2-pyridinyl)-, 4-fluorophenyl ester (9CI) (CA INDEX

CAPLUS Benzo[lmn][3,8]phenanthroline-2(lH)-acetic acid, 3,6,7,8-tetrahydro-1,3,6,8-tetraoxo-7-(2-pyridinyl)-, 2-fluorophenyl ester (9CI) (CA INDEX

625085-95-2 CAPLUS
BenzO[lmn][3,8]phenanthroline-2(lH)-acetic acid, 3,6,7,8-tetrahydro-7-methyl-1,3,6,8-tetraoxo-, phenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN AU 2003235908 A1 20031111 AU 2003-235908 PRIORITY APPLN. INFO.: JP 2002-137845 W0 2003-JP5795 (Continued) 20030508 A 20020513 W 20030508

OTHER SOUNCE(S): MARPAT 139:381472

IT 625085-54-3P 625085-80-5P
RL: ADV (Adverse effect, including toxicity): PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of naphthaldimide decivs. as anti-Helicobacter agents)
RN 625085-54-3 CAPLUS

CN Benzo(lnn)[3,8] phenanthroline-2(1H)-acetic acid, 3,6,7,8-tetrahydro-1,3,6,8-tetraoxo-7-(2-pyridinyl)-, phenyl ester (9CI) (CA INDEX NAME)

625085-80-5 CAPLUS
Benzo[lmn][3,8]phenanthroline-2(lH)-acetic acid, 3,6,7,8-tetrahydro1,3,6,8-tetraoxo-7-pyrazinyl-, phenyl ester (9CI) (CA INDEX NAME)

IT

625085-55-4P 625085-56-5P 625085-60-1P 625085-95-2P 625086-11-5P 625086-13-7P 625086-14-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses) (U

ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

625086-11-5 CAPLUS Benzo(lam)[3,8]phenanthroline-2(1H)-acetic acid, 3,6,7,8-tetrahydro-1,3,6,8-tetraoxo-7-(2-pyridinyl)-, 3-fluorophenyl ester (9CI) (CA INDEX NAME)

625086-13-7 CAPLUS
Benzo[lmn][3,8]phenanthroline-2(lH)-acetic acid, 3,6,7,8-tetrahydro1,3,6,8-tetraoxo-7-(2-pyridinyl)-, 2-nitrophenyl ester (9CI) (CA INDEX NAME)

625086-14-8 CAPLUS
Benzo[lmn][3,8]phenanthroline-2(1H)-acetic acid, 3,6,7,8-tetrahydro1,3,6,8-tetraoxo-7-(2-pyridinyl)-, 3-nitrophenyl ester (9CI) (CA INDEX
NAME)

* L8 ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 24

ANSWER 11 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1-Piperidineacetic acid, a-(1,1-dimethyl-2-propenyl)-, phenyl ester (QCI) (CA INDEX NAME)

697794-06-2 697794-08-4
RL: RCT (Reactant): RACT (Reactant or reagent)
(Stevens rearrangement of: Stevens rearrangement of ammonium salts
containing B,7-unsatd. and acyl-, benzyl- or
phenylethomycarbonylaethyl groups)
697794-06-2 CAPIUS
Piperidinium, 1-(2-oxo-2-phenoxyethyl)-1-(2-propenyl)-, chloride (9CI)
(CA INDEX NAME) IT

● c1 -

697794-08-4 CAPLUS
Piperidinium, 1-(3-methyl-2-butenyl)-1-(2-oxo-2-phenoxyethyl)-, chloride
(9CI) (CA INDEX NAME)

• c1

Answer 11 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 17 Nov 2003
Ammonius salts containing side by side with \$\textit{B}\$, \$\gamma\$-unsatd. aryl-,
benzyl- or phenylethyloxycarbonylmethyl groups under the action of sodium
phenolates or alcoholates are subjected to 3.2-signatropic rearrangement
to afford a-dialkylaminopent-5-enoic esters. Similarly reacts under
the same conditions dimethylfurfurylphenyloxycarbonylmethylammonium
chloride to afford exceptionally the Sommelet rearrangement product NN-dimethyl-\$\textit{B}\$-(a-methylfuryl)glycin Ph ether. Stevens
rearrangement of ammonium salts containing phenylethyloxrabonylmethyl, and
as a migrating group butyn-2-yl or 3-chlorobuten-2-yl group leads the same
product - 2-dimethylamino-3-methyl-2,4-pentadienoic phenylethyl ester,
which when treated with a diluted hydrochloric acid results in
3-methyl-2-oxo-3-pentenoic phenylethyl ester. The research showed that
the nature of the basic agent and the solvent does not essentially affect
the procedure and yields of Stevens rearrangement products. Study of
antimicrobial activity of some synthesized salts showed that their 3t
ous adueous solns. exhibit a bactericidal effect on standard strains Escherichia coli (str. 1257) and Staphylococcus aureus (str. 906) depending on their chemical structure.

ACCESSION NUMBER: 2003:893585 CAPLUS COCUMENT NUMBER: 141:23239

2003:993985 CAPUS
141:23239
Stevens rearrangement of ammonium salts containing
β,7-unsaturated and aryl-, benzyl- or
phenylethoxycarbonylmethyl groups
Avakinyants, S. A.; Babakhanyan, A. V.; Akopyan, Sh.
F.; Kocharyan, S. T.
Arm. Gos. Pedagog. Univ. im. H. Abovyan, Yerevan,
Armenia
Hawastani Kimiakan Handen (2003), 56(3), 43-51 AUTHOR (S):

CORPORATE SOURCE:

Armenia Hayastani Kimiakan Handes (2003), 56(3), 43-51 CODEN: KZARF3, ISSN: 1561-4190 Izdatel'stvo Gitutyun NAN Respubliki Armenii

PUBLISHER: CODEN: KZARF3; ISSN: 1561-4190, NO(3), VS-31
DOCUMENT TYPE: Journal
LANGGAGE: Russian
OTHER SOURCE(5): CASRACT 141:23239
IT 637794-07-3P 697794-09-5P
RL: STN (synthetic preparation), PREP (Preparation)
(Stevens rearrangement of ammonium salts containing β,γ-unsatd.
and aryl-, benzyl- or phenylethoxycarbonylmethyl groups)
RN 697794-07-3 CAPLUS
CN 1-Piperidineacetic acid, α-2-propenyl-, phenyl ester (9CI) (CA
INDEX NAME)

697794-09-5 CAPLUS

ANSWER 12 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 27 May 2003
We studied the inhibiting effect and properties of adsorption layers formed by mols. of aryloxy-carbonyl-methyl-isoquinoline chlorides on iron surface. The compds. with alkyl chains having 6-18 carbon atoms differ in the inhibiting effect: the compds. with 10 carbon atoms in alkylphenol group provide better performance. Decaphenoxy-carbonylmethyl-isoquinoline chloride having the best performance characteristics was selected as an active base for the corrosion inhibitor of SNPCH brand. Some threshold concentration of isoquinoline chloride (c>15 mg/L) has to be increased to

guaranteed performance. The influence of solution concentration on

guaranteed performance. The influence of solution concentration on inhibiting effect may be attributed to the specific layer formation and surface-active nature of mols. Decaphenoxy-carbonyl-methyl-isoquinoline chloride appeared to affect noticeably the kinetics of cathode process of oxidant reduction in corrosive medium. Anal. of chrono-potentiograms of corrosion process in the solns. containing decaphenoxy-carbonyl-methyl-isoquinoline chloride is given. Well regulated membranous coatings of isoquinoline chloride having high anticorrosive effect form in corrosive medium. Dynamics of coating formation on metal surface is shown. The laboratory exptl. data are compared with the results of the bench and pilot tests of the corrosion inhibitor carried out in the oil fields of West Siberia and Ural-Volga region.

ACCESSION NUMBER: 2003:400358 CAPLUS
DOCUMENT NUMBER: 139:136645

DOCUMENT NUMBER:

AUTHOR(S):

139:136645 Study of mechanism of heterocyclic nitrogen-containing corrosion inhibitors Ugryumov, O. V.; Lebadev, N. A.; Varnavskaya, O. A.; Ivshin, Y. V. Research Department for Development of Demulsifiers and Corrosion Inhibitors, NIIneftepromchim, Kazan, Russia CORPORATE SOURCE:

and Corrosion inhibitors, Nilhettepromchim, Kazan, Russia Progress in Mining and Oilfield Chemistry (2002), 4, 239-248 SOURCE:

CODEN: PMOCBM; ISSN: 1585-1176 Akademiai Kiado

PUBLI SHER:

DOCUMENT TYPE:

LANGUAGE: 565418-55-5

SUSTING (Technical or engineered material use): USES (Uses) (p-alkyl deriva.; machanism of heterocyclic nitrogen-containing corrosion inhibitors on iron surface in oil fields of West Siberia and Ural-Volga

region)
565418-55-5 CAPLUS
Isoquinolinium, 2-(2-oxo-2-phenoxyethyl)-, chloride (9CI) (CA INDEX NAME)

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT:

, L8 ANSWER 12 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

●2 Br (CH2) 13-He

REFERENCE COUNT: THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

La Answer 13 of 52 Caplus Copyright 2006 ACS on STN

ED Entered STN: 02 Aug 2002

A rificial RNases of the ABLACE series were synthesized. They consist of a lipophilic alkyl radical (Et., n-Cl4H29, or n-Cl5H31) [Acy], an "RNA-binding domain" [Voy] (bisquaterenary salt of 1.4-diazabicyclo[2.2.2]octame], a "catalytic domain" [Scy]m [histmaine]
[[Scy]l) or histidine ([Scy]) residue], and a "linker" Lk that joins the "domain" B and Cm [here, k is the unber of methylene units (one or three) in the linker]. The effect of the "domain structure" on the catalytic properties of the chemical RNases was analyzed using seven compds. of this series (ABLIC1, ABL3C1, ABL3C1, ACI, AB, BLZ, and BL3C1). The catalytic activity of the compds. was assessed in the reaction of hydrolysis of the in vitro transcripts of human thNALys and yeast tNNAAsp under physiol. conditions. It was shown that only chemical RNases that involve all the fragments of the ABLKCm construct can hydrolyze the substrate tNNA at a high rate (900 of tRNA is hydrolyzed for 10 h at 37'[Scy]). The activity of the compds. is largely determined by the presence of a long linker, which joins the RNA-hydrolyzing and RNA-binding domains. The results indicate an important role of hydrophobic interactions in the acceleration of the RNA hydrolyzis reaction.

ACCESSION NUMBER: 137:381573

TITLE: Structure of Chemical Ribonucleases Based on 1.4-Diazabicyclo[2.2.2]octame

Komevetz, D. A.; Mironova, N. L.; Beck, T. E.; Zenkova, M. A.; Shishkin, G. V.; Vlassov, V. V.; Silnikov, V. N.

Novosibirsk Institute of Bioorganic Chemistry, Russian Academy of Sciences, Siberian Branch, Novosibirsk, 630090, Russia

FOURCE: Russian Journal of Bioorganic Chemistry (Translation of Bioorganicheskaya Khimiya) (2002), 28(4), 331-341 CODEN; RJBCET; ISSN: 1068-1620

MAIK Nauks/Interperiodica Publishing

DOURDEN TYPE: Journal CASREACT 137:381573

THER SOURCE(S): CASREACT 137:381573

THER SOURCE(S): CASREACT 137:381573

PUBLISHER:

CODEN: RJBCET; ISSN: 1068-1620

PUBLISHER:

MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE:

JOURNAL

LANGUAGE:

English

OTHER SOURCE(S):

CASRACT 137:381573

IT 475661-85-9

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(all domains of chemical RNase are required for efficient tRNA hydrolysis)

RN 475661-85-9 CAPLUS

CN 1,4-Diazoniabicyclo(2.2.2]octane, 1-[2-(4-nitrophenoxy)-2-oxoethyl]-4-tetradecyl-, dibromide (9CI) (CA INDEX NAME)

ANSWER 14 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 05 Jul 2002

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A peptide nucleic acid (PNA) monomer represented by the following general formula A-(CE2)nCO-B [1; wherein A = Q or Q1 (wherein X = ON, Z = ON X = NH2, Z = HZNH: Or X = NH

This process is convenient for the preparation of a photofunctional PNA monomer

which is unstable under alkali condition. Thus, to a solution of 100 mg
2-(5,7,8-trimethyl-1,3-dioxo-2,5-dihydro-2,4-diazaphenazin-2-y)lacetic
acid and 70.2 mg pentafluorophenol in 10 mL DMF was added 73.2 mg
1-ethyl-3-(3-dimethylaminopropy)loatbodimide hydrochloride (EDC) at
0° and stirred at 0° for 1 h and at room temperature for 12 h to
give 85% 2,3,4,5,6-pentafluorophenyl 2-(5,7,8-trimethyl-1,3-dioxo-2,5dihydro-2,4-diazaphenazin-2-y)lacetate (III). To a solution of the active
ester III (100 mg) and 45.4 mg II in 10 mL DMF was added 36.3 mg
diisopropylethylamine and stirred at room temperature for 15 h to give 85%
2-(N-\frac{12-(tert-butoxycarbonylamino)ethyl-2-(5,7,8-trimethyl-1,3-dioxo-2,5dihydro-2,4-diazaphenazin-2-y)lacetyl]aminojacetic acid.
ACCESSION NUMBER:
2002:504749 CAPLUS
DOCUMENT NUMBER:
137:79227
Novel functional peptide nucleic acid monomer and
process for producing the same
INVENTOR(5): | Ikeda, Hisafumi, Saito, Isaor Kitagawa, Fumihiko
Appiled Biosystems Japan Ltd., Japan
PCT Int. Appl., 63 pp.
CODE: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE A1 20020704 WO 2001-JP8120 WO 2002051797 A1 20020704 WO 2001-JP8120 20010919
W: JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, TR

RN 439913-30-1 CAPLUS CN lH-Benz[de]isoquinoline-2(3H)-acetic acid, 5-nitro-1,3-dioxo-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

ES ANSWER 15 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Mar 2002
AB Methods are disclosed for the synthesis of the iodinated halogenides of quaternary ammonium salts (Markush included). Compds. showed different pharmacol. activity such as tuberculostatic, antiulcer, antiviral, anthelminic, at low levels of toxicity. The invention also describes iodinated quaternary ammonium halogenide-containing pharmacoutical compns. Synthesis of compds. is included.

ACCESSION NOMER: 2002:198055 CAPLUS
DOCUMENT NUMBER: 136:241695
INVENTOR(S): Preparation, pharmaceutical compositions, and pharmacological activity of iodinated quaternary ammonium halogenides
INVENTOR(S): Pyshchev, A. I.; Konstantinchenko, A. A.; Zusman, A. I.
PATENT ASSIGNEE(S): Russia
SOURCE: CODEN: RUXXET
DOCUMENT TYPE: Patent
LANGUAGE: Russian
PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
PATENT NO. KIND DATE APPLICATION NO. DATE
COMPNS: AUXXET
PATENT SURCE(S): CASREACT 136:241695; MARRAT 136:241695
IT 40824-50-6 CAPLUS
CHERT SOURCE(S): CASREACT 136:241695; MARRAT 136:241695
RL: ADV (Adverse effect, including toxicity): PAC (Pharmacological activity): PAP (Properties): SPN (Synthetic preparation): JTM (Therapeutic use): BIOL (Biological study): PREP (Preparation): JEES (Uses)
(iodinated quaternary ammonium halogenide preparation, pharmaceutical compns., and pharmacol. activity)

CM 1

CRN 404824-50-6 CAPLUS
CM MORPHOLINIUM, 4-methyl-4-(2-oxo-2-phenoxyethyl)-, (triiodide) (9CI) (CA
INDEX NAME)

CM 2

CRN 14900-04-0
CMF 13

ACNH

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

L8 ANSWER 15 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 16 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 15 Mar 2002

Title compds. [I: Z1 = (CH2)n, CH2CH2O; n = 1-3; Z2 = (CH2)m; m = 1, 2; X1 = 0, CH2, CO, NH, CH2O, CH2S, bond; X2, X3 = CH, N, C; R1 = H, alkyl; Ar1, Ar2 = (substituted) Ph, naphthalenyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazinyl, triazinyl, imidazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, t

English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. WO 2002020501 WO 2002020501 A2 A3 20020314 WO 2001-EP9926 20010827 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

ANSWER 16 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

●2 HC1

WO 2001-EP9926 US 2003-363665 W 20010827 A3 20030228

OTHER SOURCE(s): MARPAT 136:247608

IT 403989-08-2 403989-15-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of piperidinyl-, piperazinyl-, and homopiperazinyl-polysrylcarboxanides as lipid lowering agents)

RN 403989-08-2 CAPLUS

CN 1-Piperazineacetic acid, 4-[4-[([1,1'-biphenyl]-2-ylcarbonyl)amino]phenyl]-a-phenyl-, phenyl ester, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

403989-15-1 CAPLUS
1-Piperazineacetic acid, a-phenyl-4-[4-[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl)amino]phenyl]-, phenyl ester, dihydrochloride
(9C1) (CA INDEX NAME)

ANSWER 17 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 27 May 2001

$$x \longrightarrow 0$$
 $N \longrightarrow CO2R1$

AB The title compds. [I; Y = 1-4 substituents selected from H, halo, alkyl, etc.; or Y = a fused aryl; X = 1-3 substituents selected from H, halo, OH, etc.; R1 = H, alkyl, aryl; R2 = H, alkyl] and their pharmaceutically acceptable salts which selectively inhibit the glycine transport by the human GlyT-1b transporter as compared to the human GlyT-2 transporter, and therefore are useful in the treatment of CHS disorders, were prepared E.g., a multi-step synthesis of II.HCl was described. Biol. data for compds. I were given.

ACCESSION NUMBER: 2001:380589 CAPLUS

DOCUMENT NUMBER: TITLE:

2001:380589 CAPLUS
134:366809
Preparation of spiro[2H-1-benzopyran-2,4'-piperidine]
derivatives as glycine transport inhibitors
Gibson, Samuel Georger Hiller, David John
Akzo Nobel N.V., Neth.
PCT Int. Appl., 38 pp.
CODEN: PIXXD2
Patent
English
1 INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

> APPLICATION NO. PATENT NO. KIND DATE DATE 2001036423 A1 20010525 W0 2000-EP11351 20001113
> W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, LD, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LY, MA, MG, MK, MN, NX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, TJ, TM
> RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, WO 2001036423

ANSWER 17 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2389491 AA 20010525 CA 2000-2389491 20001113
AU 2001015219 A5 20010530 AU 2001-15219 20001113
AU 779518 B2 20050127
BR 2000015586 A 20020207
BR 2000015586 A 20020207
BR 2000015586 A1 20020821 EF 2000-977546 20001113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, FT, IE, SI, LT, LY, FT, RO, MK, CY, AL, TR
JP 2003527340 T2 20030916 JP 2001-538912 20001113
CZ 293920 B6 20040818 CZ 2002-1724 20001113
CZ 20302011 C2 20050420 RU 2002-115862 20001113
CZ 2002003320 A 20030827 CZ 2002-3320 20020425
NO 2002000320 A 20020515 NO 2002-2320 20020515
US 6645973 B1 20031111 US 2002-130557 20020517
US 2004029904 A1 20040212 US 2003-637681 20030808
IORITY APPLN. INFO.: PRIORITY APPLN. INFO.: A3 20020517

US 2002-130557 A3 20020517

OTHER SOURCE(S): MARPAT 134:366809

IT 340267-49-4P

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use):

BIOL (Biological study): PREP (Preparation): USES (Uses)

(preparation of spiro(2H-1-benzopyran-2,4'-piperidine) derivs. as glycine transport inhibitors)

RN 340267-49-4 CAPLUS

CN Spiro(2H-1-benzopyran-2,4'-piperidine)-1'-acetic acid, 4-(4-ethylphenyl)-, phenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 13 Dec 2000

AB On the basis of indiazole and bisquaternary salts of 1,4diszabicyclo[2,2,2] octane, a number of highly effective catalysts of the nDm
series (here, n is the number of pos. charges at neutral pif values and m is
the digital code of the catalytically active frageant: 1, histamine, and
2, histidine Me ester) were synthesized for the cleavage of phosphodiester
bonds in ribonucleic acids. A general method for the synthesis of chemical
RNases was suggested, which helps vary both the number of pos. charges in
their RNA-binding domain and the catalytic center. By the example of
hydrolysis under physiol. conditions of the in vitro transcript of tRNAlys
from human mitchchondria, it was shown that the RNA cleavage rate with the
nDm conjugates increases approx. 30-fold along with the increase in the
number of pos. charges from two to four.
ACCESSION NUMBER:
2000:871429 CAPLUS

DOCUMENT NUMBER:
104:189912

CORPORATE SOURCE:

Novosibirs (500090, Russia
Russian Journal of Bioorganic Chemistry,
Siberian Division, Russian Academy of Sciences,
Novosibirsk, 650090, Russia
Russian Journal of Bioorganic Chemistry (Translation
of Bioorganicheskaya Khiajya) (2000), 26(11), 765-773

COEDN: RORCET; ISSN: 1068-1620

MAIK Nauka/Interperiodica
Journal
OF RNA
OF DASIA OF ACADEMS

RNA
OF DASIA OF CAPLUS

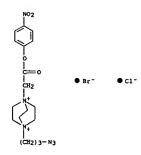
on basis of quaternary salts of 1,4-diazabicyclo[2.2.2]octane)
327189-89-9 CAPLUS
1,4-Diazoniabicyclo[2.2.2]octane, 1-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-4-[2-(4-nitrophenoxy)-2-oxoethyl]-, dibromide (9CI) (CA INDEX NAME)

L8 ANSWER 18 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

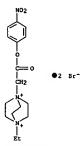
PAGE 2-A

327189-91-3 CAPLUS 1.4-Diszoniabicyclo[2.2.2]octane, 1-(3-azidopropyl)-4-{2-(4-nitrophenoxy)-2-oxoethyl]-, bromide chloride (9CI) (CA INDEX NAME)

ANSWER 18 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN



327189-96-8 CAPLUS 1.4-Diazoniabicyclo(2.2.2)octane, 1-ethyl-4-[2-(4-nitrophenoxy)-2-oxoethyl)-, dibromide [9CI) (CA INDEX NAME)



REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSYER 19 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 18 Oct 2000
A procedure was proposed allowing one to synthesize RNase mimics on the basis of conjugates of diazabicyclo[2.2.2] octane with imidazole bearing a varying number of pos. charges (nDm series, where n is the number of pos. charges at neutral pH, m is the code of an imidazole-containing fragment of the catalytic domain: 1, histamine; 2, histidine Me ester). The hydrolytic activity of six compds, of this series was studded in physiol. conditions using in vitro transcript of human mitochondrial tRNALys as a substrate. It was shown that the rate of RNA hydrolysis with nDm conjugates rises with an increase in the number of pos. charges: an approx. 30-fold acceleration of hydrolysis was observed with an increase in the
30-fold acceleration of hydrolysis was observed with an increase in the total charge of the construct from +2 to +4.

ACCESSION NUMBER: 2000:735652 CAPUS
DOCUMENT NUMBER: 133:360397

ITILE: Chemical ribonuclease: 2. Design and hydrolytic activity of the ribonuclease mimics on the basis of diazabicyclo[2.2.2]octane with a differing number of positive charges

AUTHOR(S): Zenkova, M. A.; Vlassov, A. V.; Konevets, D. A.; Silnikov, V. N.; Giege, R.; Vlassov, V. V.

CORPORATE SOURCE: Novosibirsk Institute of Bioorganic Chemistry, Siberian Division, Russian Academy of Sciences, Novosibirsk, 630090, Russia

SOURCE: Russian Journal of Bioorganic Chemistry (Translation of Bioorganicheskaya Khimiya) (2000), 26(9), 610-615

CODEN: RJBCET; ISSN: 1068-1620

PUBLISHER: MAIK Nauka/Interperiodica Journal Journal Journal Journal Journal Journal Journal Journal Journal (Reactant); SPN (Synthetic preparation); PREF (Preparation); RACT (Reactant); SPN (Synthetic preparation); PREF (Preparation); RACT (Reactant) and hydrolytic activity of RNase mimics based on diazabicyclo[2.2.2] octane and containing various number of pos. charges)

RN 307305-05-1 CAPUS

CN 1,4-Diazoniabicyclo[2.2.2] octane, 1-ethyl-4-[2-(4-nitrophenoxy)-2-oxoethyl]- (9CI) (CA INDEX NAME)
                                                                           ANSWER 20 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 22 Sep 2000
                                                                 Title compds. [Ir Ra = H, alkyl; Rb = (substituted) Ph, PhCH2, PhCH2CH2; XY = N:C(AB)CH:CH, CH:NC(AB):CH, N:C(AB)N:CH, etc.; A = alkyleneoxy, cycloalkyleneoxy, (substituted) alkyleneimino, cycloalkyleneimino, azettidnylene, piperatidnylene, piperatinylene, etc.; B = R60C2A(NRS, etc.; R5 = H, (substituted) alkylene; R5 = H, (substituted) alkyle, cycloalkyl, cycloalkylalkyl; Al = (substituted) alkylene; R6 = H, (substituted) alkyle, cycloalkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.], were prepared Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-[[1-[to-float-6-[1-to-float-6-[1-to-float-6-yl]amino]-6-[1-[1-[to-float-6-yl]amino]-6-[1-[1-[to-float-6-yl]amino]-6-[1-[to-float-6-yl]amino]-6-[to-float-6-float-6-yl]amino]-6-[to-float-6-float-6-yl]amino]-6-[to-float-6-float-6-float-6-yl]amino]-6-[to-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-float-6-f
                                                                                                                                                                                                                                                                                                                                         2000:666735 CAPLUS
133:238019
Preparation of aminopyrimidopyrimidines and related compounds as inhibitors of epidermal growth factor receptor-mediated cell proliferation.
Himmelabach, Frankr Langkopf, Elker Blech, Stefan; Jung, Birgit; Metz, Thomas; Solca, Flavio Boehringer Ingelheim Pharma K.-G., Germany PCT Int. Appl., 137 pp.
CODEN: PINXO2
Patent
             INVENTOR(S):
          PATENT ASSIGNEE(S):
SOURCE:
          DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                                                 MY INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

20000921

WO 20000-EP2229

20000314

NO 2000-EP2229

20000314

NO E.S. FL, FT, GB, GB, GB, BR, BY, CA, CH, CN, CR, CU, CR, CU, CR, CL, CR, CR, CR, FF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MY, MK, NO, NZ, PL, PT, RO, RU, SD, SE, SC, ST, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MY, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19911510

A1 20000311

A2 20000311

A2 20000312

A2 20011219

EP 2000-920498

200003114

AC A1 D6 A0 Q4 A0 A0 A0

AC A1 D6 A0 A0 A0 A0 A0

AC A1 A0 
             Page 4106/09/2006
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ANSWER 19 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 307305-06-2 CAPLUS
1,4-Diazoniabicyclo[2.2.2]octane, 1-(3-azidopropyl)-4-[2-(4-nitrophenoxy)-2-oxoethyl]- (9CI) (CA INDEX NAME) (CH2) 3-N3 REFERENCE COUNT: THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L8 ANSWER 20 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
JP 2002539214 T2 20021119 JP 2000-605591
US 2002082420 A1 20020627 US 2001-933597
PRIORITY APPLM. INFO:: DE 1999-19911510
WO 2000-EF2229 (Continued) 20000314 20010821 OTHER SOURCE(S): MARPAT 133:238019
IT 294181-23-0P
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (preparation of aminopyrimidopyrimidines and related compds. as inhibitors of epidermal growth factor receptor-mediated cell proliferation)
294181-23-0 CAPLUS
1-Piperidiheacetic acid, 3-[[8-[(3-chloro-4-fluorophenyl)amino]pyrimido[5,
4-d]pyrimidin-2-yl]amino]-, phenyl ester (9CI) (CA INDEX NAME) NH CH2-C-OPh

ANSWER 21 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 10 Sep 2000

Title compds. [I: R1 = H, C1-C4-alkyl: R2 = (un) substituted Ph, benzyl, 1-phenylethyl: R3, R4 independently = H, F, C1, CH3O, CH3OCH2, (CH3) 2NCH2, (CH3) CH3CH2, YPTC-01dino, piperidino, sorpholino: X = C(N), N: A = 0, NH, (C1-C4)-alkyln: B = C0, SO2; C = 1,3-allenylene, 1,1-vinylene, 1,2-vinylene, 1,3-butadien-1,4-ylene, vith CH3, CF3 substitution: D = alkylene, CO-alkylene, SO2-alkylene; CO, SO2: E = HCDC(CH2)nNRS: n = 1-6: R5 = H, alkyl], tautomers, stereoisomers, and physiol: acceptable salts are prepared and having valuable pharmacol. properties, particularly an inhibiting effect on signal transduction mediated by tyrosine kinases. Title compds. are useful for treating tumoral diseases, diseases of the lungs and respiratory tract. Thus, the title compound II was prepared and tested by Cell Titer 96TM Aqueous Nonradioactive Cell Proliferation Assay.

SION NUMBER: 2000:628125 CAPLUS

MENT NUMBER: 133:207919

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

133:207919
Preparation of 4-amino-quinazoline and quinoline derivatives having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases

INVENTOR(S):

Giseases Himmelsbach, Frank: Langkopf, Elker Jung, Birgit: Metz, Thomas: Solca, Flavio: Blech, Stefan Boehringer Ingelheim Pharma K.-G., Germany PCT Int. Appl., 232 pp. PATENT ASSIGNEE(S): SOURCE:

ANSWER 21 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L8 ANSWER 21 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN CODEN: PIXXD2
DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PAT | ENT | NO. | | | KIN | D | DATE | | | APP | LICAT | ION | NO. | | Đ | ATE | | | |
|-------------|-----|------------------------------|-------|-------|-----|---------|-----|------|-------|-----|------|---|-------|------|-----|-----|------|-----|--|--|
| | | | | | | | - | | | | | | | | | | | | | |
| | WO | 2000 | 0519 | 91 | | A1 | | 2000 | 0908 | | WO : | 2000- | EP 14 | 96 | | 2 | 0000 | 224 | | |
| | | V: | AE, | AL, | AM, | AT, | AU. | AZ, | BA, | BB. | BG | . BR. | BY. | CA, | CH, | CN. | CR. | CU. | | |
| | | | cz. | DE. | DK. | DM. | EE. | ES. | FI. | GB. | GD | , GE, | GH. | GM. | HR. | HU. | ID. | IL. | | |
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| | | RV: | GH, | GM, | KE, | LS, | MW, | SD, | SL. | SZ. | TZ. | UG. | ZW. | AT. | BE. | CH. | CY. | DE. | | |
| | | | DK, | ES, | FI, | FR. | GB. | GR. | IE. | 17. | LU | HC. | NL. | PT. | SE. | BF. | BJ. | CF. | | |
| | | | œ, | CI, | CH, | GA. | GN. | GV. | ML. | MR. | NE | . SN. | TD. | TG | - | | | | | |
| | DE | 1990 | 8567 | | | AÌ | | 2000 | 0831 | | DE | , 5N,
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2000- | 1990 | 8567 | | 1 | 9990 | 227 | | |
| | DE | 1991 | 1366 | | | A1 | | 2000 | 0921 | | DE | 1999- | 1991 | 1366 | | 1 | 9990 | 315 | | |
| | DE | 1992 | 8306 | | | A1 | | 2000 | 1228 | | DE | 1999- | 1992 | 8306 | | 1 | 9990 | 621 | | |
| | DE | 1995 | 1816 | | | A1 | | 2001 | 0517 | | DE : | 1999- | 1995 | 4816 | | 1 | 9991 | 113 | | |
| | CA | 2361 | 174 | | | Aλ | | 2000 | 0908 | | CA : | 2000- | 2361 | 174 | | 2 | 0000 | 224 | | |
| | EP | 1157 | 011 | | | A1 | | 2001 | 1128 | | EP : | 2000- | 9106 | 95 | | 2 | 0000 | 224 | | |
| | | R: | AT, | BE, | CH, | DE. | DK, | ES, | FR. | GB, | GR. | , IT, | LI. | LU. | NL. | SE. | MC. | PT. | | |
| | | | | | | | | RO | | | | | | | | | | | | |
| | BR | 2000 | 0085 | 24 | | A | | 2001 | 1218 | | | 2000- | | | | | 0000 | 224 | | |
| | JΡ | 2002
3751
2001
1057 | 53814 | 15 | | T2 | | 2002 | 1112 | | JP : | 2000- | 6022 | 18 | | 2 | 0000 | 224 | | |
| | JP | 3751 | 201 | | | B2 | | 2006 | 0301 | | | | | | | | | | | |
| | EE | 2001 | 00449 | 9 | | Α | | 2002 | 1216 | | EE : | 2001- | 449 | | | 2 | 0000 | 224 | | |
| | BG | 1057 | 65 | | | Α | | 2002 | | | BG : | 2001- | 1057 | 65 | | 2 | 0010 | 801 | | |
| | HЯ | 2001 | 0006 | 17 | | A1 | | 2002 | 1031 | | HR : | 2001- | 617 | | | 2 | 0010 | 823 | | |
| | | 2001 | | 14 | | A
B1 | | 2001 | 1015 | | NO : | 2001-
2001-
2001-
2001-
2002- | 4114 | | | 2 | 0010 | 824 | | |
| | | 6972 | | | | B1 | | 2005 | 1206 | | us : | 2002- | 9143 | 23 | | 2 | 0020 | 206 | | |
| PRIOR | IT1 | ' APP | LN. 3 | INFO. | . : | | | | | | DE : | 1999- | 1990 | 8567 | - 1 | A 1 | 9990 | 227 | | |
| | | | | | | | | | | | DE : | 1999- | 1991 | 1366 | | A 1 | 9990 | 315 | | |
| | | | | | | | | | | | DE : | 1999- | 1992 | 8306 | - 1 | A 1 | 9990 | 621 | | |
| | | | | | | | | | | | us : | 1999- | 1493 | 29P | 1 | P 1 | 9990 | 817 | | |
| | | | | | | | | | | | | 1999- | | | | | 9991 | 113 | | |
| | | | | | | | | | | | WO : | -000 | EP14 | 96 | 1 | 2 | 0000 | 224 | | |
| OTHER
IT | | URCE
303- | | | | MARP | AT | 133: | 20791 | 9 | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 133:207919

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoquinazoline and aminoquinoline derivs. having an inhibitory effect on signal transduction mediated by tyrosine kinases useful for treating tumoral diseases, lung and respiratory tract diseases)

RN 290303-06-9 CAPLUS

CN 1-Piperazineacetic acid, 4-[4-[[4-[(3-chloro-4-fluorophenyl] amino]-7-(cyclopropylmethoxy)-6-quinazolinyl] amino]-4-oxo-2-butenyl]-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 22 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 09 Mar 2000

The efficient synthesis of a water-soluble Clla-epi-analog I of quinocarcin is described. This substance, and a netropsin amide conjugate II lack the capacity to inflict oxidative damage on DNA due to the stremelectronic geometry of their oxazolidine nitrogen atoms. The capacity of these substances to alkylate DNA through the generation of an iminium species has been examined Both compds. were found to be unreactive as DNA alkylating agents. The results of this study are discussed in the context of previous proposals on the mode of action of this family of antitumor alkaloids.

2000:157026 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

133:4837
Synthesis of a netropsin conjugate of a water-soluble epi-quinocarcin analogue: the importance of stereochemistry at nitrogen
Herberich, B.; Scott, J. D.; Williams, R. M.
Department of Chemistry, Colorado State University,
Fort Collins, CO, USA
Bioorganic & Medicinal Chemistry (2000), 8(3), 523-532
COURS: BMECEP; ISSN: 0968-0896

AUTHOR (S): CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: Elsevier Science Ltd.

LANGUAGE: IT 165253-50-9P English

16525-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of a netropsin conjugate of a water-soluble epi-quinocarcin analog and the importance of stereochem. at nitrogen)
16525-50-9 CAPLUS
2-Oxa-4,10c-dizaaceanthrylene-4(1H)-acetic acid, 2a,3,5,5a,6,10b-hexahydro-10-methoxy-3,3-dimethyl-, 4-nitrophenyl ester,
(2aR,5aS,10bR)-rel- (9CI) (CA INDEX NAME)

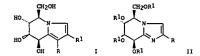
Relative stereochemistry.

* L8 ANSWER 22 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 24 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 05 Sep 1997



In the presence of activating agents, the N-acylglycine I reacts with electrophilic alkynes via a munchnone (oxazolium-5-olate) to pyrrolopyridines (indolizines). Depending on the nature of the activating agent and the reaction temperature, the formation of the pyrroles was accompanied by partial epimerization to manno-configurated epimers. A gluco-configurated pyrrolopyridine was depretected to tetrol I (R, Rl = COZMe). Silylation of the latter, followed by reduction and desilylation, gave the head I (R, Rl = CH2OH). Cycloaddn. of the intermediary munchnone to 4-MecGH4SO2CN yielded the imidazole II (R = 4-MecGH4SO2, Rl = PhCH2) 531 yield, while cycloaddn. to PhCN gave the phenoxyimidazole II (R = PhCH2) in low yields only. As expected, the deprotected pyrroles I (R = Rl = COZMe, CH2OH; R = H, Rl = COZMe; R = COZMe, Rl = H) are weak inhibitors of retaining β-glucosidases, while II (R = 4-MecGH4SO2, Rl = H) proved a good inhibitor of sweet-almond β-glucosidases and a powerful inhibitor of Galdocellum saccharolyticum β-glucosidase.

SSION NUMBER: 1997:568920 CAPLUS
MEMN NUMBER: 127:278174

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

AUTHOR(S):

1997:309920 PAPUS
127:278174
Synthesis via a carbohydrate-derived munchnone of pyreolopytidines (indolizines) and imidazopyridines, and their evaluation as inhibitors of PD-glucosidases
Granter, Thierry, Gaiser, Floriann Hintermann, Lukas; Vasella, Andrea
Laboratorium Organische Chemie, Eidgenosische
Technische Hochschule Zurich, Zurich, CH-8092, Switz.
Helvetica Chimica Acta (1997), 80(5), 1443-1456
CODEN: HCACAV, ISSN: 0018-019X
Verlag Helvetica Chimica Acta
Journal
English
CASREACT 127:278174 CORPORATE SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

196412-52-9P
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and glucosidase inhibitory activity of carbohydrate-derived pyrcolo- and inidazopyridines)
196412-52-9 CAPLUS
1-Piperidineacetic acid, 2-oxo-3,4,5-tris(phenylmethoxy)-6-[[phenylmethoxy]sethyl]-, phenyl ester, [3R-(3α,4β,5α,6.b eta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Page 4306/09/2006

L8 ANSWER 23 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 31 May 1999
AB N.W-Disubstituted 2-aminoalk-2-enals react with alkyl- or atyl-thiols to give unexpected thioesters of a-amino acid in good yields. The same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of product is formed when substrate is treated with the same type of the same

PUBLISHER:

Royal Society of Chemistry

DOCUMENT TYPE:

JOURNAL

LANGUAGE:

ROYAL

CASPACT 131:170604

IT 238420-03-69

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of from N.N-disubstituted 2-aminoalk-2-enals reacted with thiols)

RN 238420-03-6 CAPLUS

CN 1-Piperidineethanethioic acid, a-ethyl-, 5-phenyl ester (9CI) (CA INDEX NAME)

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 24 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

SOURCE:

L8 ANSWER 25 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered 5TN: 24 Jul 1997
AB Photochromic dihydroindolizines linked to an anchor group allowing for supramol, interaction are described. Four classes of mols, containing different anchor groups and their supramol, interactions with ions and mols, are presented.
ACCESSION NUMBER: 1997:462292 CAPLUS

DOCUMENT NUMBER: TITLE:

AUTHOR (S)

1997:462292 CAPLUS
127:227210
Supramolecular aggregates and ion-binding in photochromic molecules
Durr, Heinz; Kranz, Carolin; Kilburg, Heike
Fachbereich 11:2, Universitat Saarlandes, Saarbrucken,
66041, Germany
Molecular Crystals and Liquid Crystals Science and
fechnology, Section A: Molecular Crystals and Liquid
Crystals (1997), 298, 365-372
CODEN: MCLCES; ISSN: 1058-725X
Gordon 6 Breach
Journal CORPORATE SOURCE:

CODEN: MCLCES, ISSN: 1058-725X

PUBLISHER: Gordon 6 Breach

DOCUMENT TYPE: Journal

LANGUAGE: English

1 195044-51-0 195044-58-7

RL: PEP (Physical, engineering or chemical process), PRP (Properties),

PROC (Process)

(supramol. aggregates and ion-binding in photochromic mols.)

RN 195044-51-0 CAPLUS

CN Pyridinium, 3-[2-[2-[2-[3-pyridinyloxy)ethoxy]ethoxy]ethoxy]ethoxy]-,

2-(99.Fluoren-9-ylidene)-3-oxo-3-phenoxy-1-(phenoxycarbonyl)propylide

(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

195044-58-7 CAPLUS

ANSWER 25 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Pyridinium, 3,3'-{oxybis(2,1-ethanediyloxy-2,1-ethanediyloxy)}bis-,
bis[2-(9H-fluoren-9-ylidene)-3-oxo-3-phenoxy-1-(phenoxycarbonyl)propylide]
(SCI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 14 Feb 1997

AB Novel dioxetane derivs. I [R = Et, CH2CCl3, (un)substituted Ph] with an acridane-10-acetate moiety were prepared and tested as potential chemiluminescent probes. The 10-acetate was found to play an important role both in stabilization and in base-mediated smooth degradation of the dioxetane ring.

ACCESSION NUMBER: 1997:106528 CAPLUS
DOCUMENT NUMBER: 126:212075

AUTHOR (5): CORPORATE SOURCE:

TITLE:

126:212075
Synthesis and chemiluminescent property of the novel 1,2-dioxetanes containing an accidane-10-acetate molety as the luminophor and trigger unit Imanishi, Takeshi; Ueda, Yohko: Tainaka, Ryoh; Miyashita, Kazuyuki; Hoshino, Nobuhiro
Faculty Pharmaceutical Sciences, Osaka Univ., Suita, 565, Japan
Tetrahedron Letters (1997), 38(5), 841-844
CODEN: TELEATY: ISSN: 0040-4039
Elsevier

SOURCE:

PUBLI SHER:

DOCUMENT TYPE:

DOCLMENT TYPE: Journal
LANGUAGE: English
I 178312-95-3P 178312-97-5P 188002-48-4P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT
(Reactant); SPN (Synthetic preparation); PREP (Preparation); PRCC
(Process); RACT (Reactant or reagent)
(preparation, thermal stability, and chemiluminescence of 1,2-dioxetanes
containing an acridane acetate moiety)
RN 178312-95-3 CAPLUS
CN Dispiro(acridine-9(10H),3'-{1,2}dioxetane-4',2''tricyclo(3.3.1.13,7)decane)-10-acetic acid, phenyl ester (9CI) (CA INDEX
NAME)

ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

178312-97-5 CAPLUS
Dispiro[acridine-9(10H),3'-[1,2]dioxetane-4',2''tricyclo[3.3.1.13,7]decane]-10-acetic acid, 4-nitrophenyl ester (9CI) (CA
INDEX NAME)

PAGE 1-A

" L8 ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

188002-48-4 CAPLUS
Dispiro[acridine-9(10H),3'-[1,2]dioxetane-4',2''tricyclo[3.31.13,7]decane]-10-acetic acid, 2,4-dinitrophenyl ester (9CI)
(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

198002-39-3 CAPLUS 10(9H)-Acridineacetic acid, 9-tricyclo{3.3.1.13,7}decylidene-, 2,4-dinitrophenyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

178313-00-3P 178313-01-4P 188002-39-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation, thermal stability, and chemiluminescence of 1,2-dioxetanes
containing an acridane acetate moiety)
178313-00-3 CAPLUS
10(9H)-Accidineacetic acid, 9-tricyclo[3,3,1.13,7]decylidene-,
4-nitrophenyl ester (9CI) (CA INDEX NAME)

178313-01-4 CAPLUS 10(9M)-Acridineacetic acid, 9-tricyclo[3.3.1.13,7]decylidene-, phenyl ester (9C1) (CA INDEX NAME)

ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 12 Jul 1996

AB The title compds. I [n = 1 - 3; Rl = H, alkyl, etc.; R2, R3 = H, nitro, etc.] are prepared I [R2 = R3 = H; n = 1; Rl = 4-nitrophenyl] (II) (preparation given) showed chemiluminescence. II showed good storage stability.

ACCESSION NUMBER: 1996:401584 CAPLUS

DOCUMENT NUMBER: 125:58346

Preparation of accidine derivatives as chemiluminescent compounds
IMMUNITOR(5): Imminish!, Takeshi; Hoshino, Nobuhiro; Shimamoto, Kazutoshi

PATENT ASSIGNEE(5): Iatron Lab., Japan; Hitsubishi Chemical Yatron Co., Ltd.

SOURCE: JPD. Kokai Tokkyo Koho, 10 pp.

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
PAMELIY ACC. NUM. COUNT: 1

Japanese
PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE 19960409 PATENT NO. KIND APPLICATION NO.

* L8 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

178313-01-4 CAPLUS 10(9H)-Acridineacetic acid, 9-tricyclo[3.3.1.13,7]decylidene-, phenyl ester (9CI) (CA INDEX NAME)

IT 178312-95-3P 178312-96-4P 178312-97-5P
RL: SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of accidine derivs. as chemiluminescent compds.)
RN 178312-95-3 CAPIUS
CN Dispiro[accidine-9(10H),3"-[1,2]dioxetane-4",2"tricyclo[3.3.1.13,7]decane]-10-acetic acid, phenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



178312-97-5 CAPLUS
Dispiro[acridine-9(10H),3'-[1,2]dioxetane-4',2''tricyclo[3,31.13,7]decane]-10-acetic acid, 4-nitrophenyl ester [9CI] (CA
INDEX NAME)

PAGE 1-A

L8 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

178312-96-4 CAPLUS
Dispiro[acridine-9(10H),3'-[1,2]dioxetane-4',2''tricyclo[3.3.1.13,7]decane]-10-acetic acid, pentafluorophenyl ester (9CI)
(CA INDEX NAME)

PAGE 1-A

L8 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

ANSWER 28 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered 5TN: 17 Aug 1995

AB The compds. consist of I [RI = (substituted) alkyl, (substituted) phenyl;
R2 = (substituted) Ph. alkyl group having substituted C at
e-position of S; R3, R4, R5, R6 = H, halo, alkyl, alkomy, cyano,
nitro, Ph. COOR7, COR8, COCR9, CONRIORNI (R5 and R6 may form aromatic ring);
X = organic or inorg, anionic residual group; R7, R8, R9, R10, R11 = H,
alkyl, Ph. benzyll or II [R12 = (substituted) alkyl; R13 = alkyl group
having substituted C at e-position of S; R14, R15, R16 = H, nitro,
Ph. COOR17, COR18, COCR19, CONR20R21; X = organic or inorg, anionic residual
group; R17, R18, R19, R20, R21 = H, alkyl, Ph. benzyll. A composition
containing
ERL-4221 (epoxy resin) and N-cinnamyl-2-(ethoxycarbonylmethylthio)pyridini
um hexfluoroantimonate was heated at 10'/ani to give a cured resin
with differential calorimetric peak temperature 159'.
ACCESSION NUMBER:
1995:740929 CAPLUS
1021:15609
Onium salt compounds and their use as
polymerizable of the polymerizable

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------|--------------|----------------------|----------------|
| | | | | |
| JP 07025852 | A2 | 19950127 | JP 1993-197030 | 19930714 |
| PRIORITY APPLN. INFO.: | | | JP 1993-197030 | 19930714 |
| OTHER SOURCE(S): | | 123:145609 | | |
| IT 166440-19-3P 166885 | | | | |
| RL: CAT (Catalyst) | 15e); IM | F (Industria | l manufacture); PREP | (Preparation); |

(initiator, for rapid curing of cationically polymerizable compds.)
166440-19-3 CAPLUS
Pyridinium, 2-[[(2,4-dichlorophenyl)methyl]thio]-1-(2-oxo-2-phenoxyethyl), bromide (9C1) (CA INDEX NAME)

(Continued) L8 ANSWER 28 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 28 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

● Br

166889-00-5 CAPLUS
Pyridinium, 2-[[(2,4-dichlorophenyl)methyl]thio]-1-(2-oxo-2-phenoxyethyl)-, (OC-6-11)-hexafluoroantimonate(1-) [9CI] (CA INDEX NAME)

CRN 166440-30-8 CMF C20 H16 C12 N O2 S

2

CRN 17111-95-4 CMF F6 Sb CCI CCS

ANSWER 29 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 09 Jun 1995

Quinocarcin is the simplest of the bioxalmycin/naphthyridinomycin/tetrazom
ine/saframycin class of antitumor antibiotics, which damage DNA in a
process that is inhibited by superoxide dismutase (SOD). The oxazolidine
moiety of this class of antitumor antibiotics undergoes a redox
salf-disproportionation reaction of the Cannizzaro type. The reaction is
proposed to proceed via an intermediate carbon-centered radical, which
then reduces mol. oxygen to give superoxide. We set out to determine
her

then reduces mol. oxygen to give superoxice. We set out to determine her the DNA-cleavage properties of these antitumor antibiotics could be retained in less complex analogs of quinocarcin. A totally synthetic, water-soluble analog of quinocarcin has been prepared This analog produced superoxide, but had considerably reduced ability to cleave supercoiled circular DNA compared to quinocarcin or tetrazomine. When conjugated to the DNA-binding mol. spermine, however, it cleaved DNA as effectively as quinocarcin at less than 1/10 the concentration A conjugate with netropsin displayed selective cleavage around the sequence 5'-d(ATTI)-3'. Mol. modeling of the interaction between the conjugate and DNA, together with the pattern of cleavage, indicates that a non-diffusable oxidant is involved in sequence-selective DNA cleavage. The spermine conjugate displayed weak antimicrobial activity. Knowledge of the stereoelectronic requirements for superoxide production by quinocarcin has allowed us to

a structurally less complex analog which has many of the same phys. properties, including water solubility, the ability to produce superoxide

and
the ability to cleave DNA. Covalently attaching known DNA-binding mols.
to this analog gave a compound that produced sequence-specific DNA damage.
Our results suggest that a mechanism other than superoxide production can
mediate DNA damage by the netropsin conjugate.

ACCESSION NUMBER: 1995:599846 CAPLUS
DOCUMENT NUMBER: 123:74302

DOCUMENT NUMBER: TITLE:

Netropsin and spermine conjugates of a water-soluble quinocarcin analog: Analysis of sequence-specific DNA interactions
Flanagan, Mark E.; Rollins, Samuel B.; Williams, Robert M.

Robert M.
Department Chemistry, Colorado State University, Ft.
Collins, CO, 80523, USA
Chemistry & Biology (1995), 2(3), 147-56
CODEN: CBOLE2: ISSN: 1074-5521 CORPORATE SOURCE:

DOCUMENT TYPE:

Relative stereochemistry.

AUTHOR (5):

* L8 ANSWER 29 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 30 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 1,5,6,8-tetrahydro-8-oxo-, phenyl ester, (1R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 163778-14-1 CMF C19 H20 N2 O3

Absolute stereochemistry

CM 2

0 0 || || || ||

ANSWER 30 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 26 Apr 1995

AB Title esters I (R = Me, Et, Pr, Bu, Ph) were prepared by reaction of cytisine with CLCH2CO2R in the presence of K2CO3. The oxalate salts of I were also prepared ACCESSION NUMBER: 1955:510830 CAPLUS DOCUMENT NUMBER: 123:56346 TitlE: Synthesis and structure of N-cytisinylacetic acid

1995:510830 CAPLUS
123:55346
Synthesis and structure of N-cytisinylacetic acid
esters
Nurkenov, O. A.; Gazaliev, A. M.; Zhakina, A. Kh.;
Zhurinov, M. Zh.
Inst. Org. Sint. Uglekhim., Karaganda, Kazakhstan
Izvestiya Natsional'noi Akademii Nauk Respubliki
Kazakhstan, Seriya Khimicheskaya (1994), (2), 74-7
CODEN: INRKES AUTHOR (S):

CORPORATE SOURCE: SOURCE:

CODEN: INFALES

PUBLISHER: Gylym

DOCUMENT TYPE: Journal
LANGUAGE: Russian

IT 163778-14-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and conversion to oxalate salt)

RN 163778-14-1 CAPLUS

CN 1,5-Methano-2H-pyrido[1,2-a][1,5]diazocine-3(4H)-acetic acid,
1,5,6,8-tetrahydro-8-oxo-, phenyl ester, (IR)- (9CI) (CA INDEX NAME)

163879-32-1P RL: SPN (Synthetic preparation), PREP (Preparation) (preparation of) 163879-32-1 CAPLUS 1.5-Methano-2H-pyrido(1,2-a][1,5]diszocine-3(4H)-acetic acid,

ANSWER 31 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 02 Oct 1993

AB New electrostatog, toners and developers are provided containing novel charge control agents comprising ester-containing quaternary pyridinium salts

Control agence compared to the control agence of the control agenc containing quaternary pyridinium salts also cause content of them to display lower fusing temps, and improved paper adhesion indexes.

ACCESSION NUMBER: 1993:549452 CAPLUS

DOCUMENT NUMBER: 1993:549452 CAPLUS

119:149452 Title: Toners and developers containing ester-containing quaternary pyridinium salts as charge control agents wilson, John Charles: Bermel, Alexandra Dilauro

Eastman Kodak Co., USA

PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE. Estern Code of the control agents wilson, John Charles: Bermel, Alexandra Dilauro

English

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA | TENT | NO. | | | | KIN | D | DATE | 5 | AP | PLICAT | LION | NO. | | | DATE | |
|----|-----|------|------|-------|----|-----|-----|-----|------|-------|-------|--------|-------|-----|-----|----|---------|---|
| | | | | | •- | | | • | | | | | | | | | | - |
| | ¥O | 930 | 239 | 7 | | | A1 | | 1993 | 30204 | WC | 1992 | ·US59 | 61 | | | 1992071 | 6 |
| | | W: | JI | , | | | | | | | | | | | | | | |
| | | RW | : A1 | r, B | Ε, | CH, | DE. | DK. | ES. | FR. | GB. G | R. IT. | LU. | MC. | NL. | SE | : | |
| | EP | 548 | 348 | | | | A1 | | 1993 | 30630 | EP | 1992 | 9159 | 92 | | | 1992071 | 6 |
| | EP | 548 | 348 | | | | B1 | | 1996 | 50320 | | | | | | | | |
| | | R: | B | 5, DI | E, | FR, | GB, | NL | | | | | | | | | | |
| | JP | 065 | 0178 | 38 | | | 72 | | 1994 | 10224 | JP | 1993- | -5029 | 53 | | | 1992071 | 6 |
| ιo | RIT | Y AP | PLN. | . IN | FO | . : | | | | | US | 1991- | -7343 | 54 | , | ١. | 1991071 | 8 |
| | | | | | | | | | | | WC | 1992- | -US59 | 61 | | 7 | 1992071 | 6 |
| HE | R S | OURC | EIS | : | | | MAR | PAT | 119: | 1494 | 52 | | | | | | | |

R SOURCE(S): MARPAT 119:149452
149639-25-8 149639-30-5
RL: USES (Uses)
(as charge control agent in electrostatog. developer)
149639-25-8 CAPLUS
Pyridinium, 1-(2-oxo-2-phenoxyethyl)-, salt with 3-nitrobenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

PR

CRN 149639-24-7 CHF C13 H12 N O2

CM 2

CRN 30904-40-6 CMF C6 H4 N O5 S

149639-30-5 CAPLUS
Pyridinium, 3-chloro-1-(2-oxo-2-phenoxyethyl)-, tetraphenylborate(1-)
(9CI) (CA INDEX NAME)

CH 1

CRN 149639-29-2 CMF C13 H11 C1 N O2

CM 2

CRN 4358-26-3 CMF C24 H20 B CCI CCS

ANSWER 32 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 18 Sep 1993

AB The above salts are I [R1 = alkyl, aryl; X = C1-6-alkylene; Y = H, alkyl, alkomy, halogen; Z = anion]. The salts are used advantageously in charge control agents in electrophotog. toners and developers. The toner particles containing above salts have lower fusing temperature and improved

A1 19930204 W0 1992-US5966 WO 9302053 19920716

W0 9302053 A1 19930204 W0 1992-US5966 19920716
W: VP
RW: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LU, MC, NL, SE
US 5196538 A 19930323 US 1991-734353 19910718
PRIORITY APPLM. INFO.: US 1991-734353 A 19910718
OTHER SOURCE(S): MARPAT 119:128375
RI: USES (Usea)
(as charge control agent for electrophotog. toners)
RN 149639-25-8 CAPLUS
CN Pyridinium, 1-2-oxo-2-phenoxyethyl)-, salt with 3-nitrobenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CRN 149639-24-7 CMF C13 H12 N O2

Page 4906/09/2006

L8 ANSWER 31 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 32 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

CM 2

CRN 30904-40-6 CMF C6 H4 N O5 S

RN 149639-30-5 CAPLUS
CN Pyriddinium, 3-chloro-1-(2-oxo-2-phenoxyethyl)-, tetraphenylborate(1-)
(9C1) (CA INDEX NAME)

CM 1

CRN 149639-29-2 CMF C13 H11 C1 N O2

```
ANSWER 33 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 16 Feb 1993
GXC:NOCOAQALOO2N:CXIGI [I; A, Al = 0, NH, CH2O, CH2CH2O, bond; G, Gl =
COMRIR2, CO2R3, COR4, S(O) aR5, SO2NNIR2, cyano; X, Xl = SO2R6, Cl, Br; Rl,
R2 = H, Cl-4 alkoxyalkyl; NR1R2 = (mon- or dimethyl)azetidino,
pyprolidino, piperidino, -homopiperidino, -morpholino; R3 = Cl-4 alkyl,
Cl-4 haloalkyl, C2-4 alkoxyalkyl; R4, R5 = Cl-4 alkyl, Cl-4 haloalkyl, C2-6
alkoxyalkyl, (substituted) Ph, B6 = Cl-6 alkyl, Cl-6 haloalkyl, C2-6
alkoxyalkyl, (substituted) Ph, benzyl; Q = (substituted) Cl-6 alkylene,
C2-6 alkenylene, C2-6 alkynylene, (substituted) phylene, -naphthylene,
-cycloalkylene, -l-methylpyrcolylene, -l-methylimidazolylene,
(substituted) 5-10 membered heteroarylene; n = 0-2; with provisos] were
prepared as agrochem. fungicides. Thus, isophthaloyl chloride was added to
a solution of Me2NCOC(:NOH)Cl in THF. Et3N in THF was added to this
a solution of MeZNCOC(:NOH)Cl in THF. Et3N in THF was added to solution at

0° and the mixture was stirred for 5 h at room temperature to give I (G, GI

- CONNe2; X, XI = Cl; Q = 1,3-phenylene; A, AI = bond) (II). II as a 200
ppm foliar spray gave 100% control of Venturia inaequalis on apples,
Puccinia recondita on wheat, Phytophthora infestans on tomatoes, and
Plasmopara viticola on grapes.

ACCESSION NUMBER: 1993:59423 CAPLUS

DOCUMENT NUMBER: 1981:59423

TITLE: Preparation of arylene bis (carbonyloxyamianaechem)
                                                                                                                       118:59423
Preparation of arylene bis(carbonylowyaminocorbonylimi doyl chlorides) as agrochemical fungicides
Drumm, Joseph Bugene, III
du Pont de Nemours, E. I., and Co., USA
PCT Int. Appl., 179 pp.
CODEN: PIXXO2
Patent
English
1
  INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
   DOCUMENT TYPE:
LANGUAGE:
  FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                         DATE
                                                                                                                                                                                                                                                                                                                                    19910806
                                                                                                                                                                                                                                                                                                                                    19910806
   PRIORITY APPLN. INFO.:
                                                                                                                                                                                                                                                                                                                     A2 19900806
A 19910806
   OTHER SOURCE(S):
IT 142718-99-8P
                         142718-99-8P
RI: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide) 142718-93-8 (APIUS)
Morpholine, 4.4'-[1,3-phenylenebis[carbonyloxynitrilo[2-[(4-chlorophenyl)sulfonyl]-1-oxo-2,1-ethanediyl]]]bis[2,6-dimathyl- (9CI) (CA IMDEX NAME)
```

(Continued)

ANSWER 33 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

L8 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STM: 28 Nov 1992

B CC(:NOA)502R1 [1: G = C(:L)NR2R3, CO2R4, SO2NR2R3, S(O)mR5; L = O, S; A = H, CO2R6, COMER7, CO(CR2)nR8, SO2R14; R1 = (substituted) C1-8 alkyl, C3-6 cycloalkyl, C1-2 alkyl substituted by Ph, naphthyl, heterocyclyl; (substituted) Fh, -naphthyl, -nheterocyclyl; R2,R3 = H, C1-6 (halo)alkyl, C2-6 alkoxyalkyl, C3-6 (halo)alkyl) RS, R14 = C1-6 (halo)alkyl, C3-6 alkoxyalkyl, (substituted) Fh, -benzyl; R8 = C1-6 (halo)alkyl, C2-6 alkoxyalkyl, C3-6 (yaloalkyl, C1-2 alkyl substituted by Ph or naphthyl, etc.; R7 = (substituted) Fh, -benzyl; naphthalenyl, etc.; R8 = (substituted) Fh, -naphthyl, hetcrocyclyl; n, n = 0-2) were used prepared as agrochem. fungicides. Thus, Et chlorooximidoacetate and benzylmercaptan were condensed in the presence of E181 to give E2 -(benzylthio)-2- hydroxyiminoacetate. This was oxidized by potassium peroxymonosulfate to give I (G = CO2E, A = H, R1 = CH2Fh). Over 150 I were prepared and tested against a number of fungl, including Ventura inaequalis, Cercosporidium perosonatum, and Puccinia recondita.

ACCESSION NUMBER: 1992:612154 CAPLUS

INVENTOR(S): Brown, Richard James du Pont & Nemours, E. I., and Co., USA PCT Int. Appl., 95 pp.

CODUMENT TYPE: Patent

LNGUNGE: 1000.

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|-----------------------|--------------------|----------------------|----------|
| | | | |
| WO 9203050 | A1 19920305 | WO 1991-US5508 | 19910808 |
| W: AU, BR, HU, | JP, KR, SU, US | | |
| RW: AT, BE, CH, | DE, DK, ES, FR, GB | , GR, IT, LU, NL, SE | |
| AU 9184322 | A1 19920317 | AU 1991-84322 | 19910808 |
| CN 1058880 | A 19920226 | CN 1991-105807 | 19910816 |
| RIORITY APPLN. INFO.: | | US 1990-568485 A2 | 19900816 |
| | | WO 1991-US5508 A | 19910808 |
| THER SOURCE(S): | MARPAT 117:212154 | | |
| | | | |

R SOURCE(S): MARPAT 117:212154
141457-51-49 141457-52-5P 141658-54-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as agrochem. fungicide)
141457-51-4 CAPUS
Piperidine, 1-[[(2-naphthalenylcarbonyl)oxylimino](phenylsulfonyl)acetyl](9CI) (CA INDEX NAME)

Page 5006/09/2006

L8 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

141457-52-5 CAPLUS
Piperidine, 1-[[(3-chlorobenzoyl)oxy]imino](phenylaulfonyl)acetyl]- (9CI)
(CA INDEX NAME)

141458-54-0 CAPLUS
Morpholine, 4-[(4-chlorophenyl)sulfonyl](hydroxyimino)acetyl]-2,6dimethyl-, cis- (SCI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry unknown.

141458-56-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for agrochem. fungicides)
141458-56-2 CAPLUS
Piperidine, 1-[(hydroxyimino)(phenylsulfonyl)acetyl}- (9CI) (CA INDEX

ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 25 Nov 1989

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{Ne} \\ \text{Re} \\$$

Mixts. of the title compds. I and II [Rl = C6-20; R2 = C1-6 alkylene; R3 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; Z = 0, S; X- = anion] (cis and/or trans) are prepared as fungicides and plant growth regulators. The fungicidal activity is both curative and preventive. Many target fungal species and host plants are listed. A mixture of cis- and/or trans-2,5-dimethyl-N-isotridecylmorpholine and cis- and/or trans-2,6-dimethyl-N-isotridecylmorpholine was refluxed with ClCH2CO2Me in NaI-containing acetonitrile, to give I-II (Rl = isotridecyl, R2 = CH2, R3 = Me, Z = 0, X = C1). λВ trans-2,6-dimethyl-1 NaI-containing aceto He, Z = 0, X = Cl). ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

1989:589581 CAPLUS

1989:589581 CAPLUS
111:189581
Morpholinoalkylcarboxylates as plant growth regulators and fungicides
Ballachuh, Detlef: Banasiak, Lothar: Gruenzel,
Hermann: Kluge, Eberhard: Lyr. Horst: Ohma, Roland: Rusche, Jochen: Selbt, Horst: Spengler, Dieter: Stoeckel, Christian
Akademie der Landwirtschaftwissenschaften der DDR, Institut fuer Pflanzenschutzforschung, Ger. Dem. Rep.
Ger. (East), 28 pp.
CODEN: GEXCKA8 INVENTOR(S):

PATENT ASSIGNEE (5):

SOURCE:

CODEN:
PATENT ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DD 263688 A1 19890111 DD 1985-278326 DD 1985-278326 19850705 19850705

ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN L8 (Continued)

123322-76-9 CAPLUS
Morpholinium, 4-[2-(3,4-dichlorophenoxy)-2-oxoethyl]-4-isotridecyl-2,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

● C1-

123322-78-1 CAPLUS
Morpholinium, 4-{2-(2,6-dibromo-4-nitrophenoxy)-2-oxoethyl}-4-isotridecyl2,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} c_{2N} \\ \\ \vdots \\ c_{r} \\ \end{array}$$

● C1

123340-63-6 CAPLUS
Morpholinium, 4-isotridecyl-2,6-dimethyl-4-(2-oxo-2-phenoxyethyl)-,
chloride (9CI) (CA INDEX NAME)

(Continued)

ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

● C3 **

123322-74-7 CAPLUS
Morpholinium, 4-[2-(4-chlorophenoxy)-2-oxoethyl]-4-isotridecyl-2,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

• c1-

123322-75-8 CAPLUS Morpholinium, 4-isotridecyl-2,5-dimethyl-4-[2-(4-nitrophenoxy)-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)

● c1 -

ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$(1so-C_{1}3H_{2}7) \\ \downarrow \\ M_{e} \\ O \\ M_{e}$$

• c1

123340-64-7 CAPLUS
Morpholinium, 4-isotridecyl-2,6-dimethyl-4-[2-(4-nitrophenoxy)-2-oxoethyl]-, chloride (9Cl) (CA INDEX NAME)

● c1

123340-65-8 CAPLUS
Morpholinium, 4-[2-(3,4-dichlorophenoxy)-2-oxoethyl]-4-isotridecyl-2,6-dimethyl-, chloride (9CI) (CA INDEX NAME)

• c1-

123340-67-0 CAPLUS
Morpholinium, 4-[2-(2,6-dibromo-4-nitrophenoxy)-2-oxoethyl]-4-isotridecyl2,6-dimethyl-, chloride (9CI) (CA INDEX NAME)

ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

• c1-

123360-39-4 CAPLUS Morpholinium, 4-[2-(4-chlorophenoxy)-2-oxoethyl]-4-isotridecyl-2,6-dimethyl-, chloride (9CI) (CA INDEX NAME)

L8 ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

●2 HC1

119950-59-3 CAPLUS
1-Piperazineacetic acid, 4-[(2,3,4-trimethoxyphenyl)methyl]-, phenyl ester, dihydrochloride (9C1) (CA INDEX NAME)

ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 12 May 1989

A series of 1-benzyl-4-piperazineacetates I (R = alkyl or aryl: Rl = H, He, Cl, OHe: m = 1-3; n = 0-2) was synthesized and evaluated as antiulcer agents. Quant. structure-activity relationships (QSAR) analyses by using the ALS (adaptive least-squares) method were performed in each step to decrease the synthetic efforts. The QSAR for the esters is much the same as that for the previous examined amide derivs. The antiulcer activity of these compds. was considered to be based on the cytoprotective activity. The most active and the least toxic compds, were selected for further study.

study.
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE: 1989:165541 CAPLUS 110:165541

110:165541
Benzylpiperazine derivatives. X. Syntheses and structure-antiulcer activity relationship of 1-benzyl-4-piperazineacetic acid esters Ohtaka, Hiroshir Yoshida, Kenjir Suzuki, Kenjir Shimohara, Koichir Tajima, Shigerur Ito, Keizo Pharm. Res. Centr., Kanebo Ltd., Osaka, 534, Japan Chemical & Pharmaceutical Bulletin (1988), 36(12), 4825-33
CODEN: CPBTAL; ISSN: 0009-2363

AUTHOR(5): CORPORATE SOURCE: SOURCE:

| DOCUMENT TYPE: Journal | LANGUAGE: English | OTHER SOURCE(S): CASRACT | 110:165541 | IT | 119929-56-59 | 119950-59-39

119929-56-5P 119950-59-3P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation and ulcer-inhibiting activity of)
119929-56-5 CAPLUS
1-Piperazineacetic acid, 4-[(2,3,4-trimethoxyphenyl)methyl]-,
4-chlorophenyl ester, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●2 HC1

119929-69-0 119929-72-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); (ulcer-inhibiting activity of); 119929-69-0 CAPLUS
1-Piperazineacetic acid, 4-{(2,3,4-trimethoxyphenyl)methyl}-, phenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{MeO} \\ \end{array} \\ \begin{array}{c} \text{CH}_2 - \\ \text{N} \\ \\ \text{CH}_2 - \\ \text{C} - \\ \text{OPh} \\ \end{array}$$

119929-72-5 CAPLUS
1-Piperazineacetic acid, 4-[(2,3,4-trimethoxyphenyl)methyl]-,
4-chlorophenyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

L8 ANSWER 37 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CS 264279 B2 19890613 CS 1986-5135 19860707
PRIORITY APPLM. INFO.: DD 1985-2783225 A 19850705
IT 107561-93-3DP, quaternary derivs. 107561-99-9DP,
quaternary derivs. 107562-00-5DP, quaternary derivs. 107562-11-8DP, quaternary derivs. 107562-11-8DP, quaternary derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as fungicide and plant growth inhibitor)
RN 107561-93-3 CAPLUS
CN 4-Morpholineacetic acid, 2,6-dimethyl-, 3,4-dichlorophenyl ester (9CI)
(CA INDEX NAME)

107561-99-9 CAPLUS 4-Morpholineacetic acid, 2,6-dimethyl-, phenyl ester (9CI) (CA INDEX NAME)

107562-00-5 CAPLUS 4-Morpholineacetic acid, 2,6-dimethyl-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

107562-11-8 CAPLUS 4-Morpholineacetic acid, 2,6-dimethyl-, 2,6-dibromo-4-nitrophenyl ester (9CI) (CA INDEX NAME)

ANSWER 37 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 15 May 1987

The title compds. [1: R = C6-20 alkyl: R2 = R321CO, (un)substituted PhO: R3 = (halo)alkenyl, alkynyl, (un)substituted alkyl, cycloalkyl, aryl, aralkyl: X1 = anion of a nonphytotoxic acid: Z = 0, S; Z1 = C1-6 alkylens: R3 and X- may be absent] were prepared as fungicides and plant growth regulators. A mixture of 30 g 4-isotridecyl-2,6-dimethylmorpholine and 10.9 g C1CH2CO2Me was refluxed 20 h in MeCN containing catalytic NaI to give 38

g CICHZCOZMe was refluxed 20 h in MeCh containing catalytic NaI to give
g I

(R1 = isotridecyl, R2 = COZMe, X = Cl, Z = CH2)(II). At 10 µg/mL II
gave 884 inhibition of growth of Botrytis charea. At 1000 mg/L II
reduced the growth of cucumber plants by 324.

ACCESSION NUMBER: 1997:156497 CAPLUS
106:156487
TITLE: 5aits of morpholinocarboxylic esters and
morpholinoalkyl phenyl ethers, processes for their
preparation, and their use as fungicides and plant
growth regulators.

INVENTOR(S): Banasiak, Lothar Leuner, Brita; Lyr, Horst; Nega,
EVas Sunkel, Marianne
SOURCE: Evas Sunkel, Marianne
SOURCE: Eur. Pat. Appl., 41 pp.
COLUMENT TYPE: Patent
LANGUAGE: PATENT INFORMATION: 1

PATENT INFORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

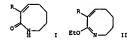
| PA: | TENT NO. | | KIND | DATE | APPLICATION NO. | DATE |
|-----|----------|---------|--------|-----------|-----------------|----------|
| | | | | | | |
| EP | 209763 | | A1 | 19870128 | EP 1986-108916 | 19860701 |
| | R: AT, | BE, CH, | DE, FR | , GB, IT, | LI, LU, NL, SE | |
| DD | 263685 | | A1 | 19890111 | DD 1985-278323 | 19850705 |
| DD | 263687 | | A1 | 19890111 | DD 1985-278325 | 19850705 |
| ΑU | 8659401 | | A3 | 19870108 | AU 1986-59401 | 19860630 |
| DK | 8603151 | | A | 19870106 | DK 1986-3151 | 19860702 |
| FI | 8602851 | | A | 19870106 | FI 1986-2851 | 19860704 |
| ZA | 8605002 | | A | 19870325 | ZA 1986-5002 | 19860704 |
| JP | 62084065 | | A2 | 19870417 | JP 1986-156349 | 19860704 |
| ΗU | 42288 | | A2 | 19870728 | HU 1986-2826 | 19860704 |
| HU | 42286 | | A2 | 19870728 | HU 1986-2827 | 19860704 |
| ES | 2001853 | | A6 | 19880701 | ES 1986-125 | 19860704 |
| PL | 146362 | | B1 | 19890131 | PL 1986-260474 | 19860704 |

ANSWER 37 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{O} \\ \text{N} \\ \text{CH}_2 \\ \text{C} \\ \text{O} \\ \text{Br} \\ \end{array} \begin{array}{c} \text{Br} \\ \text{NO}_2 \\ \text{NO}_2 \\ \text{NO}_3 \\ \text{N$$

107581-23-7 CAPLUS 4-Morpholineacetic acid, 2,6-dimethyl-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

ANSWER 38 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 24 Jan 1987



AB Tetrahydroazocinones I (R = H, PhS, PhSO2) have been converted into the ethoxytetrahydroazocines II with Meerwein's reagent. Upon irradiation under mercury lamp at longer wavelengths (Pyrex vessels) these compds. are inert, but at shorter wavelengths (quartz vessels) polymeric materials form with no evidence of intramol. cyclization. Reaction of I with bases, and with Me3COC1 lead to a variety of azocin-2(1H)-one derivs.

ACCESSION NUMBER: 1987:18338 CAPLUS
DOCUMENT NUMBER: 106:18338
TITLE: Further reactions in the tetrahydroazocin-2(1H)-one series
AUTHOR(S): Ridley, Damon D.; Simpson, Gregory W.
CORPORATE SOURCE: Dep. Org. Chem., Univ. Sydney, 2006, Australia'
Australian Journal of Chemistry (1986), 39(4), 687-98
COUMENT TYPE: Journal

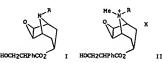
Journal English CASREACT 106:18338

DOCUMENT TYPE:

LANGUAGE: English
OTHER SOURCE(s): EASREACT 106:18339
IT 105495-20-3P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation and cyclization of)
RN 105495-20-3 CAPLUS
CN Piperidine, 1-[diazo(phenylaulfonyl)acetyl]- (9CI) (CA INDEX NAME)

(Continued) L8 ANSWER 39 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ANSWER 39 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 14 Dec 1985



AB (-)-Scopoloamine (I, R = Me) was demethylated by 3 methods to give norscopolamine (I, R = H) which was alkylated to give I (R = alkyl) (26 compds.), which were quaternized to give the quaternary salts II (R = alkyl, X = Br, MeSO3), (-)-II (R = Et, X = Br) was an anticholinergic bronchoddilator with long duration of action.

ACCESSION NUMBER: 1995:996293 CAPLUS

DOCUMENT NUMBER: 103:196293

Synthesis of anticholinergically active N-alkylnorscopolamines and their quaternary salts with particular consideration of the bronchopasmolytic compound (-)-N-ethylnorscopolamine methobromide (Ba 253 BR)

compound (-)-N-ethylnorscopolamine methousum. 253 BR)
Banholzer, R., Pook, K. H.
Abt. Pharmachem., Boehringer Ingelheim K.-G.,
Ingelheim/Rheim, 6507, Fed. Rep. Ger.
Arzaeimittel-Forschung (1985), 35(1A), 217-28
COOEN: ARZNAD, ISSN: 0004-4172
Journal
German

AUTHOR (S): CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

ANSWER 40 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 22 Sep 1985

$$\begin{array}{c|c}
R & COR^2 \\
NC = CR^3 & X^{-1}
\end{array}$$

AB Title compds. I (R = H, alkyl, alkoxy, dialkylaminor R1, R2 = alkyl, aralkyl, aryl, alkoxy, aryloxy, alkylthio, aralkylthio, arylthior R3 = F, C1, Br, iodo; X- = halide, ClO4-, BF4-) were prepared by reacting R1COC.tplbond.CCOR2 with halogen and (un)substituted pyridines (II); or by reacting R1COCR4:CR5COR2 (R4, R5 = F, C1, Br, iodo) with II; or by reacting R6COCR7:CR5COR9 (R6-R9 = F, C1, Br, iodo) with R1OH or R1SH and II. Thus, 4-02NCGH40C02CCI:CCICO2CGH4NO2-4 was treated with pyridine to give 99% I (R = H, R1 = R2 = 4-02NCGH40, R3 = C1, X = C1). I are useful as intermediates in the preparation of dyes, heterocycles, polymers,

...cated with
...eated with
...eated with
...eo.tl, X = Cl, X = Cl, I
...eon of dyes, heterocycles,

103:8778 CAPLUS
103:8778 N-(1,2-Diacyl-2-halo-1-vinyl)pyridinium salts
Richter, Andreas H., Fanghaenel, Egon
Technische Hochschule "Carl Schorlemmer"
Leuna-Herseburg, Ger. Dem. Rep.
CODEN: GENCA8

DOCUMENT TYPE: Patent
LANGUAGE: A1 19841107 DATE DD 215308 Al 19841107 DD 1983-2516:
PRIORITY APPLM. INFO.: DD 1983-2516:
IT 97683-50-6P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
97683-50-6 CAPUUS
Pyridinium, 1-{2-chloro-3-(4-nitrophenoxy)-1-[(4-nitrophenoxy)carbonyl]-3-oxo-1-propenyl]-, chloride (9CI) (CA INDEX NAME)

L8 ANSWER 40 OF 52 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

• c1-

ANSWER 41 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 41 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 20 Apr 1985

$$R^2$$
 $N=N$
 $N=N$

AB The title dyes were prepared having the general formula I [R = (un) substituted alkyl, allyl, cycloalkyl; Rl = H, halogen, alkyl, BzNH, AcNH, EtCONH; R2 = CN, alkoxycarbonyl, carbamoyl; R3 = H, halogen, NO2, CHO, SCN, CF3, alkoxycarbonyl]. Thus, aniline [62-53-3] was diszotized and coupled with 2-amino-3-cyanothiophene [4651-82-5], and the resulting 2-amino-3-cyanothiophene [33749-49-9] was diszotized and coupled with 1-(2-methoxycarbonylethyl)-2,2,4-trimethyl-1,2,3,4-tetrahydroquinoline [95572-21-7].

ACCESSION NUMBER: 1985:133542 CAPLUS
DOCUMENT NUMBER: 1095:133542 CAPLUS
DOCUMENT NUMBER: 102:133542
ITILE: Blue disazo disperse dyes for polyester fibers Gosei Senryo Gijutsu Kenkyu Kumiai, Japan Jon. Kokai Tokkyo Koho, 10 pp.
CODEN: JOCAF
DOCUMENT TYPE: Patent
Japanese

LANGUAGE: J: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

A2 198411 B4 199112 PATENT NO. APPLICATION NO. DATE ## 5919361 A2 19841102 JP 1983-66537 19830419

JP 30193561 A2 19841102 JP 1983-66537 19830419

PRIORITY APPLM. INFO.: JP 1983-66537 19830419

IT 95571-60-1

RI: TEM (Technical or engineered material use): USES (Uses)
(dye, blue, for polyester fibers)

RN 95571-60-1 CAPLUS

CN 1(2H)-Quinolineactic acid, 6-[[3-cyano-5-(phenylazo)-2-thienyl]azo]-3,4-ddihydro-2,2,4-trimethyl-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 42 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 10 Nov 1984

AB The title dyes were prepared having general formula I [R = (un) substituted alkyl, allyl, cyclohexyl; Rl = H, Cl, Me, AcNE, EtCONH]. Thus, 2-amino-3-cyano-5-nitrothiophene [55387-09-8] was diazotized and coupled with 1-(2-methoxyethyl)-2,2,4,7-tetramethyl-1,2,3,4-tetrahydroquinone [92585-52-9] to give light- and sublimation-fast blue I [R = CH2CH2CMe; Rl = Me] [92560-10-5].

ACCESSION NUMBER: 1094:173021 CAPLUS
DOCUMENT NUMBER: 101:173021 CAPLUS
PATENT ASSIGNEE(S): 5etyphio Gijutsu Kenkyu Kumiai, Japan Jon. Kokai Tokkyo Koho, 5 pp.
CODEN: JKOKAF
DOCUMENT TYPE: CONTENT JKOKAF
PATENT INFORMATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

AZ 19840602 APPLICATION NO. PATENT NO. JP 59096170 A2 19840602 JP 1982-205258 19821122
PRIORITY APPLM. INFO.: JP 1982-205258 19821122
IT 92559-63-2
RL: TEM (Technical or engineered material use), USES (Uses)
. (dye, blue, for polyester fibers)
RN 92559-63-2 CAPUS
CN 1(2H)-Quinolineacetic acid, 7-(acetylamino)-6-[(3-cyano-5-nitro-2-thienyl)azo]-3,4-dihydro-2,2,4-trimethyl-, phenyl ester (9CI) (CA INDEX NAME)

ANSWIR 43 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Entered STN: 12 May 1984

PATENT NO. APPLICATION NO. DATE ### PRIST NO. | PR

EN ANSWER 44 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

EN Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB Seven isoquinoline-2-acetamide derivs. (I, X = NCHRCONRIR2; R = H or Me; R1 = H, Me, Et, Pr., or CEMe2; R2 = H or Me) with muscle relaxant, sedative, antiarrhythmic, and anticonvulsive activities were prepared by various methods, e.g. by reaction of I (X = MI) with CLENCONRIR2 in the presence of MeJCOK; from melts of I (X = O) or 2-(HOZCOME2)CGHCOZH and HZNCHRCONRIR2 or from I (X = NCHRCONR), R3 = e.g. OH or Cl) and HNRIR2.

Pharmaceutical compns. were reported.

ACCESSION NUMBER: 1974:120794 CAPLUS

DOCUMENT NUMBER: 90:120794

FITTLE: 80:120794

Pharmaceutical isoquinoline-2-acetamides

Kutter, Eberhard, Austel, Volkhard; Kaehling, Joachim, Ziegler, Harald

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.

GCCUMENT TYPE: Patent

LANGUAGE: Patent

GERAMENT ASSIGNEE (S): Patent

ANGUAGE: Patent

GERAMENT ASSIGNET (S): Patent

GERAMENT ASSIGNET (S): Patent

GERAMENT ASSIGNET (S): Patent

GERAMENT ASSIGNET (S): Patent

ANGUAGE: Patent

GERAMENT ASSIGNET (S): Patent

ANGUAGE: Patent

GERAMENT ASSIGNET (S): Patent

ANGUAGE: Patent

GERAMENT ASSIGNET (S): Patent

GERAMENT A

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| DE 2237770 | A1 | 19740214 | DE 1972-2237770 | 19720801 |
| FR 2194435 | A1 | 19740301 | FR 1973-27882 | 19730730 |
| CH 611280 | A | 19790531 | CH 1973-639078 | 19730730 |
| CH 611887 | A | 19790629 | CH 1973-498578 | 19730730 |
| CH 611888 | A | 19790629 | CH 1973-638978 | 19730730 |
| CH 612184 | A | 19790713 | CH 1973-638878 | 19730730 |
| CH 615918 | A | 19800229 | CH 1973-11065 | 19730730 |
| BE 803086 | A1 | 19740131 | BE 1973-134124 | 19730731 |
| NL 7310562 | A | 19740205 | NL 1973-10562 | 19730731 |
| JP 49080080 | A2 | 19740802 | JP 1973-86297 | 19730731 |
| JP 55008973 | B4 | 19800307 | | |
| AU 7358735 | A1 | 19750206 | AU 1973-58735 | 19730731 |
| ES 417443 | A1 | 19760316 | ES 1973-417443 | 19730731 |
| GB 1450793 | A | 19760929 | GB 1973-36388 | 19730731 |
| FI 52218 | В | 19770331 | FI 1973-2412 | 19730731 |
| AT 7306737 | A | 19750715 | AT 1973-6737 | 19730801 |
| AT 329059 | В | 19760426 | | |
| AT 7502259 | A | 19750715 | AT 1973-225975 | 19730801 |
| AT 7502260 | A | 19750815 | AT 1973-226075 | 19730801 |
| ES 422929 | A1 | 19760616 | ES 1974-422929 | 19740205 |
| ES 422930 | A1 | 19760616 | ES 1974-422930 | 19740205 |
| ES 422931 | A1 | 19760616 | ES 1974-422931 | 19740205 |
| ES 422932 | A1 | 19760616 | ES 1974-422932 | 19740205 |
| ES 422933 | A1 | 19760616 | ES 1974-422933 | 19740205 |
| AT 7502258 | A | 19750915 | AT 1975-2258 | 19750325 |
| AT 330182 | В | 19760625 | | |
| AT 7502261 | Ā | 19750915 | AT 1975-2261 | 19750325 |
| AT 330183 | В | 19760625 | | |
| AT 7502262 | Ä | 19750915 | AT 1975-2262 | 19750325 |
| AT 330184 | В | 19760625 | | |
| CH 615423 | Ā | 19800131 | CH 1978-4986 | 19780508 |
| RIORITY APPLN. INFO.: | | | | 19720801 |
| | | | CH 1973-11065 A | |
| | | | AT 1973-6737 A | |

IT 52074-68-7

Page 5606/09/2006

ANSWER 43 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) dimethyl-7-oxo-, [25-[2a,5a,6β(5*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

73659-17-3 CAPLUS 1-Piperazineacetic acid, 2,3-dioxo-, phenyl ester (9CI) (CA INDEX NAME)

73659-19-5 CAPLUS
1-Piperazinacetic acid, 4-[[(carboxyphenylmethyl)amino]carbonyl]-2,3-dioxo-, e-phenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 44 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ammonia)
52074-68-7 CAPLUS
2(1H)-Isoquinolineethanethioic acid, 3,4-dihydro-4,4-dimethyl-1,3-dioxo-,
5-phenyl ester (9C1) (CA INDEX NAME)

L8 ANSWER 45 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB The synthesis and reactivity of alkyl and aryl chloroacetamides were reviewed with 66 refs.

ACCESSION NUMBER: 77:34045
TITLE: 57:34045
TITLE: 57:34045
TITLE: 57:34045
TITLE: 57:34045
TITLE: 57:34045
TITLE: 57:34045
TORRORATE SOURCE: 57:34045
CORPORATE SOURCE: 57:34045
TORRORATE SOURCE: 5

• c1-

RN 37161-51-6 CAPLUS CN Pycidinium, 1-[2-(2-nitrophenoxy)-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)

• c1-

RN 37161-52-7 CAPLUS CN Pyridinium, 1-{2-(2,4-dibromophenoxy)-2-oxoethyl]- (9CI) (CA INDEX NAME)

EN ANSWER 46 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AT the pyridinium malonate enol betaines 1 (R = Et, Bu, Ph, 2,4-Cl(Me)CGH3)

and II (RI = Et, Ph) in which the ester group is alkyl showed complete resonance of the ester carbonyls. I and II in which the ester group is phenolic on the other hand showed considerable ylide participation. In [(ethoxycarbonyl)) (phenoxycarbonyl) methylpyridinium enol betaine the charge distribution was controlled largely by the alkyl ester group. The ir observations were confirmed by the chemical behavior of the betaines.

Thus bis(phenoxycarbonyl)methylpyridinium enol betaine decomposed completely at its m.p. The Et and Bu malonates I underwent thermolysis to picclinic acid esters. Intramol. protonation is suggested as the first step in the thermolysis.

ACCESSION NUMBER: 1971:405645 CAPLUS

TOCCUMENT NUMBER: 75:5655

ITITLE: Reactions with betaines

AUTHOR(S): Witmann, Helgar Kuhn-Kuhnenfeld, Johannar Binder, H.;

Sterk, Heinz; Ziegler, Erich

CONDRATE SOURCE: Inst. Org. Chem., Univ. Graz, Graz, Austria Monatsh. Chem. (1971), 102(2), 404-11

CONDRATE TARR.

CORPORATE SOURCE: Inst. Org. Chem., Univ. Graz, Graz, Austria
SOURCE: HONGLESH. Chem. (1971), 102(2), 404-11
CODEN: HOCHAP
DOCUMENT TYPE: Journal
LANGUAGE: German
I 32092-55-09 32092-56-1P 32254-13-0P
32353-83-69
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32092-55-0 CAPLUS
RN Pyridinium, dicarboxymethylide, diphenyl ester (8CI) (CA INDEX NAME)

RN 32092-56-1 CAPLUS CN Pyrtdinium, dicarboxymethylide, o-chlorophenyl p-tolyl ester (8CI) (CA INDEX NAME)

Page 5706/09/2006

L8 ANSWER 45 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Br

Br

Br

RN 37161-53-9 CAPLUS CN Pyridinium, 1-[2-(2,4-dichlorophenoxy)-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)

• c1-

RN 37161-54-9 CAPLUS
CN Pyridinium, 1-[2-0x0-2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 46 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 32254-13-0 CAPLUS CN 1soquinolinium, dicarboxymethylide diphenyl ester (8CI) (CA INDEX NAME)

RN 32353-83-6 CAPLUS
CN Pyridinium, dicarboxymethylide, ethyl phenyl ester (8CI) (CA INDEX NAME)

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ANSWER 47 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
Entered STN: 12 May 1984
For diagram(s), see printed CA Issue.
1, heat-resistant fluorescent whiteners for synthetic fibers and plastics, are prepared by esterification of I(RI = H). Thus, 30 parts
4-sulfonaphthalic anhydride Na salt in 158 parts 101 aqueous H2NCH2CO2H (II) was refluxed for 10 hr and salted with 14 parts NaCl. The solid (20 parts) was refluxed for 20 hr with 18.6 parts NaCl in 167 parts MeOH, cooled, and the solid purified by salting from 300 parts H2O and acidified to give I (R = Me, RI = H, n = 1), m. 252-55 (aqueous HCONNe2). The condensation was also performed at room temperature with HZNCH2CO2Et ead of
instead of

II. Similarly, the following I (R1 = H, n = 1) were prepared (R and m.p. given): Et. 262-3', MeCCH2CH2, 222-3', ECCH2CH2,
193-4.5', BUCCH2CH2, 159-60'. Esterification with RIOH and
H2SO4 or PCCL3 gave the following I (n = 1) with hankEtCH 365 8
ms (R, RI, and m.p. given): Me, Me, 189-90', Et. Et.
135-6', Me, Et. 186-7', Me, iso-pr. 183-4', Me, Bu,
137-8', MeCCH2CH2, Et. 154.5-5.5', MeCCH2CH2, Me,
148-9', Also prepared were I (R = RI = Me) (n and m.p. given): 0,
251-2', 2, 14 4-5'.

ACCESSION NUMBER: 1970:134167 CAPLUS
DOCUMENT NUMBER: 72:134167
Naphthalinide fluorescent whitening agents
                                                                                          1970:134167 CAPLUS
72:134167
Naphthalimide fluorescent whitening agents
Noguchi, Tamehiko: Tsukamoto, Kenkichi
Nippon Kayaku Co., Ltd.
Jpn. Tokkyo Koho, 8 pp.
CODEN: JAXXAD
  TITLE:
  INVENTOR(S):
 PATENT ASSIGNEE(S):
SOURCE:
 DOCUMENT TYPE:
LANGUAGE:
                                                                                             Patent
Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                   PATENT NO.
                                                                                             KIND DATE
                                                                                                                                                                   APPLICATION NO.
                                                                                                                                                                                                                                                          DATE
                                                                                               B4
                                                                                                                  19700129
                                                                                                                                                                 JP
                   JP 45002672
25737-42-2P
                                                                                                                                                                                                                                                           19670310
                   RL: IMF (Industrial manufacture); PREP (Preparation)
                  (preparation of)
25737-42-2 CAPUS
HI-Benz(de)isoquinoline-2(3H)-acetic acid, 6-methoxy-1,3-dioxo-, phenyl ester (8CI) (CA INDEX NAME)
```

L8 ANSWER 48 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

Entered STN: 12 May 1984

Second-order rate consts. for reaction of a variety of charged and
uncharged nucleophilic reagents with a series of neutral and charged
o-nitrophenyl (o-NP) acetates of the type XCO2-o-NP (X = Me, Et, PRCH2,
PROCH2, EtSCH2, BCCH2, CLCH2, CL2CHCH2, MeNH-CH2 and pyridiniummethyl
(CSM596-CH2)] have been measured in aqueous solution at 30%, ionic strength
= 1.0. The importance of electrostatic effects was adjudged for each
nucleophile from plots of the log of the second-order rate consts. for
water-catalyzed hydrolysis vs. the log of the second-order rate consts.
for the individual nucleophile. The pos. charged esters exhibit
abnormally rapid reactions with the anionic nucleophiles, acetate,
phosphate, and carbonate but not with hydroxide not trifluoroethoxide, and
abnormally slow reactions with the maines, ethylenediamine, methoxyamine,
and glycine ethyl ester. Since the deviations are observed with neutral
amines and certain smionic nucleophiles and not others, electrostatic
effects on collision frequency are adjudged to be insignificant. These
results find explanation through electrostatic stabilization or
destabilization of transition states.

ACCESSION NUMBER: 1969:421584 CAPJUS
TITLE: Electrostatic catalysis. III. Comparison of the
reactivity of or-substituted or-nitrophenyl esters
with anionic and amine nucleophiles

HOLMQUART TYPE: Journal of the American Chemical Society (1969),
91(11), 2985-93
CODEN: JACSAT; ISSN: 0002-7863
JOURNAL SCHOOL PROCESTOR PROCESTO

CODEN: JACSAT; ISSN: UUU2-/863

DOURNAIT TYPE: Journal
LANGUAGE: English

IT 24265-35-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, kinetics of)

RN 24265-35-8 CARPUS

CN Pyridinium, 1-(carboxymethyl)-, o-nitrophenyl ester (8CI) (CA INDEX NAME)

L8 ANSWER 49 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

The pH-log klydr profiles for the hydrolysis of a series of a substituted o-nitrophenyl acetate esters [XCO2-o-NP (X - Et. Me. PhCH2, ESCH2, MeNh-CH2, PhCH2, BrCH2, CSHSN+CH2, and C12CH] have been determined in water at 30', ionic strength = 1.0, between pH 1 and 12.53. The values of khydr at all pH values are quant. provided by summation of rates for spontaneous general base catalyzed hydrolysis (KHZ0) and hydroxide ion catalyzed hydrolysis (XOH[80-]). For the esters in which the a-substituent group equals He. Et., and FHCH2 a specific acid catalyzed term (kHR41) must be included to provide khydr at low values of pH. A plot of log kHZ0 vs. log kOH for all esters, including the post charged species, was linear and follows the equation log kOH - 0.84 log kHZ0 + 6.0. The fact that esters containing formal post charges do not show post deviations from the plot of log kOH vs. log kHZ0 is indicative that electrostatic facilitation for the nucleophilic displacement of on-introphenoide by hydroxide ion is unimportant.

ACCESSION NUMBER: 1969:421377 CAPLUS

TITLE: Electrostatic catalysis. II. Comparison of spontaneous and alkaline hydrolytic rate constants for a-substituted o-nitrophenyl esters

Holmquist, Bartonn Bruice, Thomas C.

DOCUMENT TYPE: Journal Andrean Chemical Society (1969), 91(11), 2992-5

COURT JACSAT: ISSN: 0002-7863

DOCUMENT TYPE: Journal Answerian Chemical Society (1969), 91(11), 2992-5

COURT JACSAT: ISSN: 0002-7863

RN: PEPE (Physical, engineering or chemical process); PRP (Properties); RCT CODEN: JACSAT/ 155N: 0002

DOCUMENT TYPE: Journal
LANGUAGE: English

IT 24255-21-8
RL: PEP (Physical, engineering or chemical process): PRP (Properties): RCT
(Reactant): PROC (Process): RACT (Reactant or reagent)
(hydrolysis of, kinetics of)

RN 24255-21-8 CAPLUS
CN Pyridinium, 1-(carboxymethyl)-, bromide, o-nitrophenyl ester (8CI) (CA
INDEX NAME)

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**ILB ANSWER 50 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB Title compds. (I) were prepared for use as fungicides and disinfectants. A mixture of 14 g. 4-pyridyl n-dodecyl thio ether, 6 g. CH2BrCH:CH2, and 50 nl. MeCN was refulxed 4 hrs., filtered over charcoal, and EtoAc added to the cool filtrate to give 75% I (R = n-dodecyl, R1 = allyl, R2 = H, X = Br. n. 59' (EtoAc). Similarly prepared were the following I (R, R1, R2, X, n.p. and % yield given): He, C12H25, H, p-He-CGH8503, 139-59' 90 Ne, C12H25, H, NeOSO3, 83', 88! Ne, C16H33, H, p-He-CGH8503, 125-6', 61! Ne, C16H33, 3-He, p-He-CGH8503, 125-6', 61! Ne, C16H23, 3-IS, 14', 89; Ne, p-C1CGH4CH2, H, p-He-CGH8503, 125-6', 61! Ne, C16H23, -125-125, H, C1, 125-125, H, C1
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ANSWER 51 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
Entered STN: 22 Apr 2001
For diagram(s), see printed CA Issue.
Compns. (1), where R is an alkyl group with 1-16 C atoms, a chloro,
hydroxy, carboxy, nitrophemyl, or a chlorobenzyl group, Rl is a saturated or
unsatd. slkyl group which may be substituted by a hydroxy, carboxy,
carbalkoxy, carbamido, benzyl, or substituted benzyl group, R2 is H or Me,
and X is an organic or inorg, acid anion, were prepared 4-Pyridyldodecyl
sulfide (14 g.) and 6 g. allyl bromide in 50 cc. MeCN were refluxed 4 hrs.
The hot liquid was filtered (C), the product precipitated from the cooled
tion
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g., m. 150-2° (Me2CO). On heating 0.5 g. of the thione with 1 g. CIZHZ5Br in BrORI hr. at 90°, then cooling, precipitating the product With ether, and repptg. from EtOH with ether, 1.2 g. 4-dodecylthio-N-methylpyridnium bromde, m. 78-80°, vas obtained. These compds. are suitable as medical and industrial disinfectants. Because of their low phytotoxicity, they can also be used as very effective fungicides for plants. They are particularly effective against fungi which are difficult to combat, e.g. Aspergillus niger and Candida albicans. A composition made

mixing 50 g. 4-cetyl-thio-1-methylpyridinium p-toluenesulfonate, 45 g. kaolin, and 5 g. Na naphthalenesulfonate and adding water to a volume of 10 l. was very effective against Plasmopara viticola and Phytophthora. ACCESSION NUMBER: 1964:9698 CAPLUS

Page 5906/09/2006

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ANSVER 50 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN Quaternary 4-pyridyl thio ethers MT ASSIGNEE(S):
CE: Dehringer Ingelheim G.m.b.H. 12 pp.
Tatent TYPE: Patent
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
                                                           Unavailable
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
            PATENT NO.
                                                           KIND
                                                                           DATE
                                                                                                       APPLICATION NO.
                                                                                                                                                              DATE
GB 992157 19650519 GB 1962-32697 19620824
PRIORITY APPLM. INFO:: 19650519 GB 1962-32697 19620825
T 1816-59-7, Pyridinium, 1-(carboxymethyl)-4-(octylthio)-, chloride,
Ph ester (preparation of)
RN 1816-59-7 CAPLUS
CN Pyridinium, 4-(octylthio)-1-(2-oxo-2-phenoxyethyl)-, chloride (9CI) (CA INDEX NAME)
               ||
|C=OPh
            (CH2) 7-Me
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(Continued)

L8 ANSWER 51 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
DOCUMENT NUMBER: 60:9598
ORIGINAL REFERENCE NO: 60:1712d-h,1713a-b
Disinfectant and fungicidal qua Disinfectant and fungicidal quaternary pyridyl 4-thio ethers Sohn. C. H. Boehringer INVENTOR(S): SOURCE: DOCUMENT TYPE: LANGUAGE: 15 pp. Patent Unavailable PATENT INFORMATION: PATENT NO. KIND DATE BE DATE BE 621044 19630222 BE
PRIORITY APPLN. INFO.: DE 1961
IT 1816-59-7, Pyridinium, 1-(carboxymethyl)-4-(octylthio)-, chloride, 19610825 | Phester | Phes

TITLE

• c1-

• c1-

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EB ANSWER 52 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB Intermediate substances for therapeutically active a-phenyl-a-
dialkylaminoacetic acid dialkylaminoethyl esters of the formula
PhcHRICO2R2, where R1 is a secondary maine and R2 a substituted or
nonsubstituted phenyl group, are obtained by condensation of a
a-phenyl-a-dialkylaminoacetic acid HCl salt and a phenol in
the presence of POC13. Those substances are hitherto unknown. E.g.:
phenylpiperidinoacetic acid HCl salt (49 g.) was dissolved in 150 ml.
CSHSN on the H2O bath, the solution cooled, 19 g. PhOH in 50 ml. CSHSN
added,
then, dropwise, 30 g. PCC13 (violent reaction). After the reaction has
subsided the whole was boiled 1 hr. on the H2O bath, H2O added and the
separated oil distilled, bl.5 171-5°. The HCl salt, m. 110-12°,
can be obtained by treating the ester with HCl gas in an Et2O solution
ACCESSION NUMBER: 1959:1938 CAPLUS
DOCUMENT WIMBER: 1959:1938 CAPLUS
DOCUMENT WIMBER: 0.5 53:1938
ORIGINAL REFERENCE NO. 53:1938
ORIGINAL REFERENCE NO. 53:1938
ORIGINAL REFERENCE NO. 53:1938
CAPLUS
DOCUMENT TYPE: Substituted esters of phenylacetic acid
INVENTOR(5): Bothe, Horst: Wunderlich, Helmut
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

DD 10328 1950025 DD

IT 102177-79-7, 1-Piperidineacetic acid, α-phenyl-, phenyl
ester
(preparation of)
RN 102177-79-7 CAPLUS
CN 1-Piperidineacetic acid, α-phenyl-, phenyl ester (6CI) (CA INDEX
NAME)

Ph 0

CH-C-OPh

NAME
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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
451.98
787.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION

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STN INTERNATIONAL LOGOFF AT 15:36:07 ON 06 SEP 2006